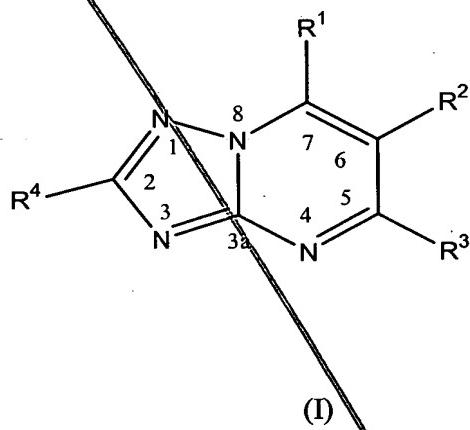


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We claim:

1. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof which comprises
5 administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.
2. The method according to Claim 1 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:
10



wherein:

- 15 R^1 is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1
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to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also

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be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring;

10 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one -CH₂- may optionally be replaced by -O-, -S-, or -NR where R is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

15 R² is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, 20 heterocyclyl or halogen;

25 R³ is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃;

30 R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally

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substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

- 10 R^{d} is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;
- 15 $\text{R}^{\text{c}}\text{R}^{\text{d}}$ together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or alkyl of 1 to 12 carbon atoms;
- 20 R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon

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atoms, heterocycll, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-CF_3$;

- provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzylxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is cyclopentylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl, 2-naphyl or 2-stilbene; e) R^1 is 2-amino-bicyclo(2.2.1.)heptyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl and f) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl and g) R^1 is 1,1,1-trifluoroethoxy, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl h) R^1 is $-SO_2$ ethyl or $-SO_2$ cyclopentyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl; i) R^4 is hydrogen, R^2 is 2-chloro-6-fluorophenyl, R^1 and R^3 are not 1,2,4-triazole; j) R^1 is cyclohexyl, R^4 is hydrogen, R^2 is 2,4,6-trifluorophenyl, and R^3 is not $-OCH_2O_2C(CH_3)_3$; k) R^1 is 2-thienyl, R^4 is ethyl, R^3 is hydrogen and R^2 is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R^2 is phenyl, R^3 is chloro, R^4 is hydrogen R^1 is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof.

3. The method according to claim 2 wherein

- R^1 is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon

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- atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms,
- 5 5. $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$ or a pharmaceutically acceptable salt thereof is administered.
- 10 4. The method according to claim 2 wherein R^a and R^b each independently represent the moiety $-\text{C}^*\text{H}(\text{R}^e)(\text{R}^f)$ where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C^* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.
- 15 5. The method according to claim 2 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocycl or halogen or a pharmaceutically acceptable salt thereof is administered.
- 20 6. The method according to claim 2 wherein R^3 is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-\text{NR}^c\text{R}^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-\text{N}_3$ or a pharmaceutically acceptable salt thereof is administered.
- 25 7. The method according to claim 2 wherein R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, $-\text{CF}_3$ or a pharmaceutically acceptable salt thereof is administered.

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8. The method according to claim 2 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms,
5 optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be
10 replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b wherein
15 R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
9. The method according to claim 2 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocycl or a pharmaceutically acceptable salt thereof is administered.
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10. The method according to claim 2 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms,
25 dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
11. The method according to claim 2 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms,
30 dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.

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12. The method according to claim 2 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms,-S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,-SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 5 to 10 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
13. The method according to claim 2 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
14. The method according to claim 2 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
15. The method according to claim 2 wherein R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
16. The method according to claim 2 wherein R¹ is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or

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or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S\text{-aryl}$ of 6, 10 or 14 carbon atoms, $-S\text{-alkyl}$ of 1 to 12 carbon atoms, $-S\text{-alkenyl}$ of 2 to 12 carbon atoms, $-SO_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-SO_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-SO_2\text{alkyl}$ of 1 to 12 carbon atoms, and the moiety $-NR^aR^b$

5 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

10

17. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

15

18. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

20 R^2 is optionally substituted phenyl;
 R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
 R^4 is H;

25 R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12

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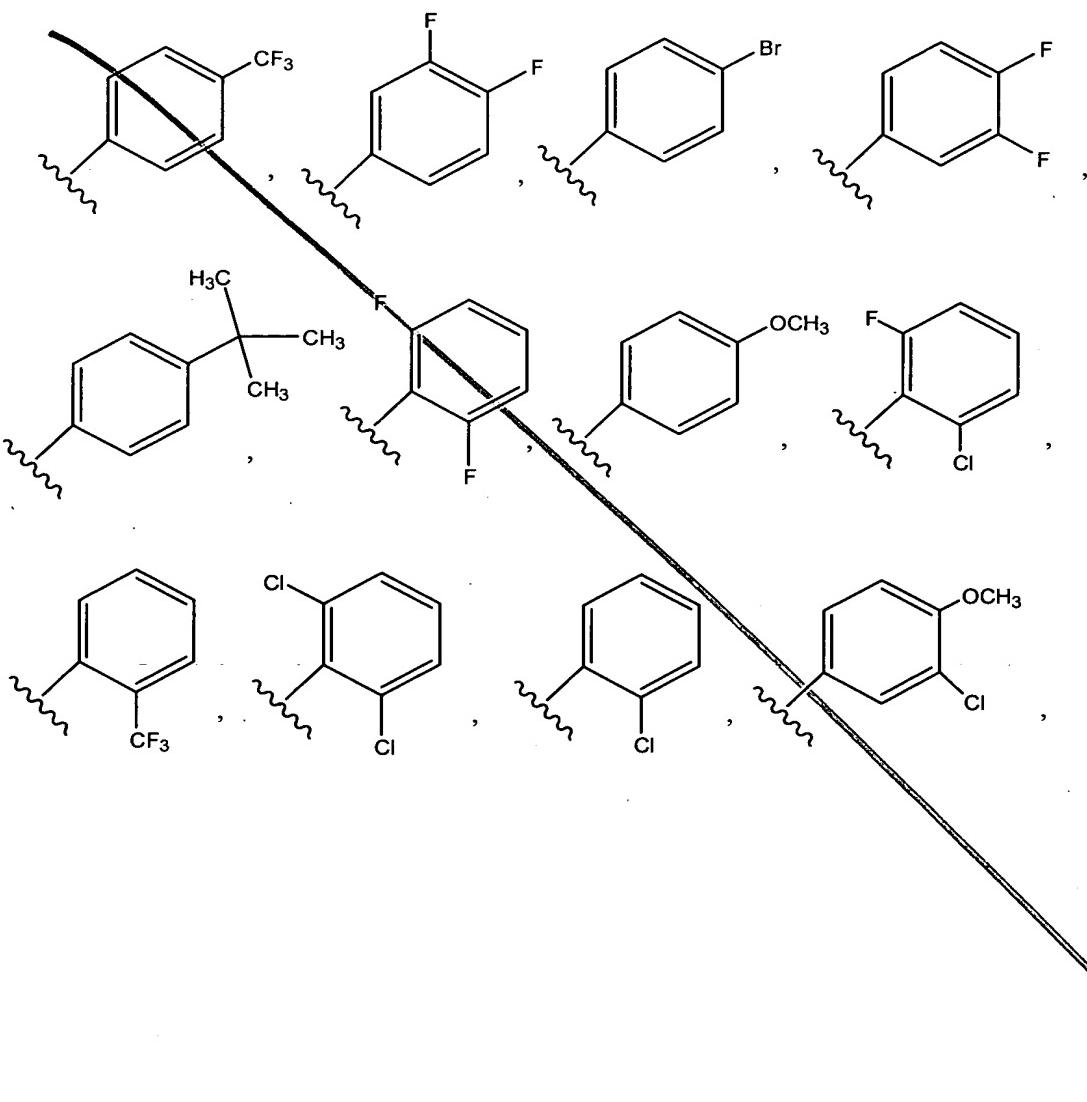
carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocycl, benzyl, optionally substituted benzyl; R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12

- 5 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where
- 10 R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms; R^aR^b together with the nitrogen atom to which each is attached represent an
- 15 optionally substituted saturated or unsaturated heterocycl ring from 3 to 12 ring atoms in which optionally, at least one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 2 to 12 carbon atoms, said saturated or unsaturated heterocycl ring may optionally be aryl or cycloalkyl fused;
- 20 R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

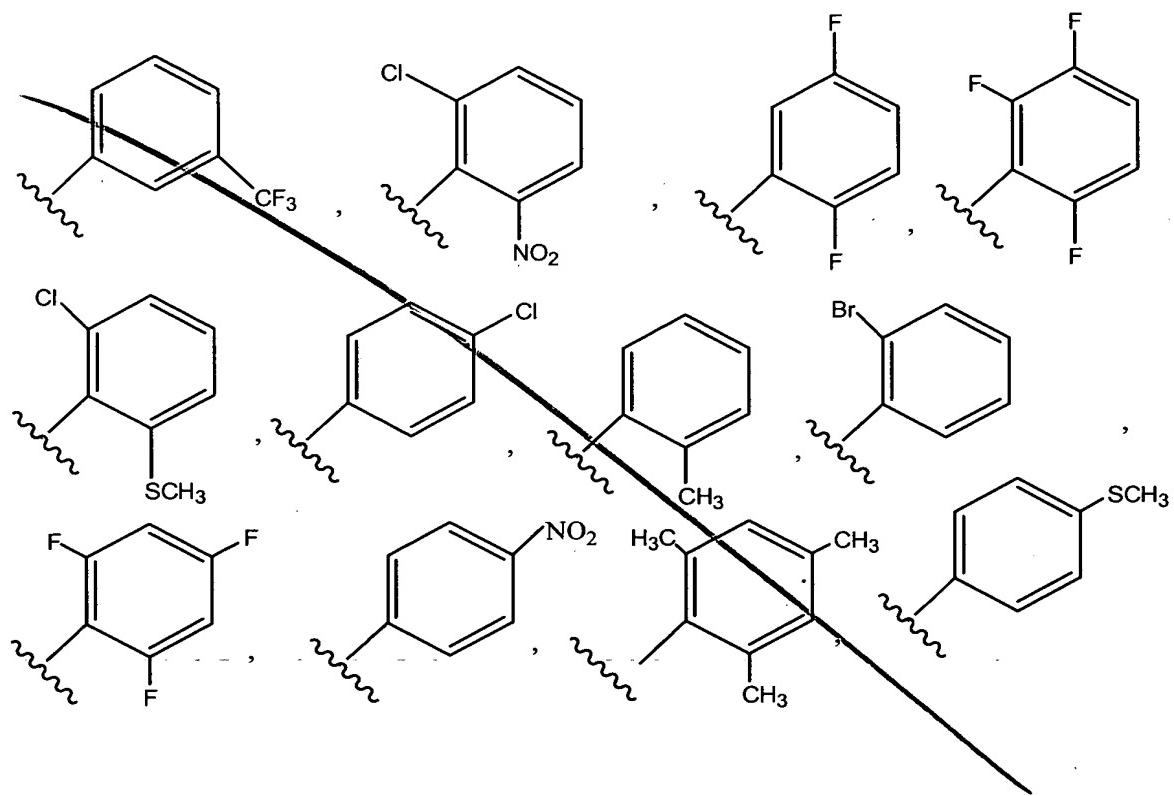
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- R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocycl;
- R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclic ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
19. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;
- R^2 is selected from

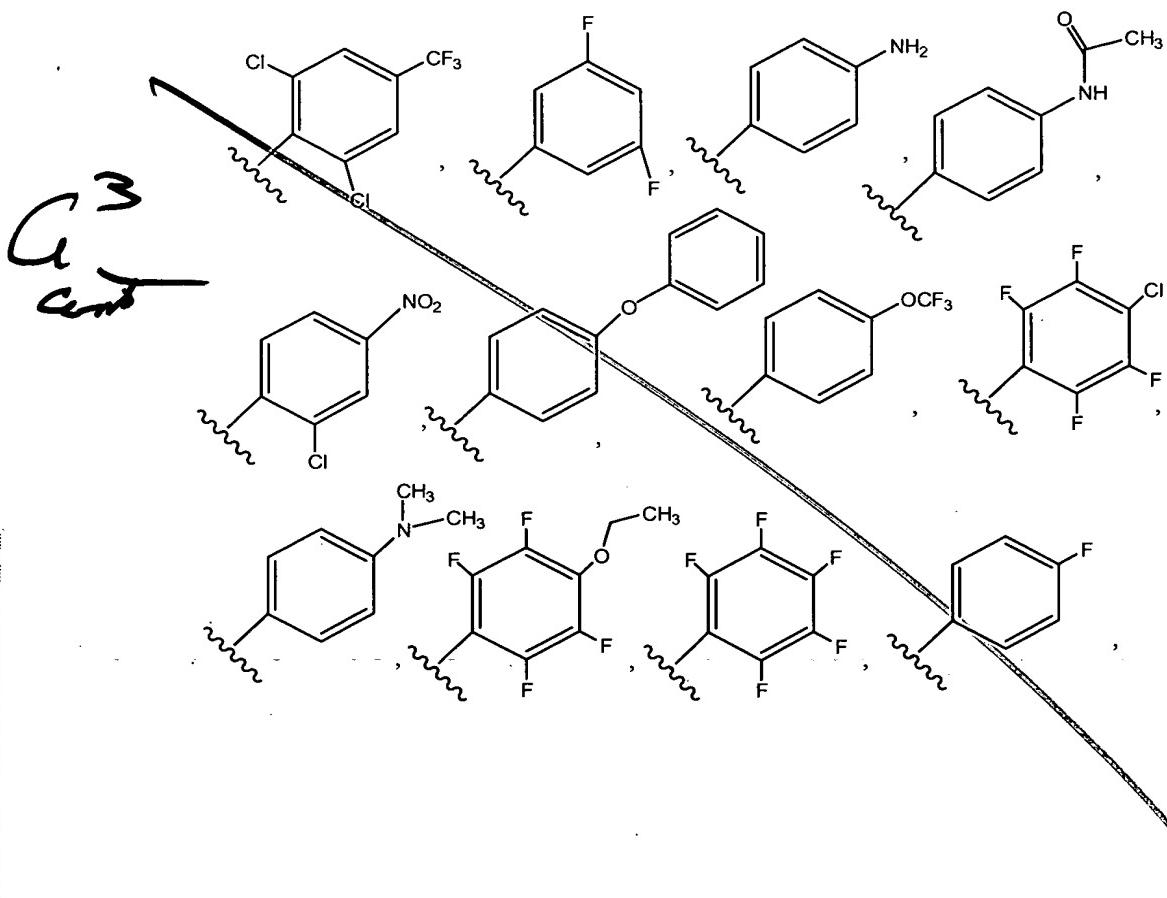
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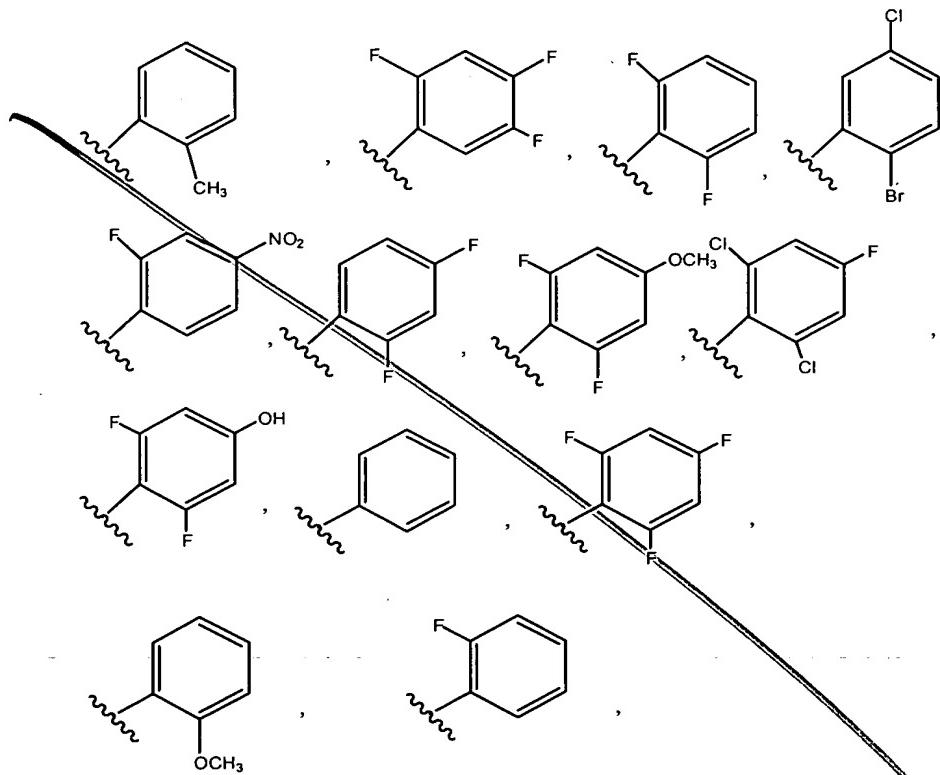
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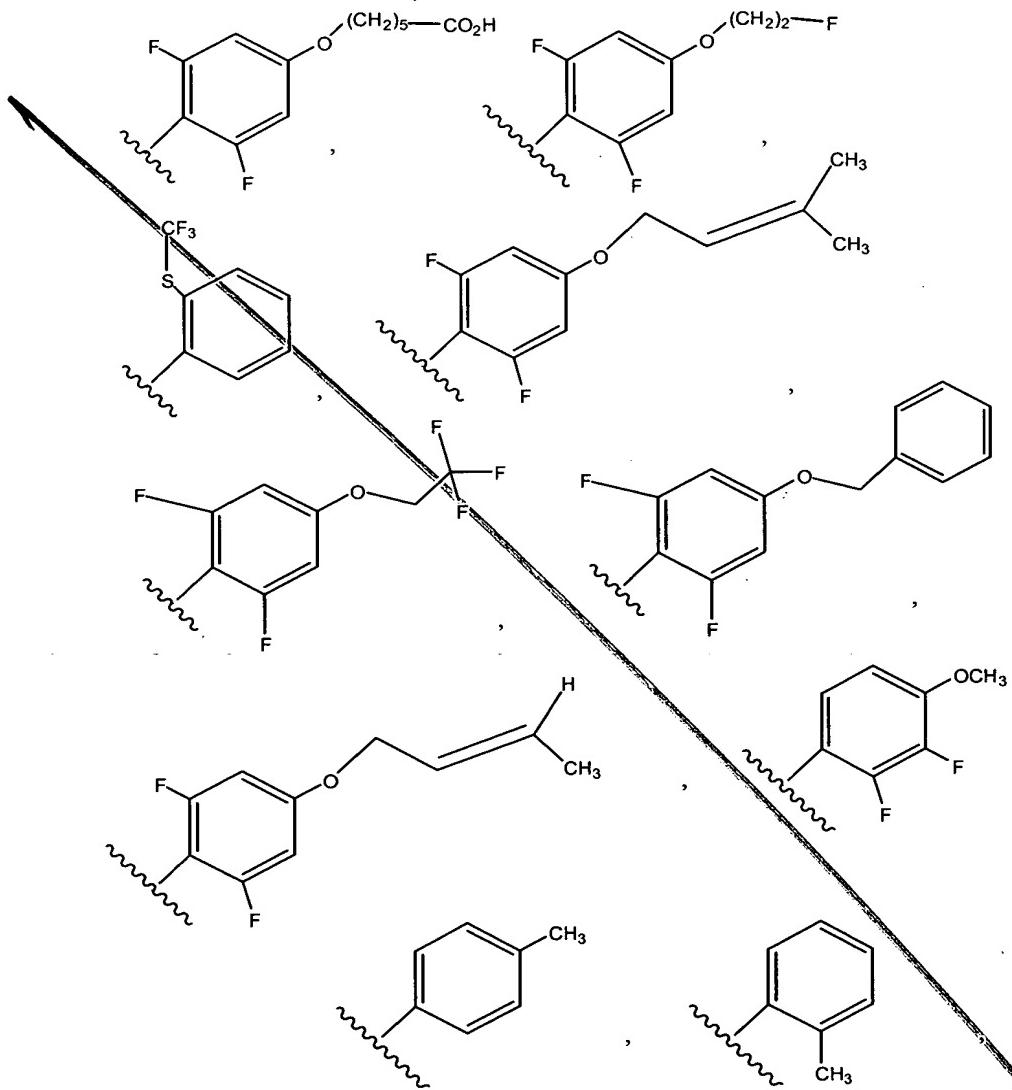


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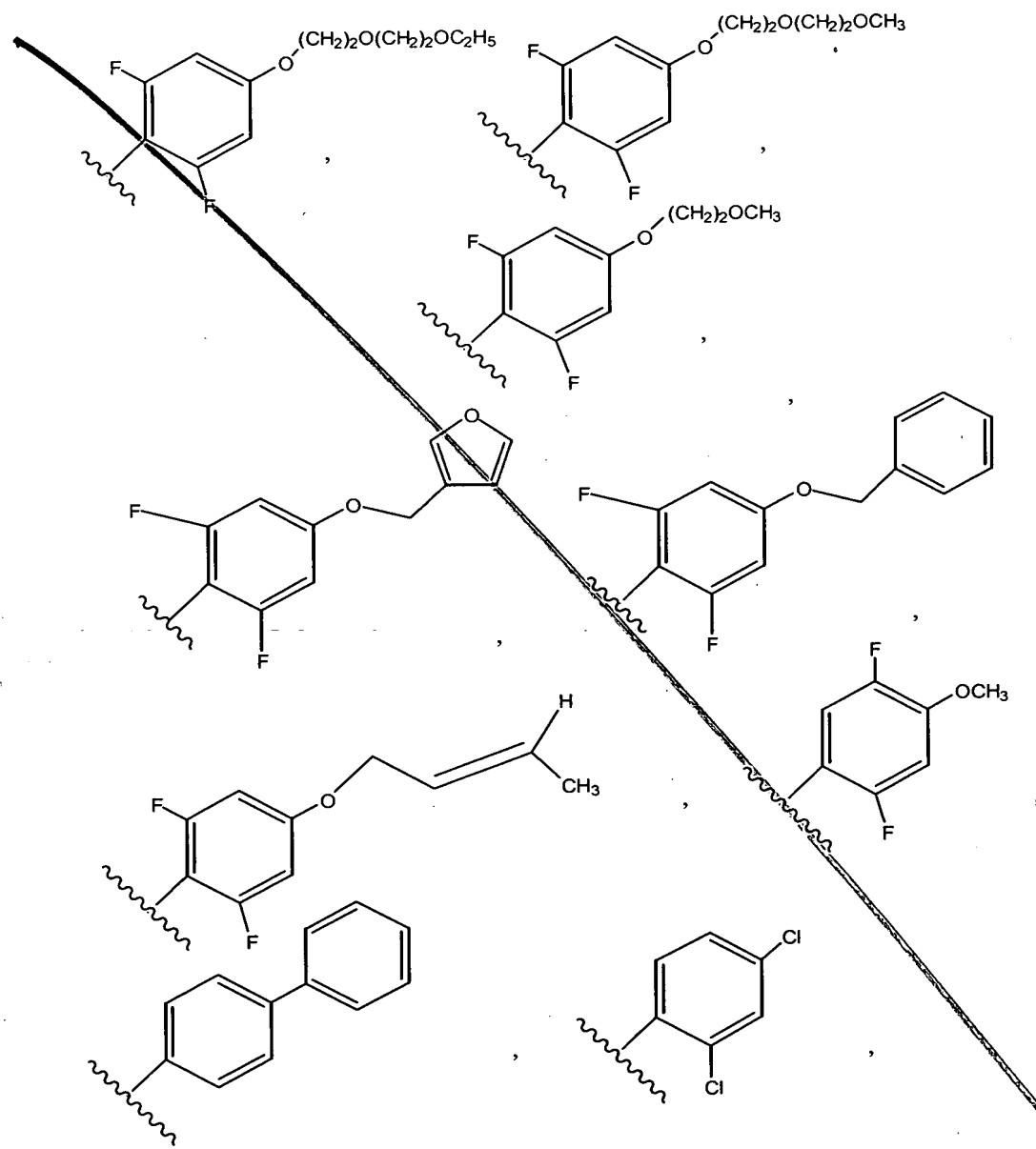
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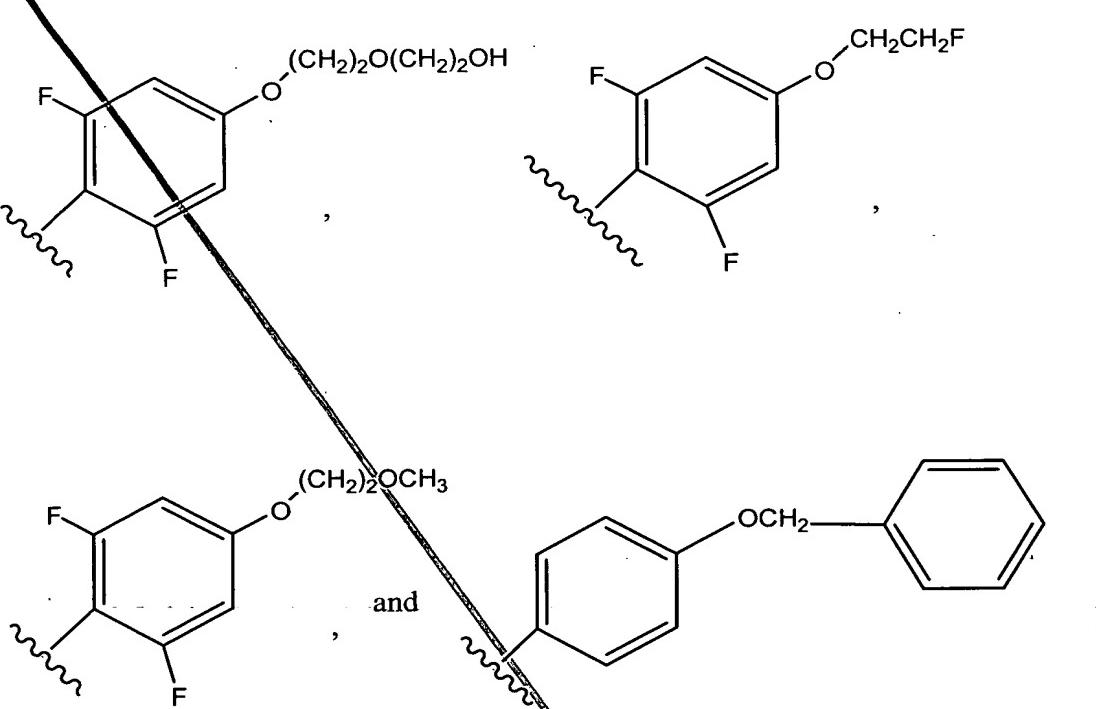


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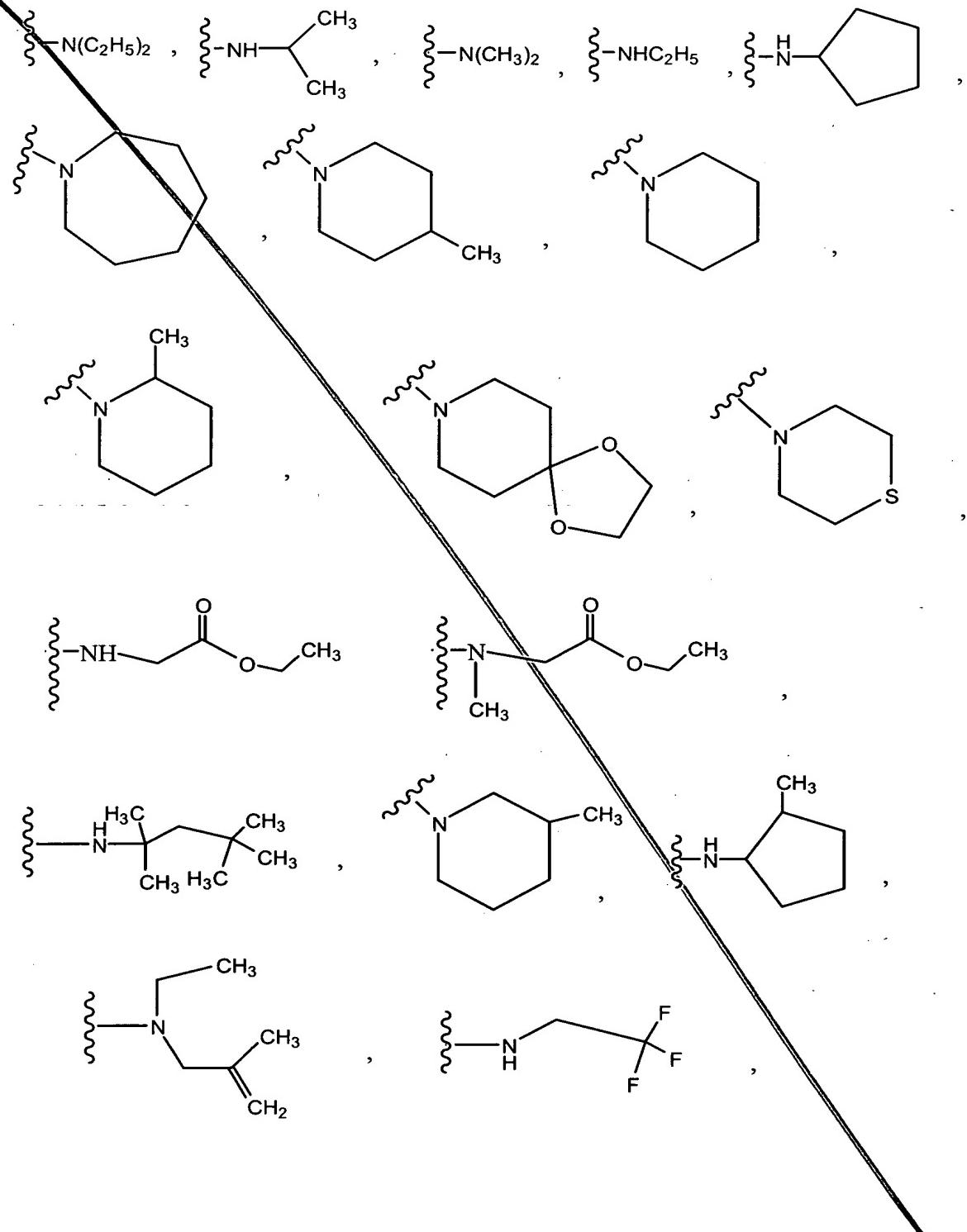
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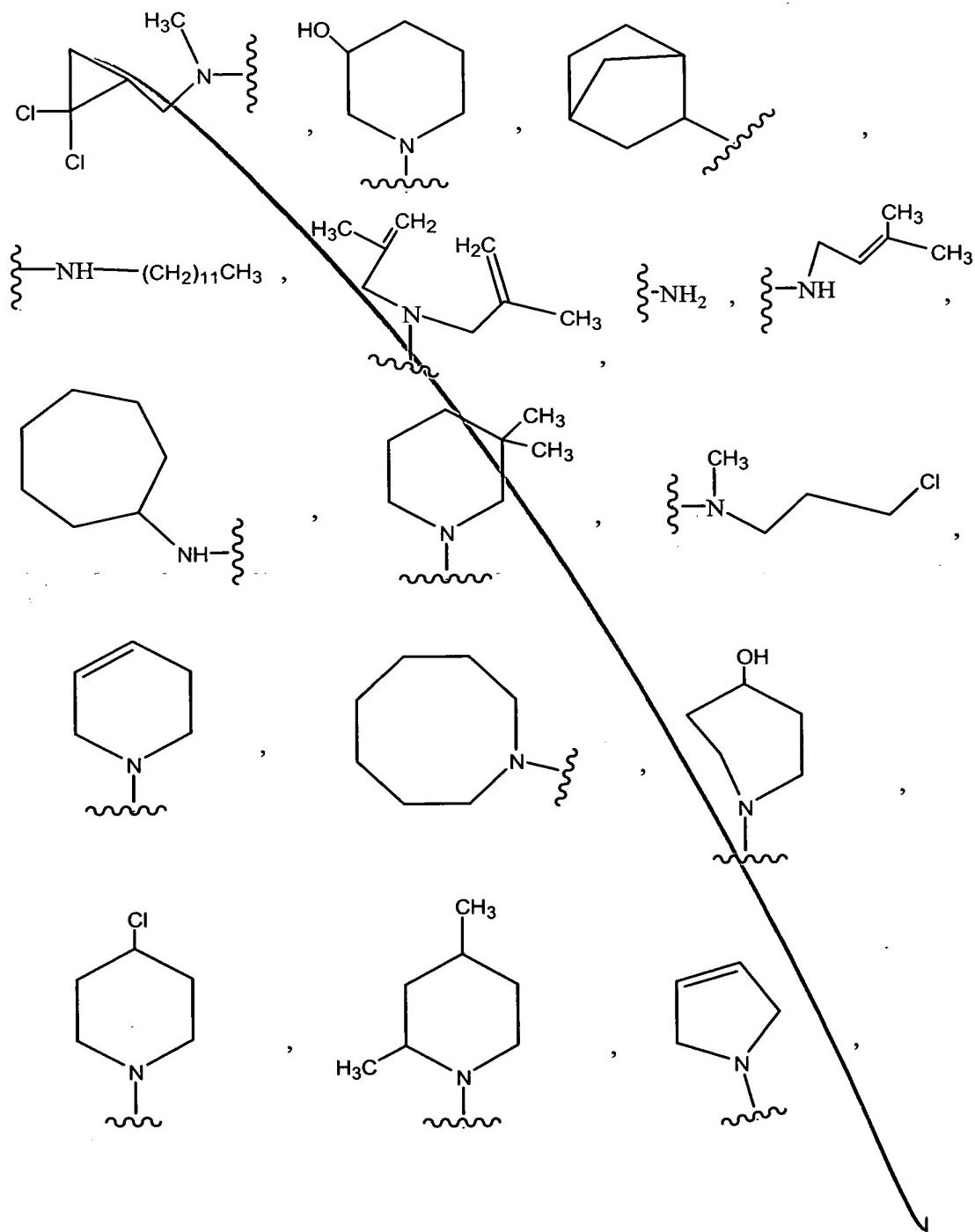
- 5 R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;
R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

20. The method according to claim 2 wherein R¹ is the moiety -NR^aR^b
10 wherein R^aR^b are optionally taken together with the nitrogen to which each is
attached and wherein R¹ is selected from

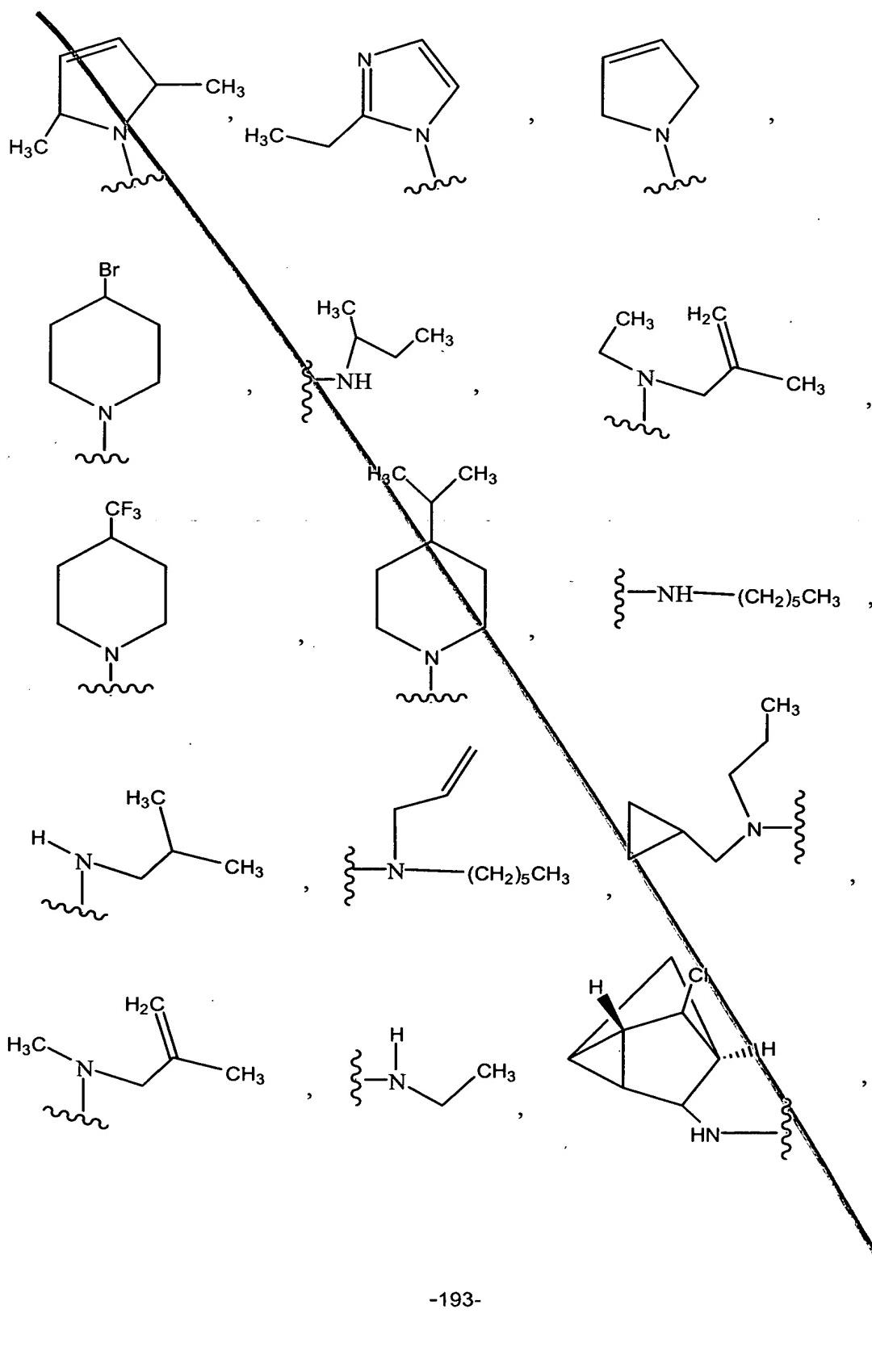
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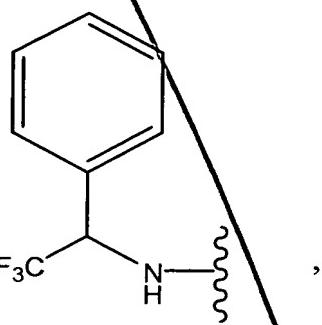
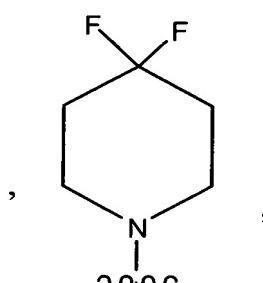
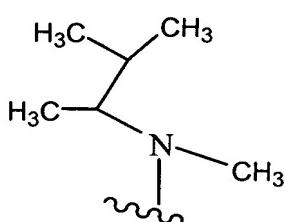
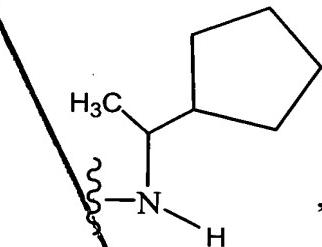
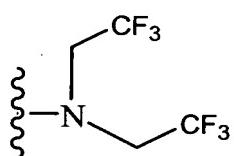
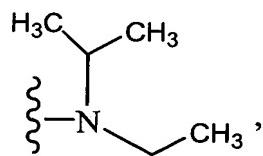
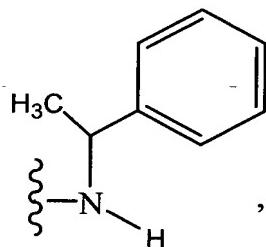
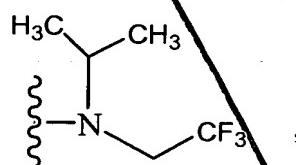
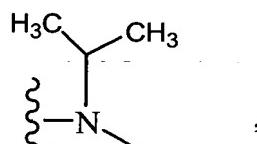
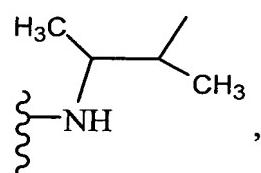
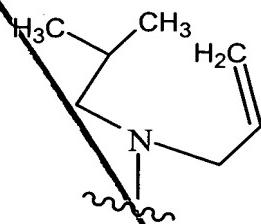
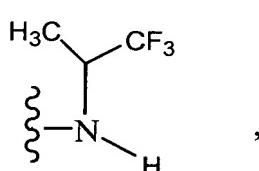
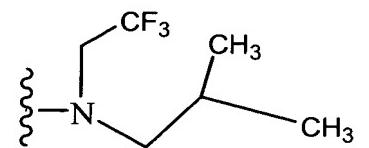
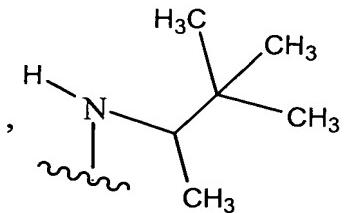
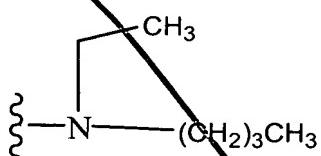
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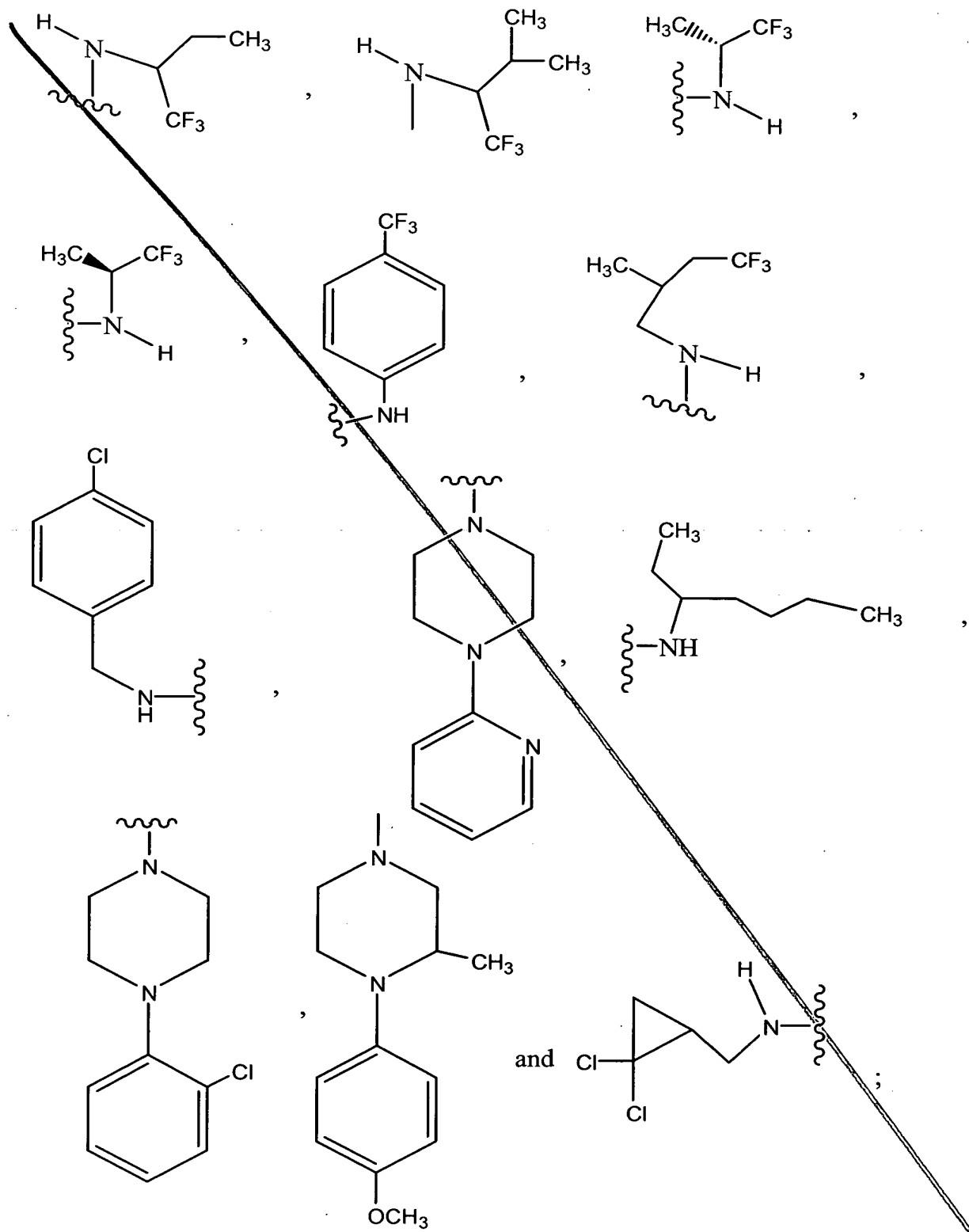
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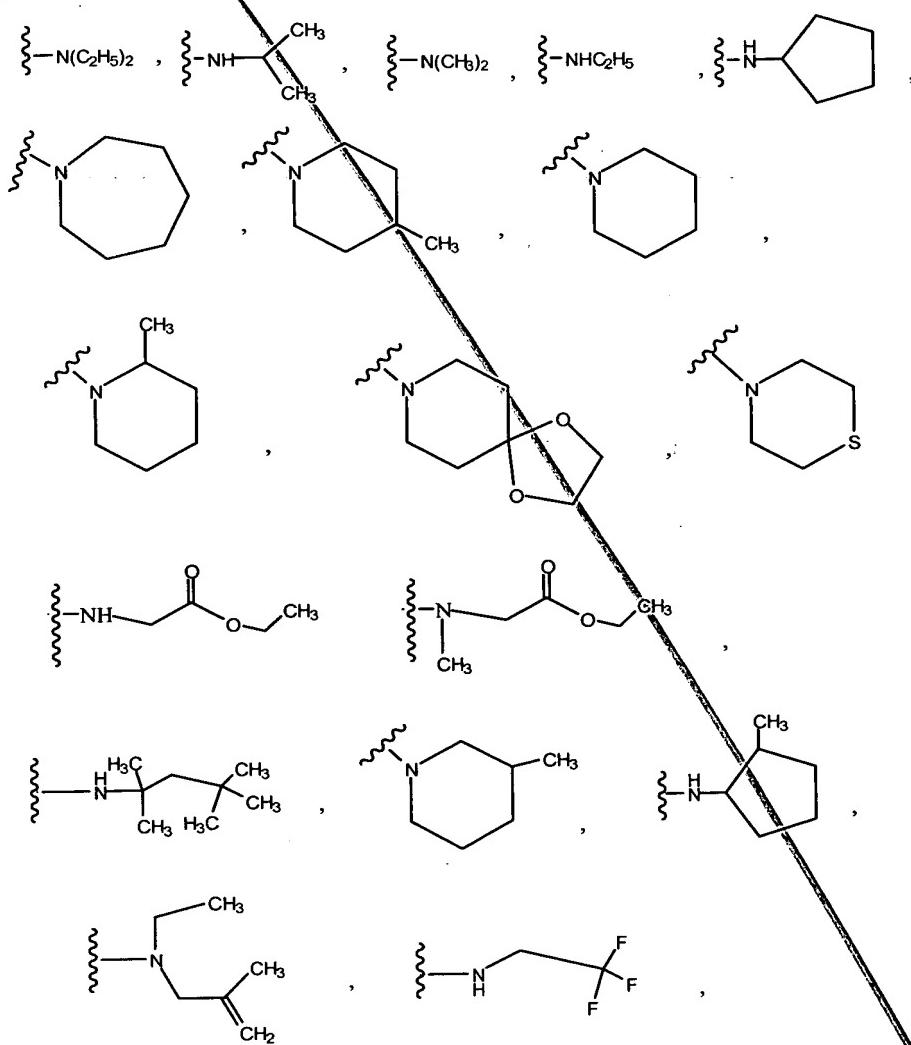


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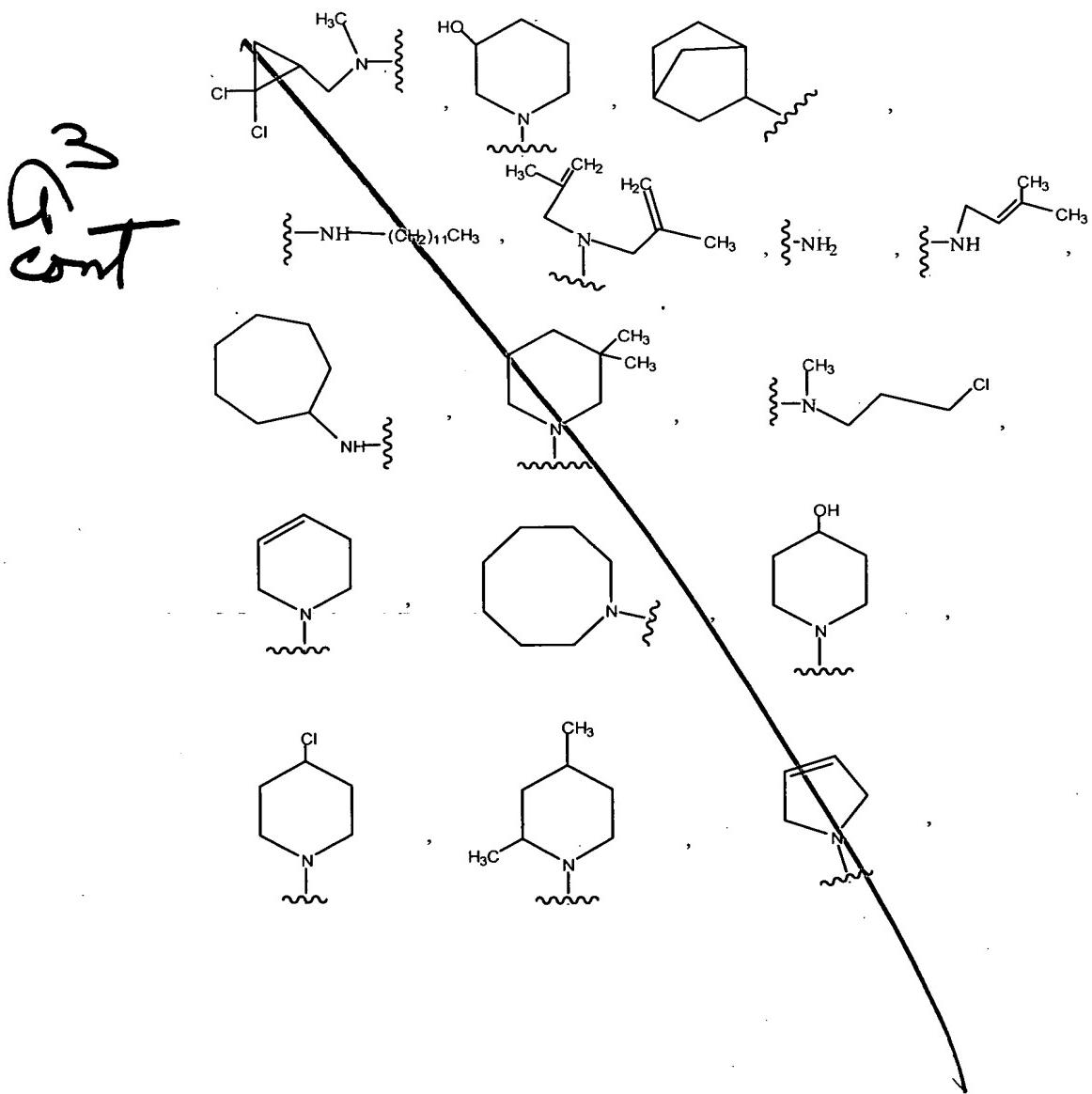
R^2 is optionally substituted phenyl;
 R^1 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
 R^4 is H or a pharmaceutically acceptable salt thereof is administered.

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21. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from

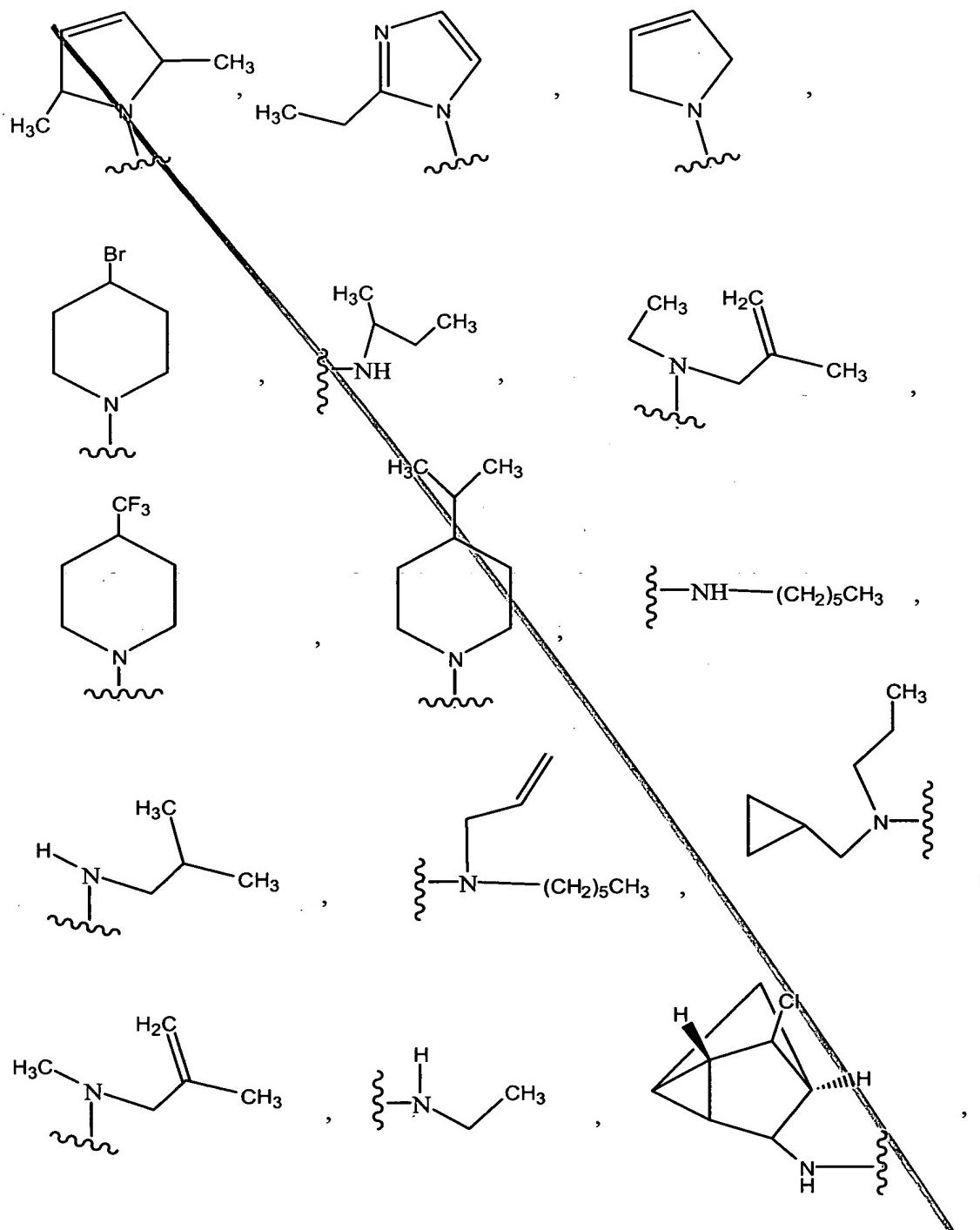


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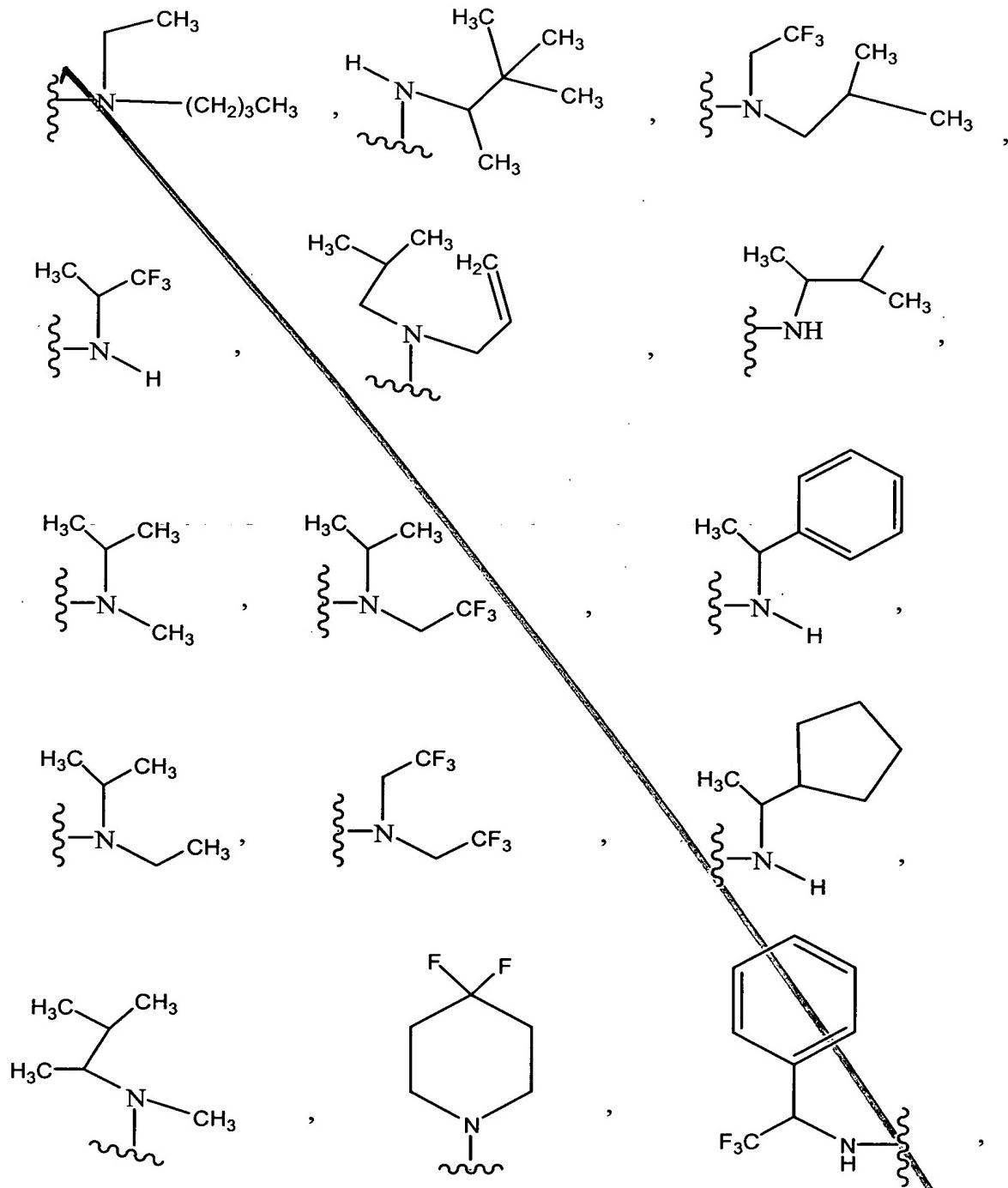


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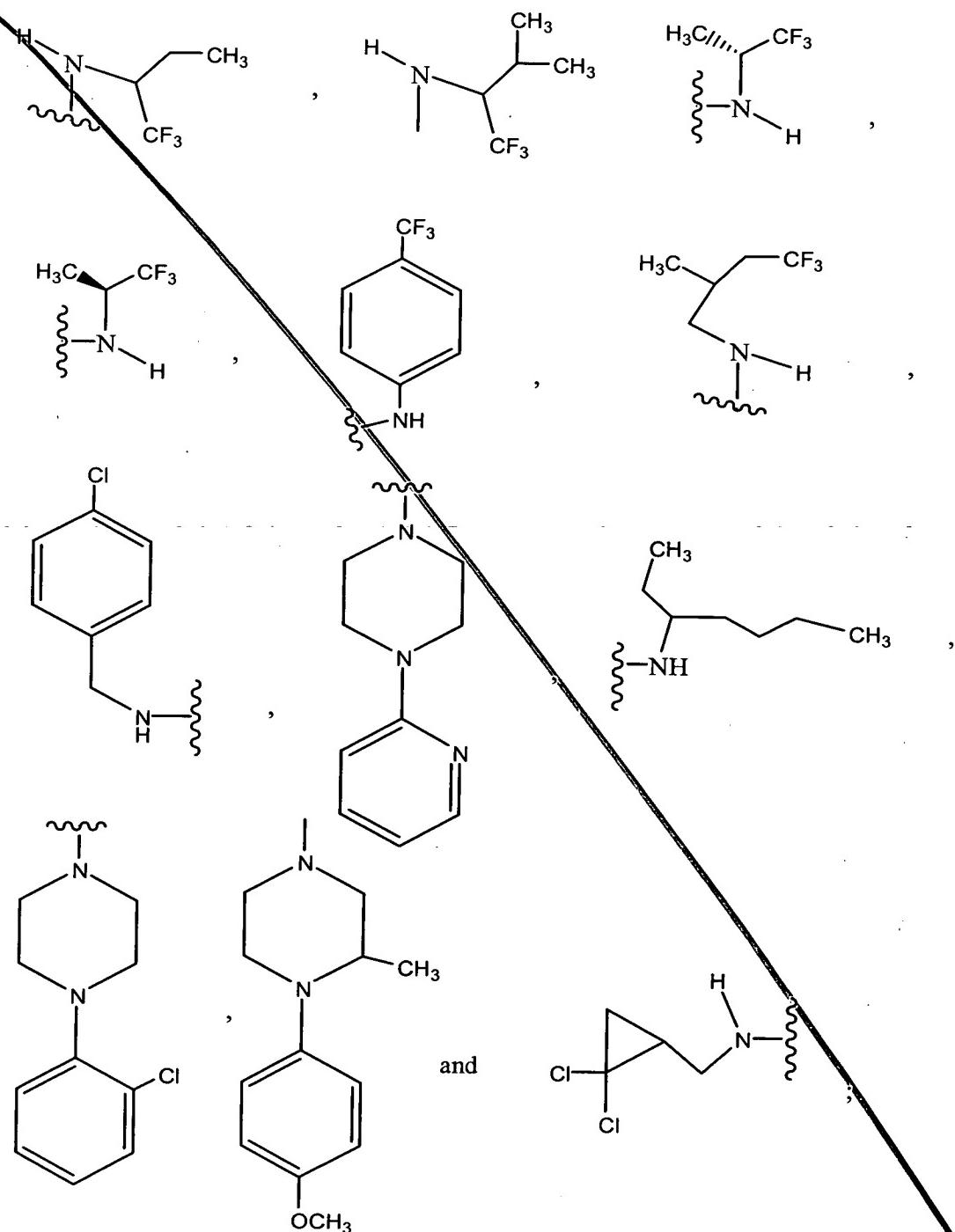
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R² is optionally substituted thienyl;

R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

22. The method according to claim 2 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

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- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

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- 1 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 10 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;
- 20 15 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 20 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 25 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 35 30 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 40 35 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 45 40 5-chloro-7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;
- 1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;
- 15 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
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- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-7-(4-chloro-1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 30 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

30 4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

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- 5 N-[4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;
- 10 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;
- 25 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 35 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 40 5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 45 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 50 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 55 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;
- 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;
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- diethyl 2-allyl-2-{{5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}oxy}malonate;
- 6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
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~~5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

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5 ~~5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

~~5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

10 ~~6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

~~5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

15 ~~5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

~~5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

~~5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

25 ~~5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

~~N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

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- 5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 1
5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5
5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10
5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 15
5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 20
5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25
5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30
[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (1-p-tolyl-ethyl)-amine;
- 5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

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~~5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

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~~5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

~~7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;~~

10 ~~5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

~~5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;~~

15 ~~[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;~~

~~5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

~~5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;~~

25 ~~6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;~~

~~[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;~~

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cont
5 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;
- 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;
- 2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;
- 10 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;
- 15 5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine};
- (5-chloro-6-{4-[2-(2-ethoxyethoxy]-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 20 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 25 5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;
- 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;
- 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1,4-dioxa-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
10. 2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
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7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

10 diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

15 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 [5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

25 5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N,N-diethyl-6-[4-(methylsulfonyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

35 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)-[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

40 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

45 dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

50 diethyl 2-{{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}-2-isobutylmalonate;

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2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-1-diethyl-1,4-pentanediamine;

30 5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-I[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-I[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;

5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

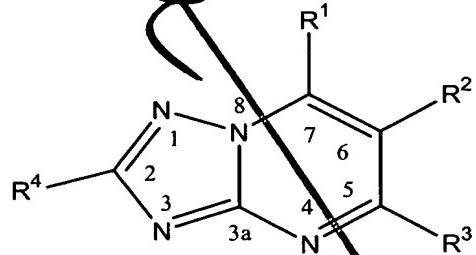
2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

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23. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by interacting with tubulin and microtubules and promoting microtubule polymerization which comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.

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24. The method according to Claim 23 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



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wherein:

R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocycl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- 5 may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 10 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally 20 substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 25 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocycl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon 30 atoms or a 3- to 6-membered heterocycl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

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~~R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;~~

15 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocycl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocycl ring may optionally be aryl or cycloalkyl fused;

~~R² is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;~~

30 R³ is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms.

atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃;

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- R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

- R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;
- 30 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally

substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or alkyl of 1 to 12 carbon atoms;

- 5 R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-\text{CF}_3$;
- 10 provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzoyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is cyclopentylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl, 2-naphyl or 2-stilbene; e) R^1 is 2-amino-bicyclo(2.2.1.)heptyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl and f) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl and g) R^1 is 1,1,1-trifluoroethoxy, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl h) R^1 is $-\text{SO}_2\text{ethyl}$ or $-\text{SO}_2\text{cyclopentyl}$, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl; i) R^4 is hydrogen, R^2 is 2-chloro-6-fluorophenyl, R^1 and R^3 are not 1,2,4-triazole; j) R^1 is cyclohexyl, R^4 is hydrogen, R^2 is 2,4,6-trifluorophenyl, and R^3 is not $-\text{OCH}_2\text{O}_2\text{C}(\text{CH}_3)_3$; k) R^1 is 2-thienyl, R^4 is ethyl, R^3 is hydrogen and R^2 is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R^2 is phenyl, R^3 is chloro, R^4 is hydrogen R^1 is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof.
- 30 25. The method according to claim 24 wherein

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- R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, 5 optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where 10 R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, 15 -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b or a pharmaceutically acceptable salt thereof is administered.
26. The method according to claim 24 wherein R^a and R^b each independently represent the moiety -C*H(R^e)(R^f) where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof 20 is administered.
27. The method according to claim 24 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or 25 halogen or a pharmaceutically acceptable salt thereof is administered.
28. The method according to claim 24 wherein R³ is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon 30 atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms,

dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

29. The method according to claim 24 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.
- 10 30. The method according to claim 24 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
31. The method according to claim 24 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocycl or a pharmaceutically acceptable salt thereof is administered.

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32. The method according to claim 24 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
33. The method according to claim 24 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.
34. The method according to claim 24 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,
- 15 -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 5 to 10 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
- 20 35. The method according to claim 24 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
- 25 36. The method according to claim 24 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1

to 12 carbon atoms, cyano, or $-N_3$ or a pharmaceutically acceptable salt thereof is administered.

37. The method according to claim 24 wherein R^4 is H or a pharmaceutically acceptable salt thereof is administered.

38. The method according to claim 24 wherein R^1 is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

39. The method according to claim 24 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

40. The method according to claim 24 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

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- R^2 is optionally substituted phenyl;
- R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
- R^4 is H;
- 5 R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted
- 10 cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted
- 15 alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon
- 20 atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, $-O$ -aryl of 6, 10 or 14 carbon atoms;
- 25 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 2 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl
- 30 fused;

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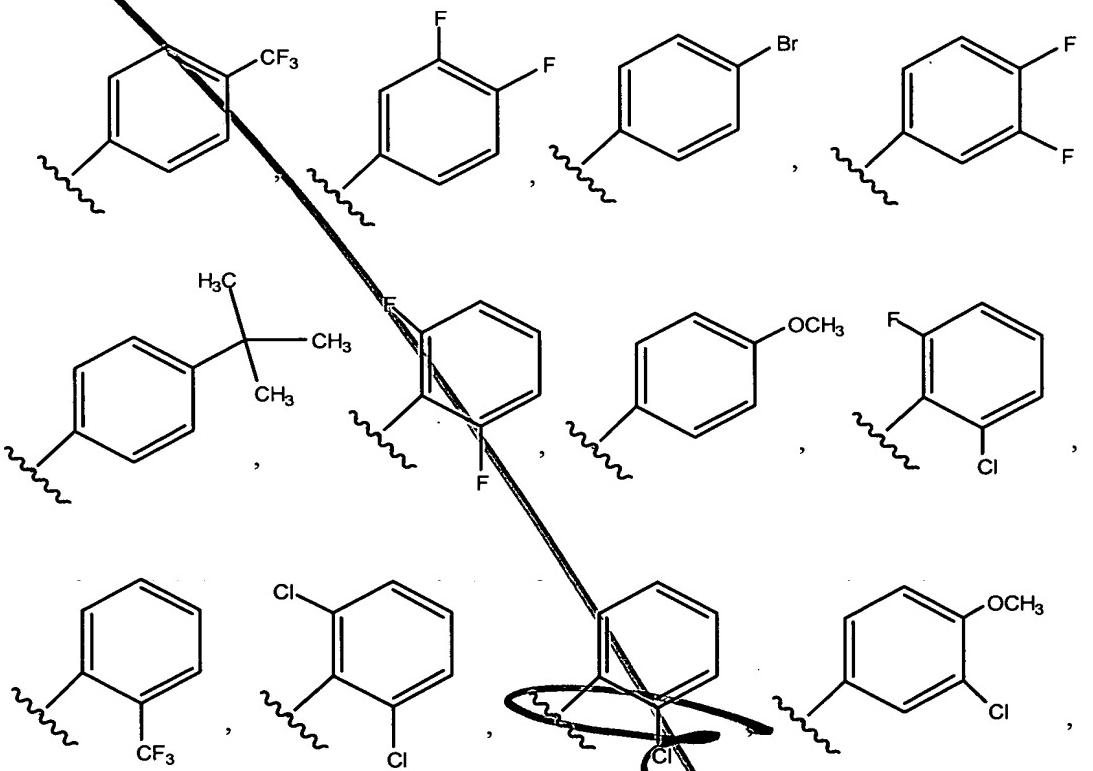
R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also 5 be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally 10 substituted benzyl, heterocycl;

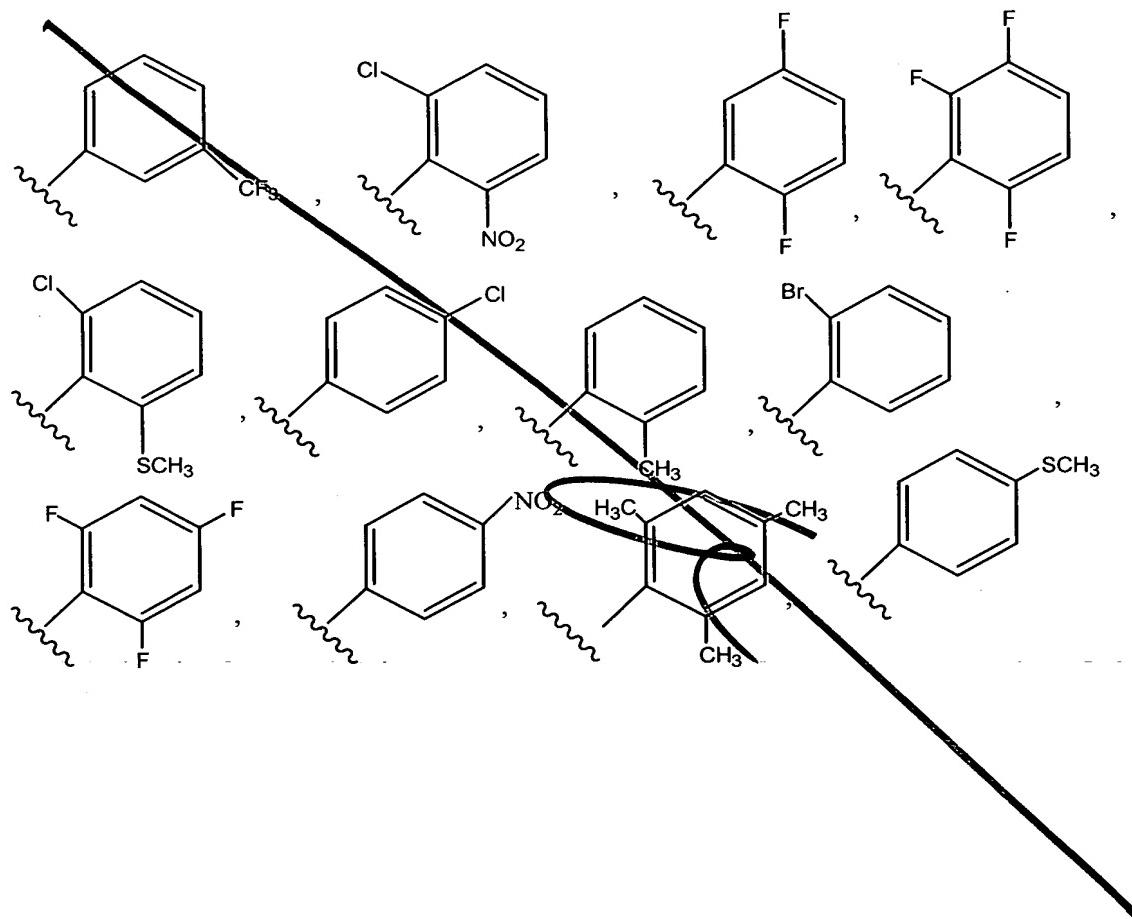
R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally 15 substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally 20 substituted benzyl, or heterocycl;

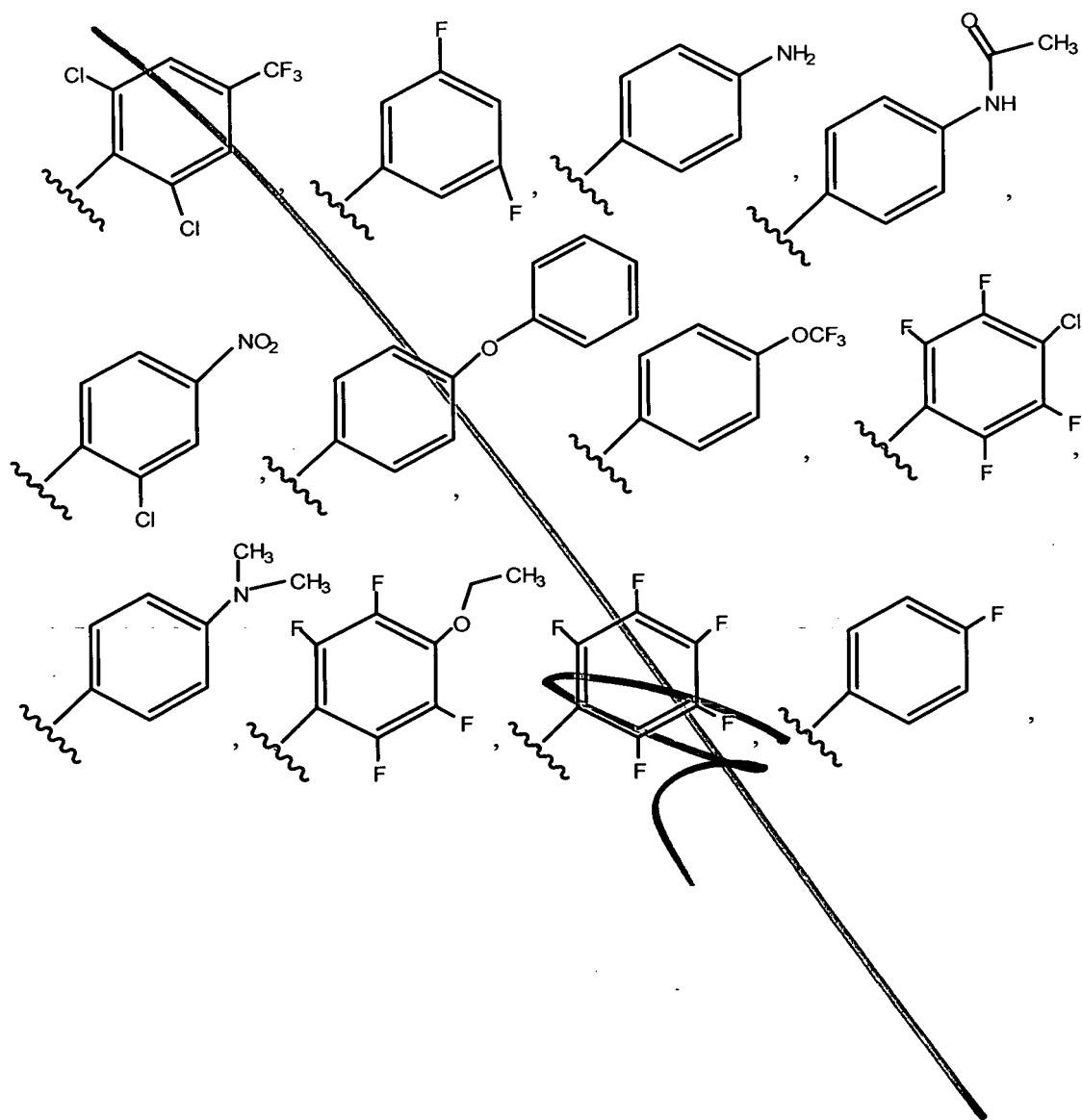
R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocycl ring from 3 to 8 ring atoms optionally 25 substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

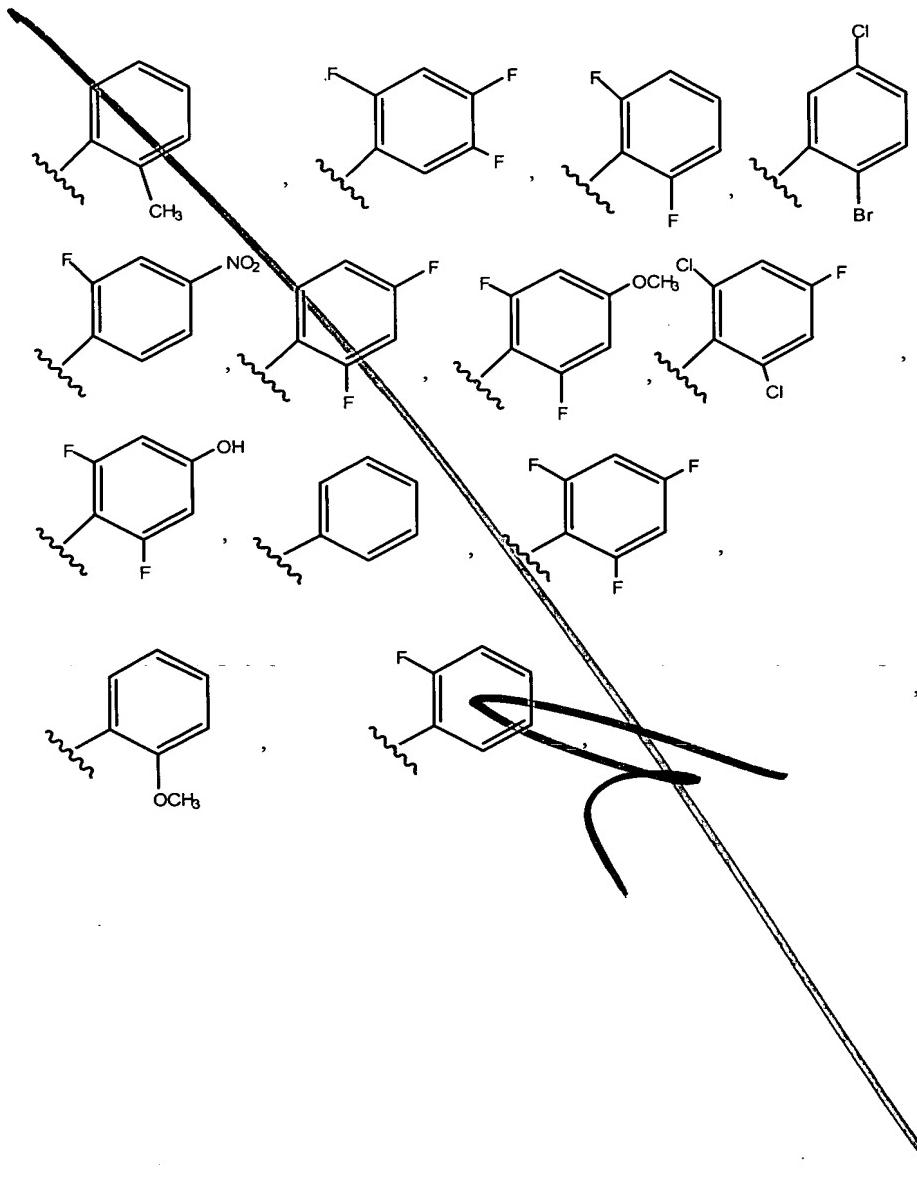
41. The method according to claim 24 wherein R^1 is the moiety $-NR^aR^b$ 30 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

R^2 is selected from

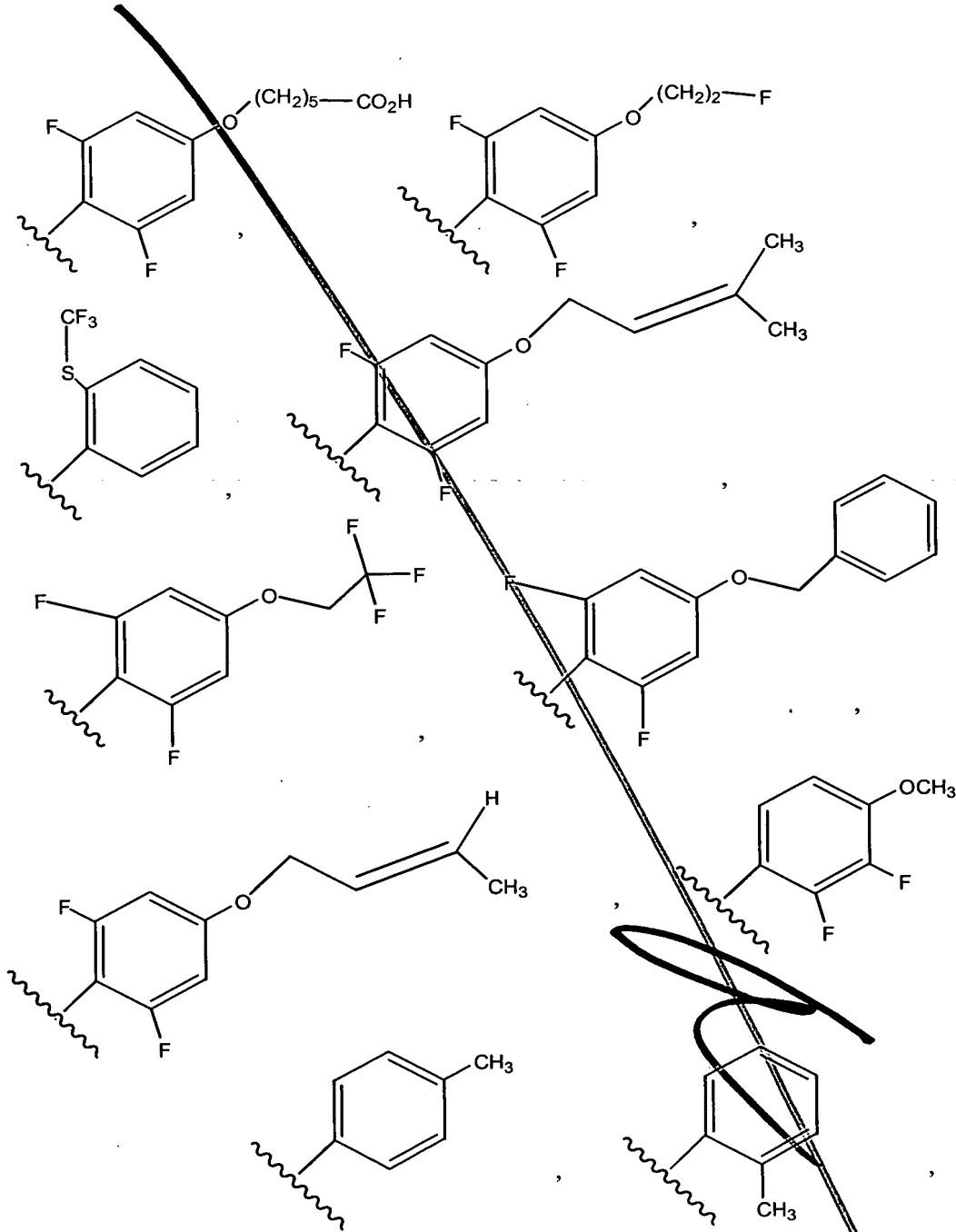


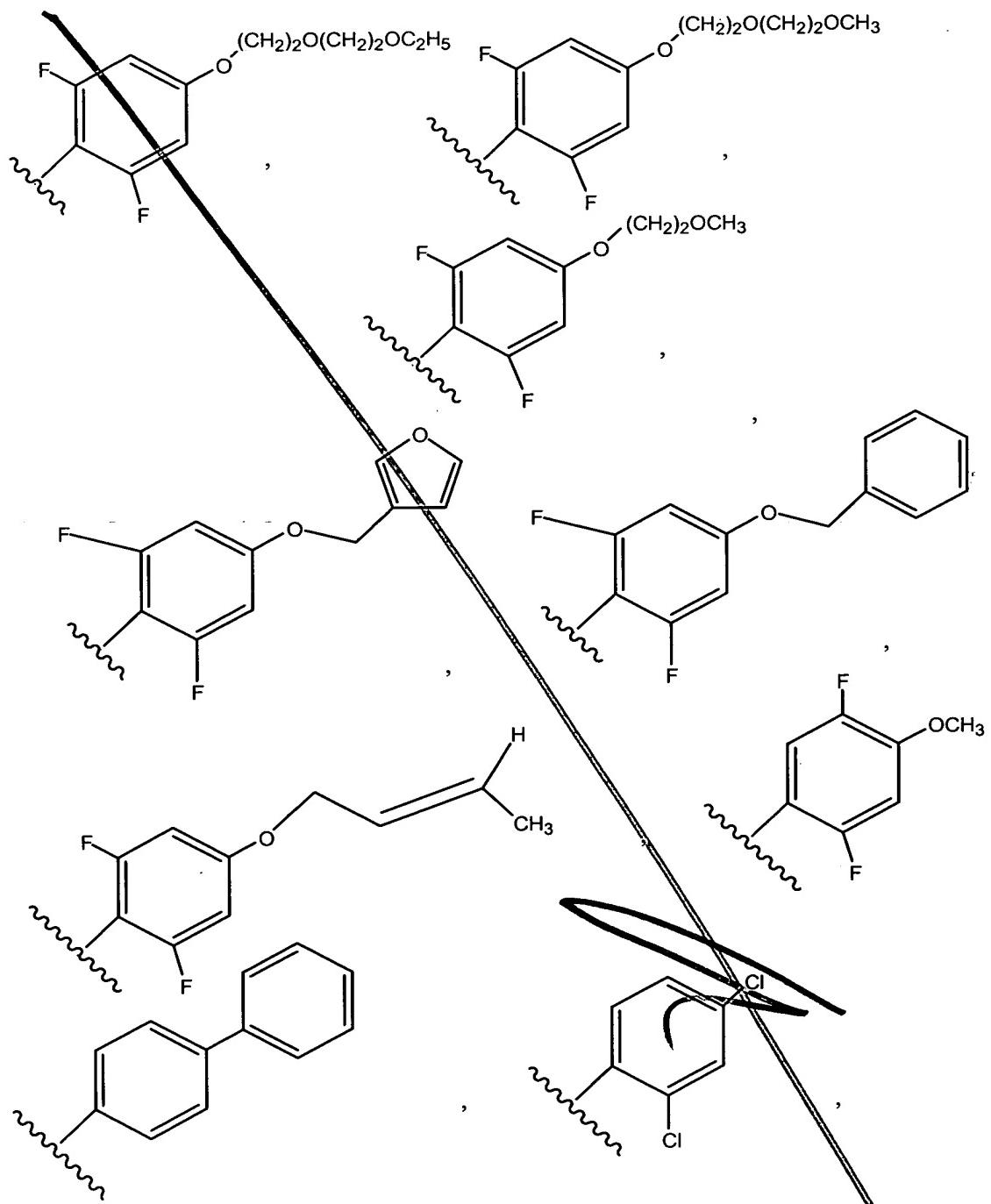


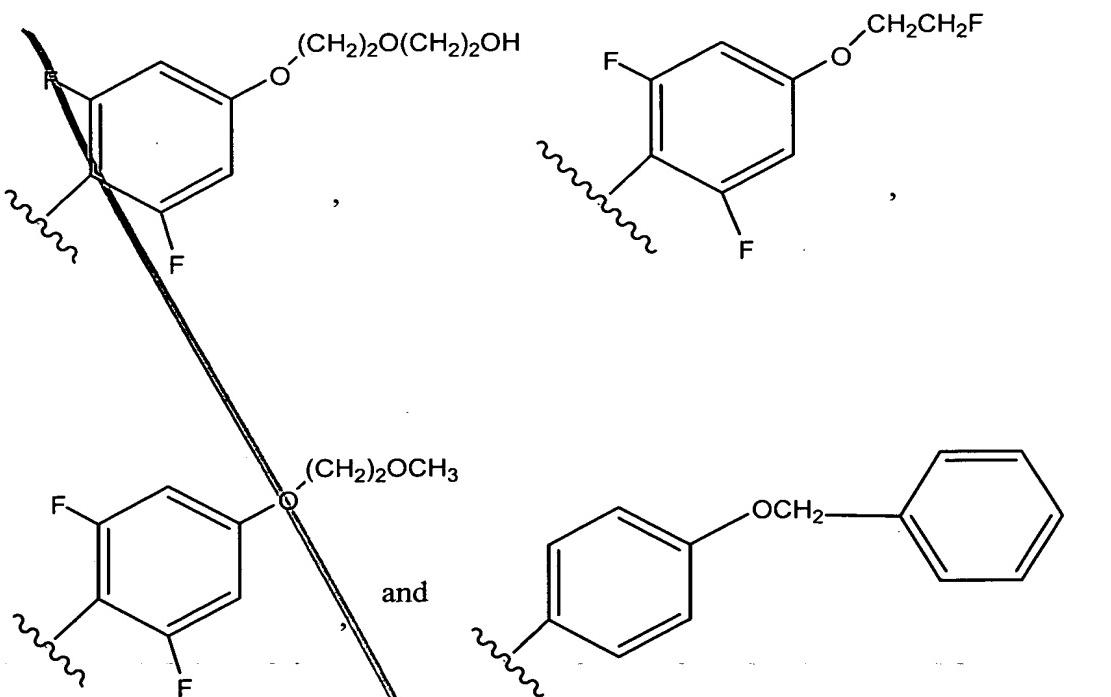




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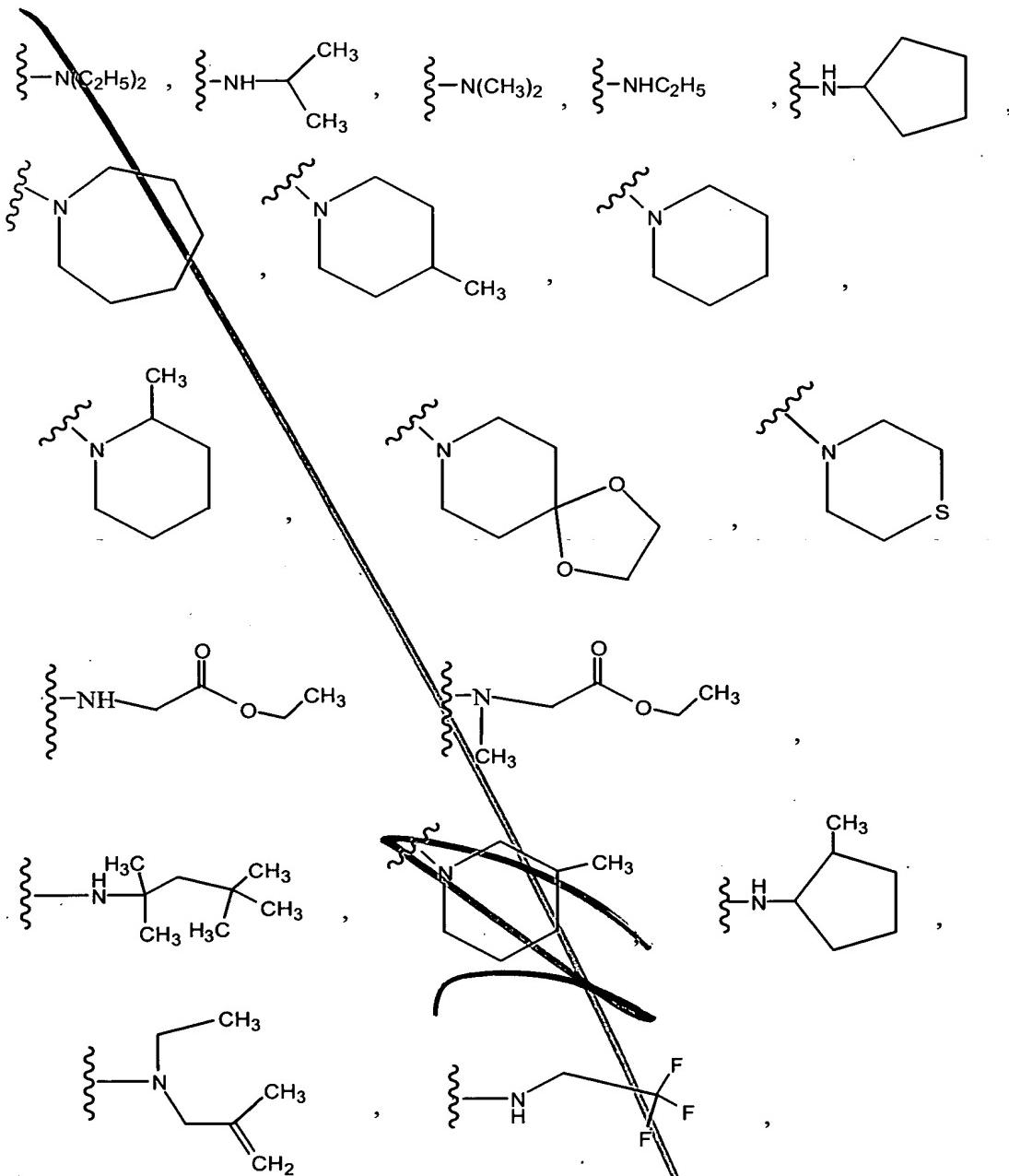


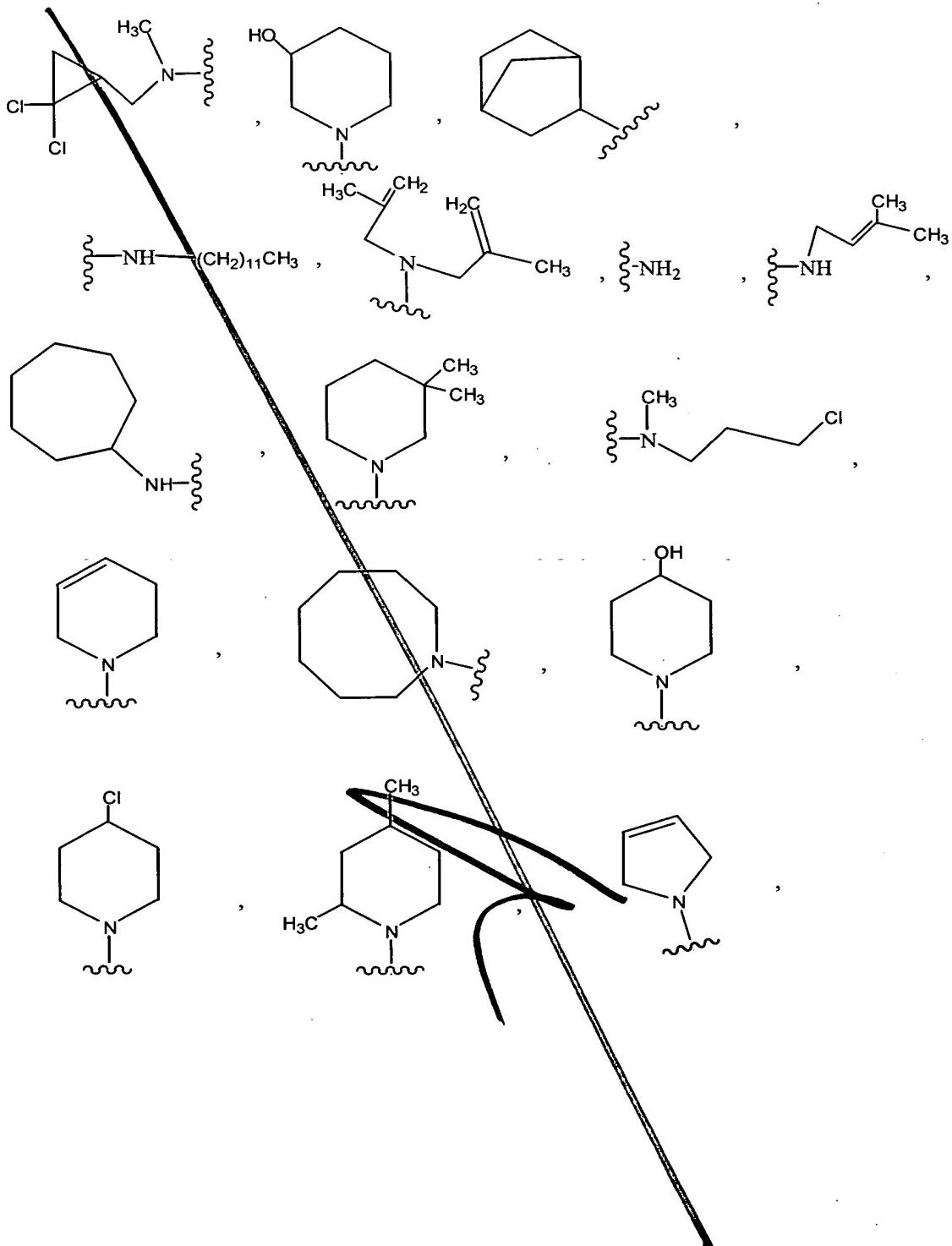
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R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

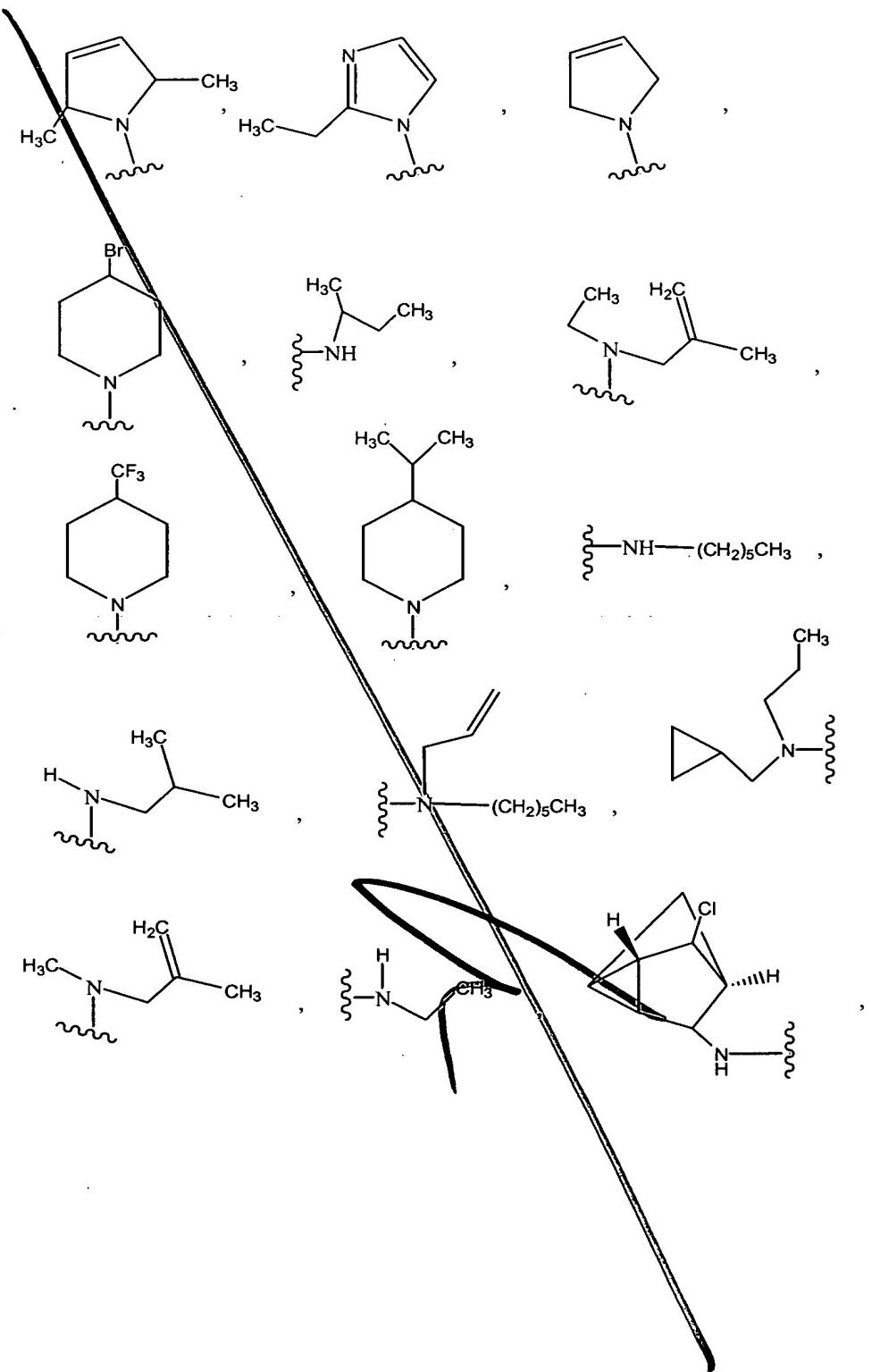
10 R^4 is H or a pharmaceutically acceptable salt thereof is administered.

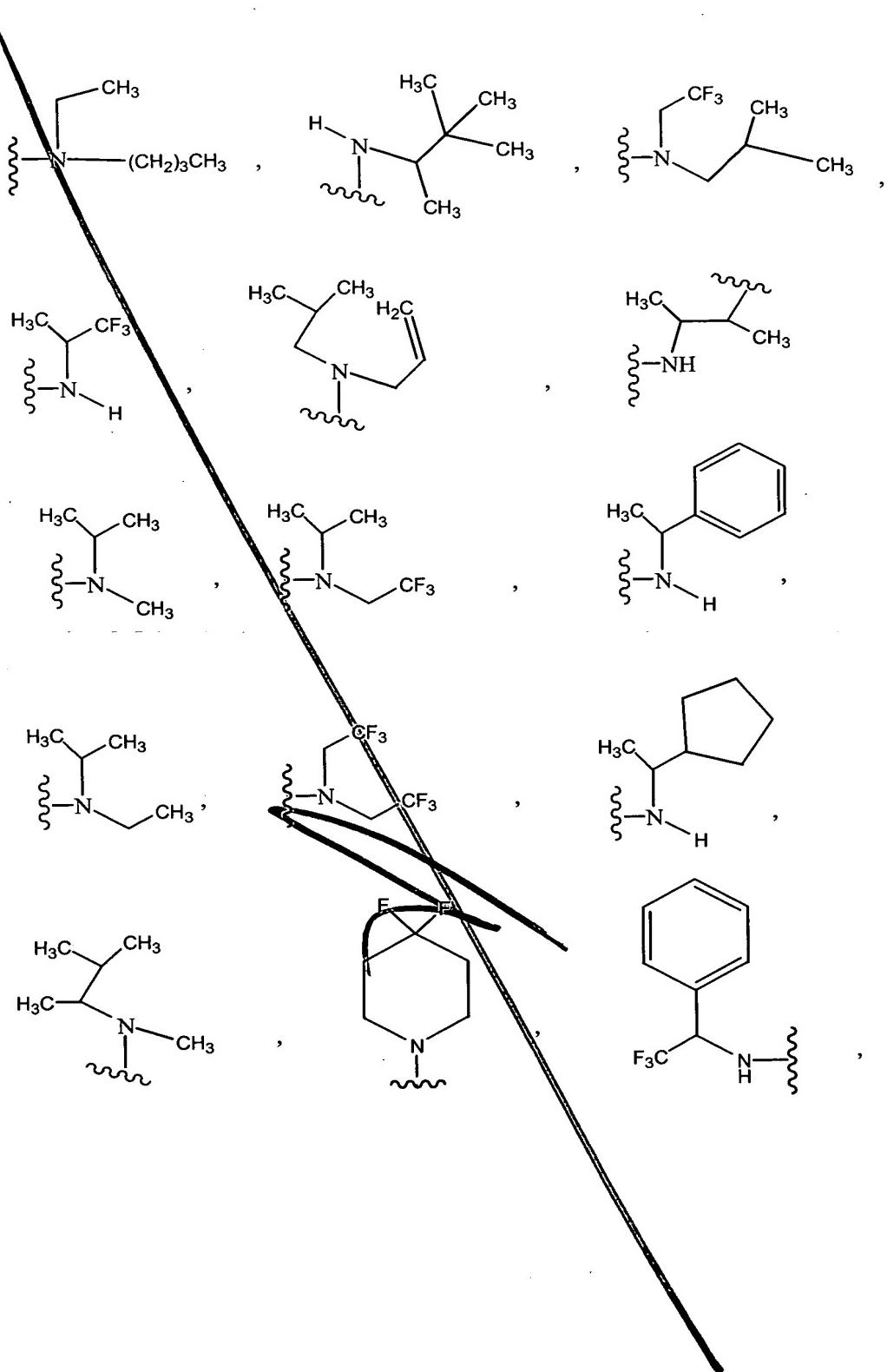
42. The method according to claim 24 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from

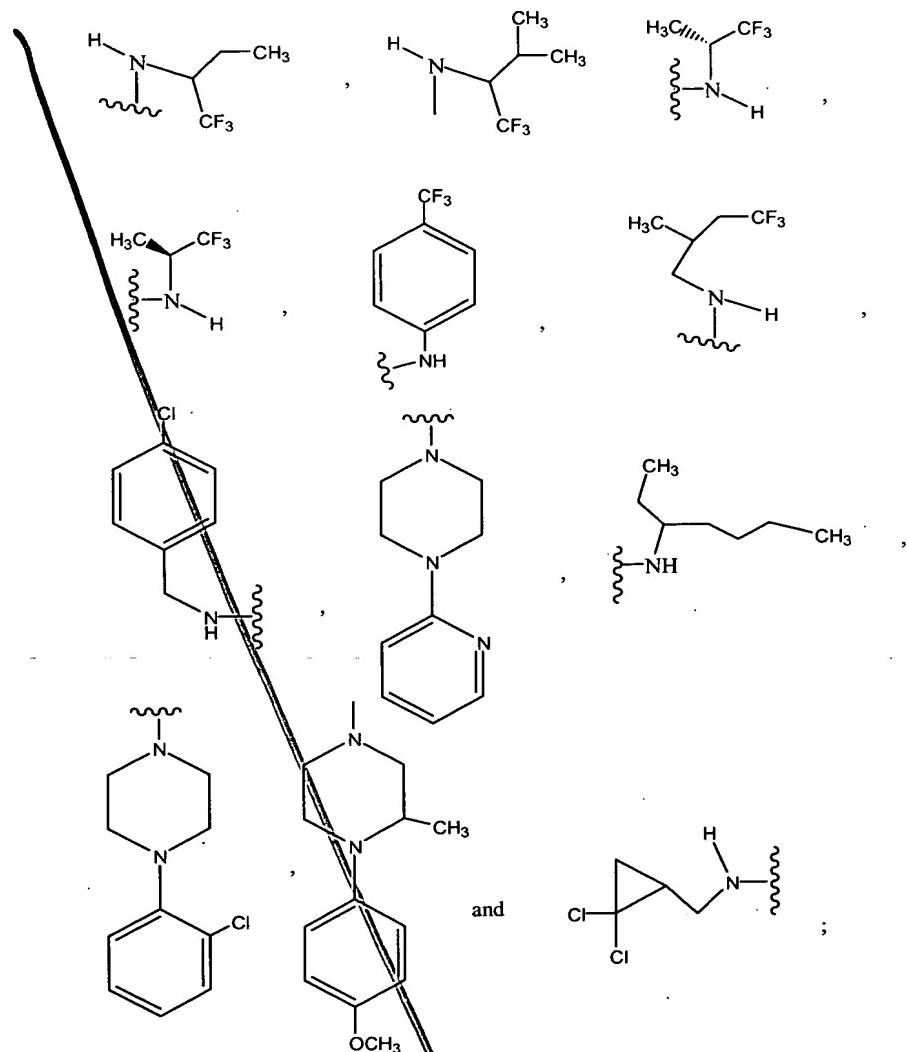




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R^2 is optionally substituted phenyl;

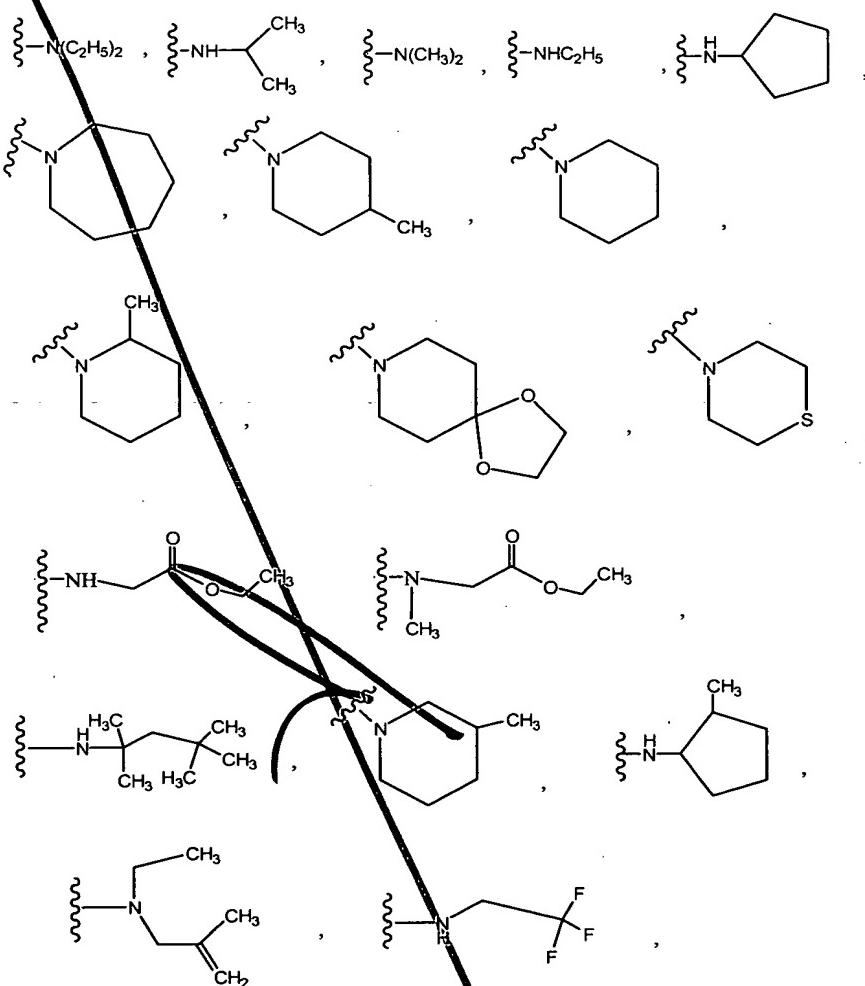
R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12

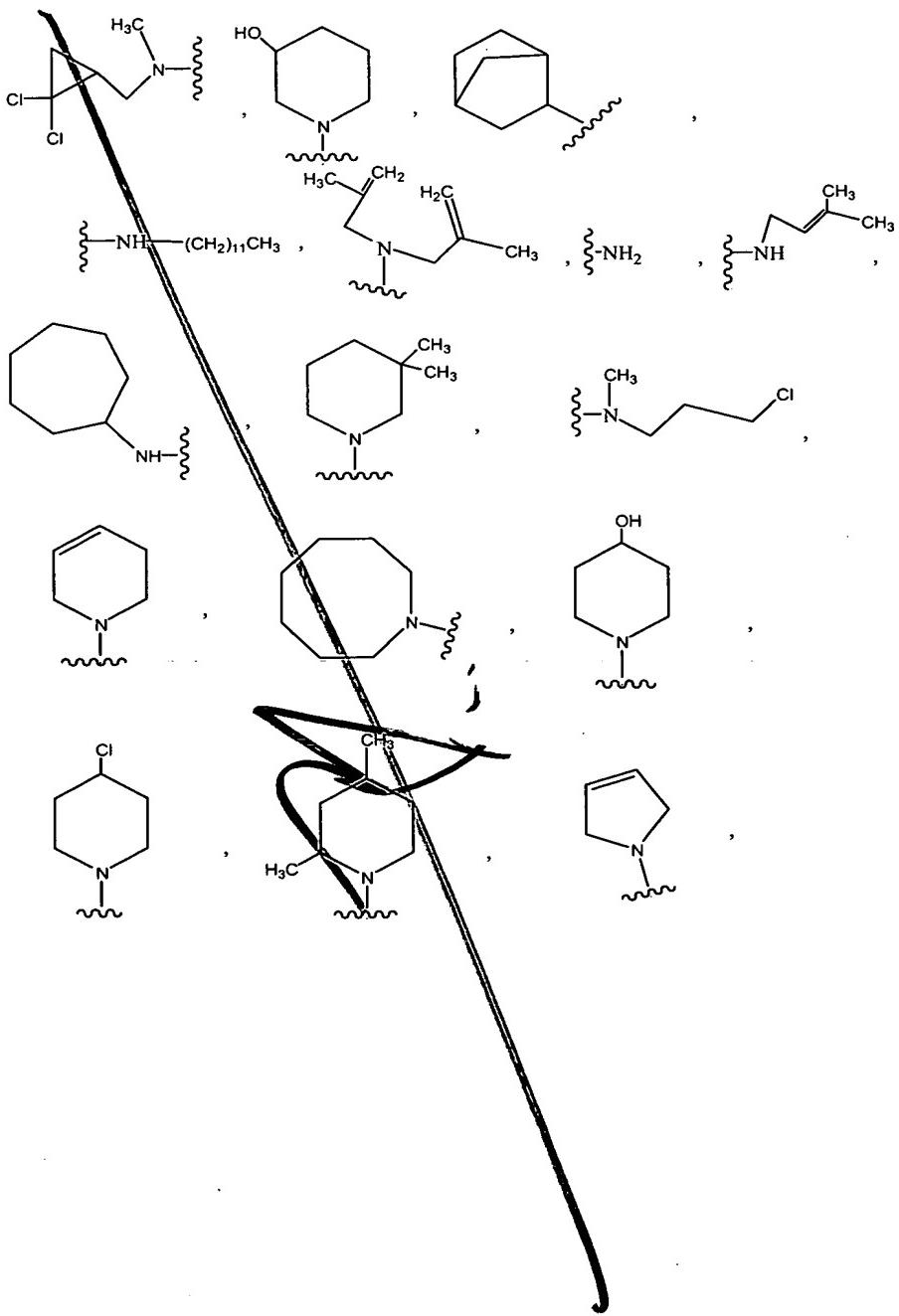
5 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

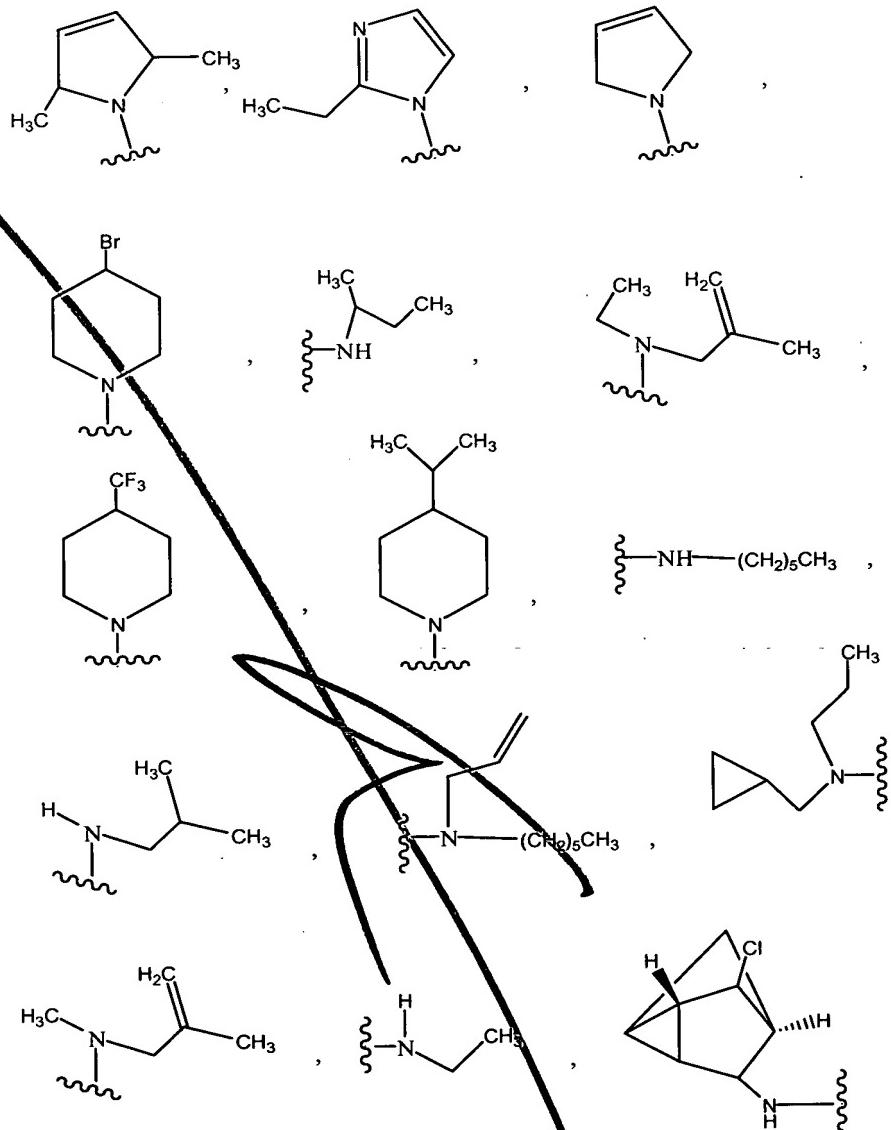
R^4 is H or a pharmaceutically acceptable salt thereof is administered.

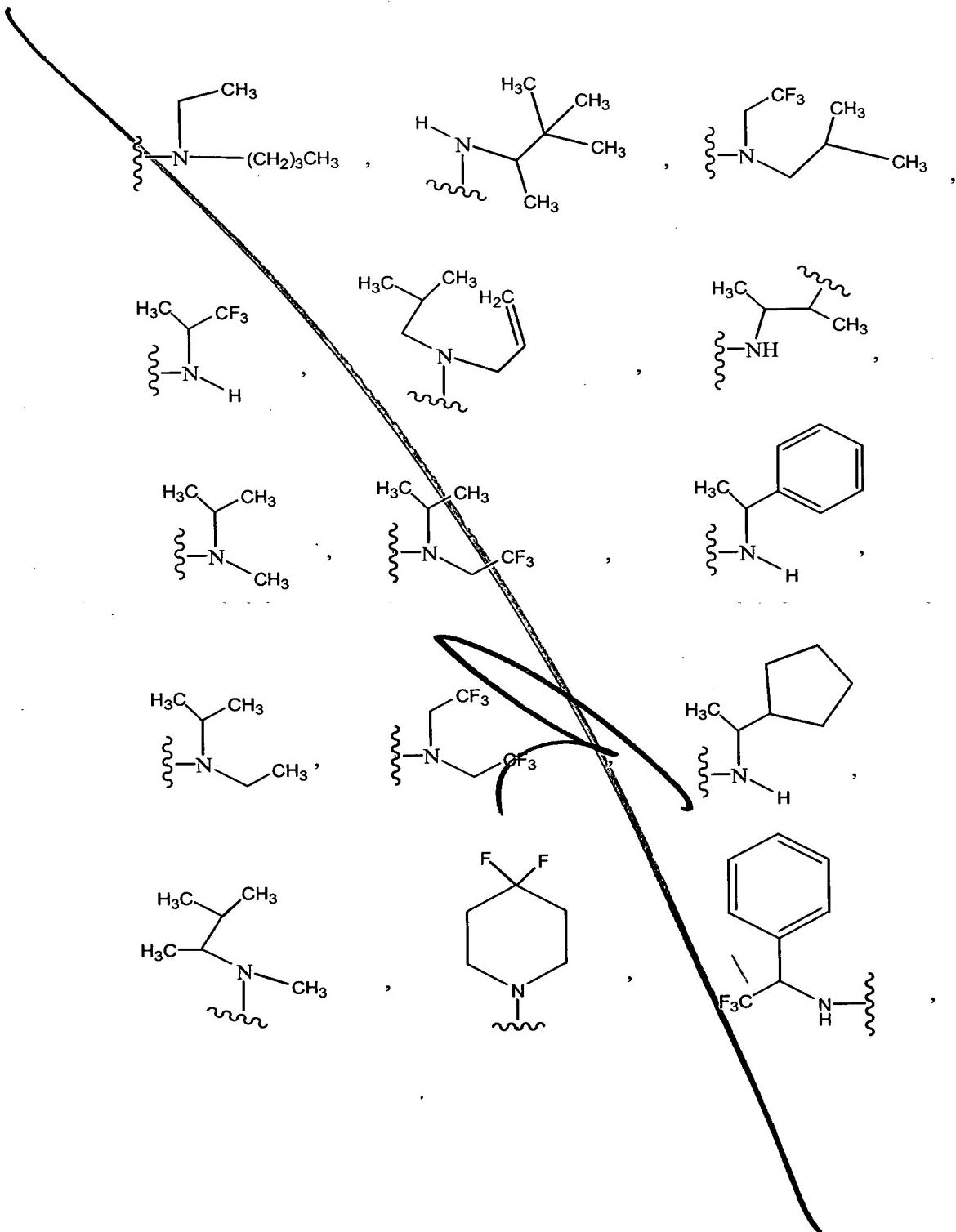
43. The method according to claim 24 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from

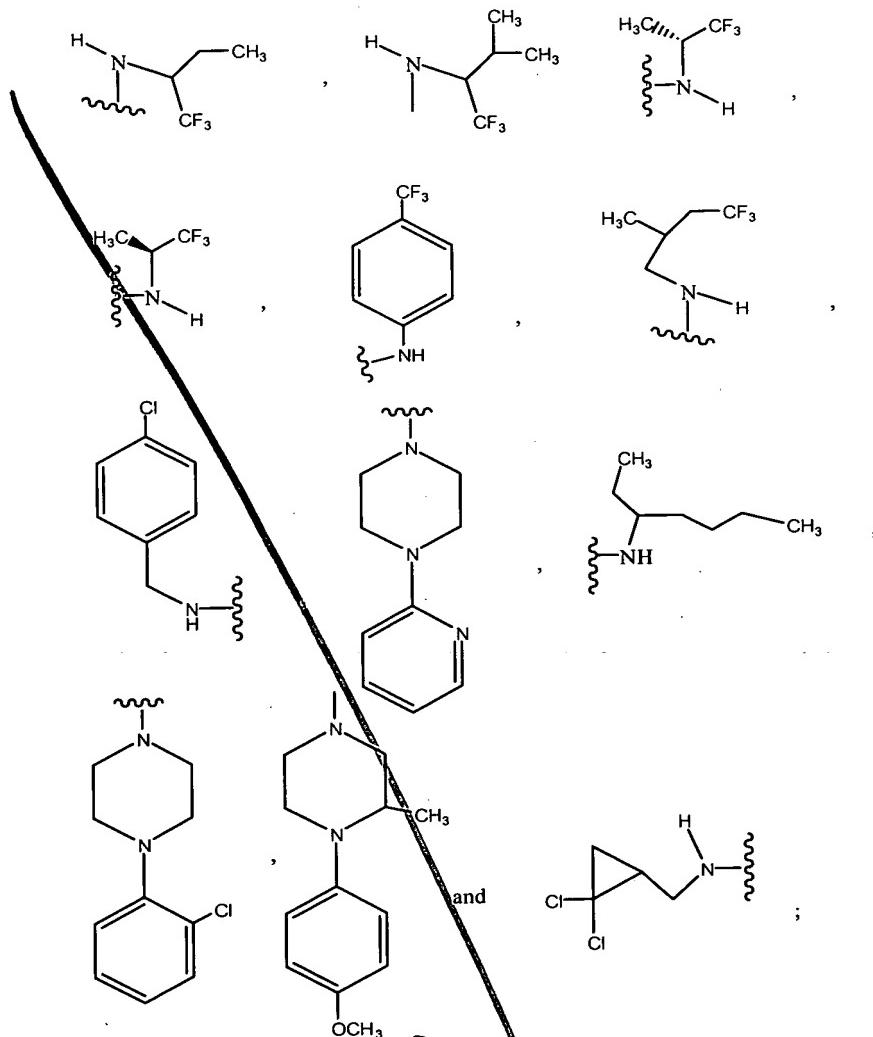
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R^2 is optionally substituted thiaryl;

R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12

- 5 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

R^4 is H or a pharmaceutically acceptable salt thereof is administered.

44. The method according to claim 24 wherein said compound selected from:

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- 7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 30 a]pyrimidine;

- 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-*tert*-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

10 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15
5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

~~1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;~~

25 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

~~5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

5 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-
isopropylamine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

~~5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

20 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;
- 10 1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;
- 15 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 35 5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 40 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 45 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-chloro-1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5a]pyrimidine;
- 25 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

35 N-{4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

40 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

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- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;
- 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;
- 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;
- diethyl 2-allyl-2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;

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- 6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin- 7-amine;
- 5 N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-
5 trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- [5-chloro-6-(2,4,6-trifluorophenyl)][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1-p-tolylethyl)-amine;
- 5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;
- 15 5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;
- [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;
- 30 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;
- 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;
- 2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;
- 5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;
- 5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;
- 20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;
- 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-{4-(2-fluorooethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- TOP SECRET E2C2E2E2E2E2
- 5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1,4-dioxa-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
~~ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;~~
diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;
5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- [5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;
- 5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)-[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;
- 15 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 20 diethyl 2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}-2-isobutylmalonate;
- 25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;
- 25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;
- 30 5-chloro-7-(3-nitro-4-methylanilino)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-N,N-1-diethyl-1,4-pantanediamine;
- 25 5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

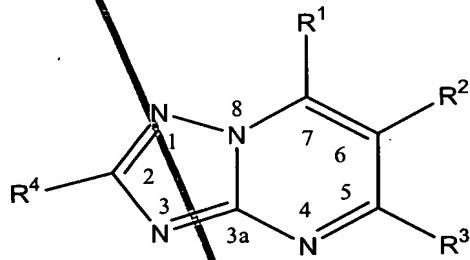
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- 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

- 5 45. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by administering to said mammal an effective amount of a substituted triazolopyrimidine derivative having a paclitaxel like mechanism of action on tubulin polymerization or a pharmaceutically acceptable salt thereof .

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46. The method according to Claim 45 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



(I)

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wherein:

- R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,

halogen, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1

- 5 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10
carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10
or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8
carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14
10 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{SO}_2\text{alkyl}$ of 1 to 12
carbon atoms, $-\text{O-aryl}$ of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of

- 15 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$

20 where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an

25 optionally substituted phenyl ring;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, 30 optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted

bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$, $-\text{SO}_2\text{alkyl}$, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, 10 optionally ortho-fused with an optionally substituted phenyl ring ;

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-\text{CH}_2-$ may optionally be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, 20 alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

25 R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-\text{NR}^c\text{R}^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, 30 alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $--\text{N}_3$;

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- R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;
- R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;
- R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 1 to 12 carbon atoms;

30

~~R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocycl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF₃;~~

~~provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-napthyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or -SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl~~

~~or a pharmaceutically acceptable salt thereof.~~

47. The method according to claim 46 wherein
R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms,
30 optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14

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carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, 5
optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-\text{CH}_2-$
may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1
to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon
atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where
10 R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S-aryl}$ of 6, 10 or 14 carbon
atoms, $-\text{S-alkyl}$ of 1 to 12 carbon atoms, $-\text{S-alkenyl}$ of 2 to 12 carbon atoms,
 $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms,
 $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, $-\text{O-aryl}$ of 6, 10 or 14 carbon atoms, and
15 the moiety $-\text{NR}^a\text{R}^b$ or a pharmaceutically acceptable salt thereof is
administered.

48. The method according to claim 46 wherein R^a and R^b each independently
represent the moiety $-\text{C}^*\text{H}(\text{R}^e)(\text{R}^f)$ where R^e and R^f independently represent
15 an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C^*
represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof
is administered.

49. The method according to claim 46 wherein R^2 is optionally substituted aryl
20 of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or
halogen or a pharmaceutically acceptable salt thereof is administered.

50. The method according to claim 46 wherein R^3 is halogen, alkyl of 1 to 12
carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-\text{NR}^c\text{R}^d$, benzyloxy,
25 aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon
atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms,
dialkylamino of 1 to 12 carbon atoms, or $-\text{N}_3$ or a pharmaceutically acceptable
salt thereof is administered.

30 51. The method according to claim 46 wherein R^4 is H, optionally substituted
alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon

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atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.

- 5 52. The method according to claim 46 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
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53. The method according to claim 46 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocycl or a pharmaceutically acceptable salt thereof is administered.
- 25

54. The method according to claim 46 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
- 30

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55. The method according to claim 46 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.
56. The method according to claim 46 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 5 to 10 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
57. The method according to claim 46 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
58. The method according to claim 46 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
59. The method according to claim 46 wherein R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

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60. The method according to claim 46 wherein R¹ is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b 10 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
- 15 61. The method according to claim 46 wherein R¹ is the moiety -NR^aR^b 20 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
- 25 62. The method according to claim 46 wherein R¹ is the moiety -NR^aR^b 20 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where

5 R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an

10 optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1

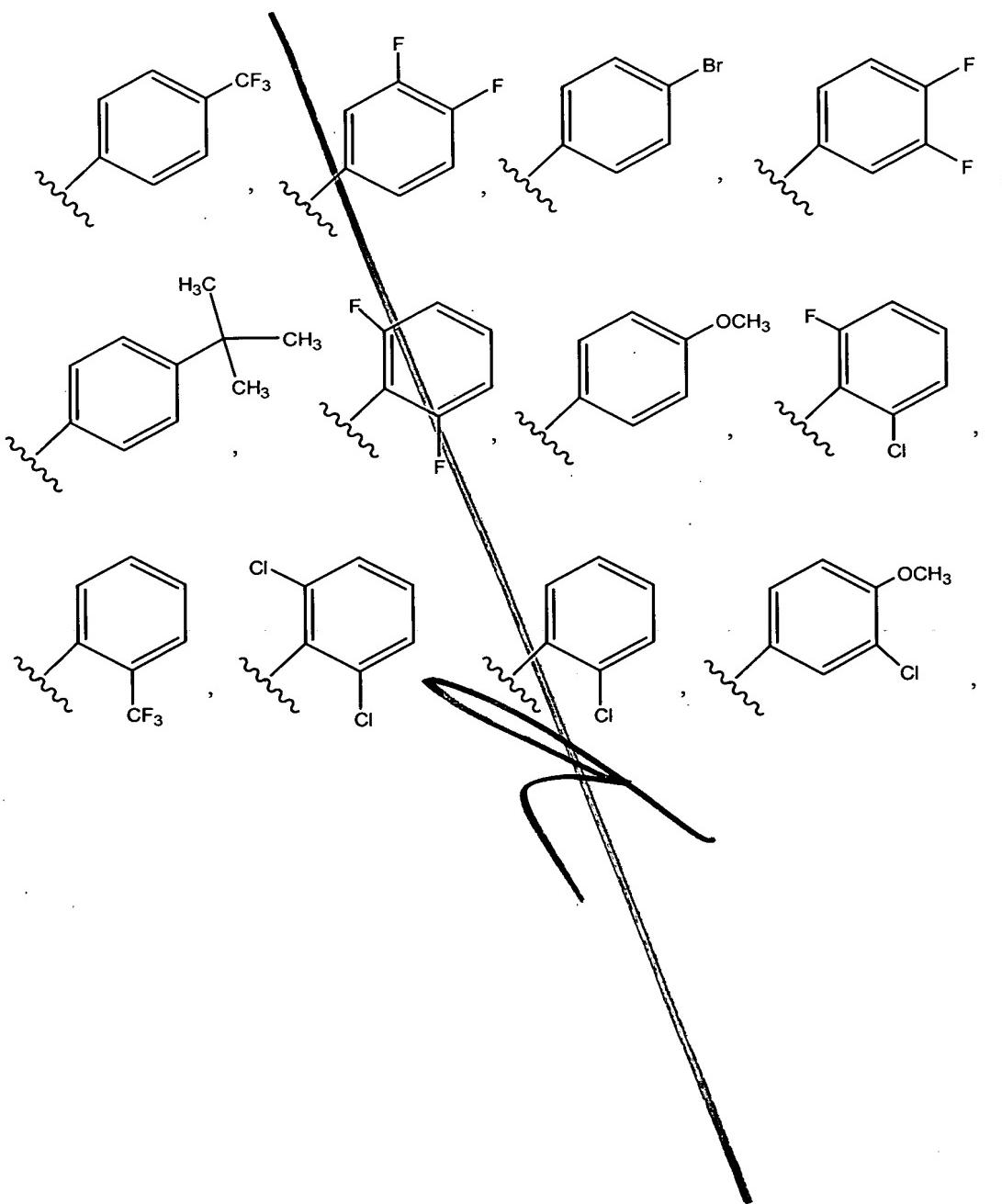
15 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms,

20 -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms; R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 2 to 12 carbon atoms, said

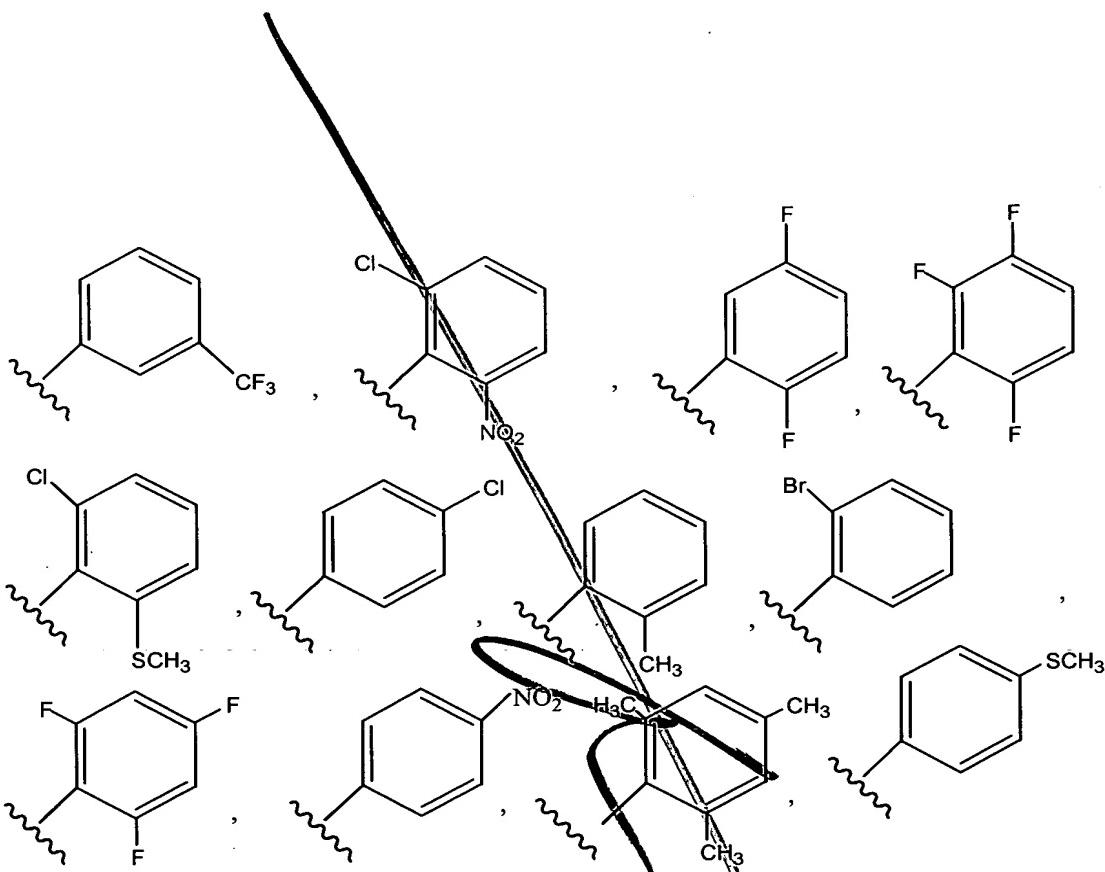
25 saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

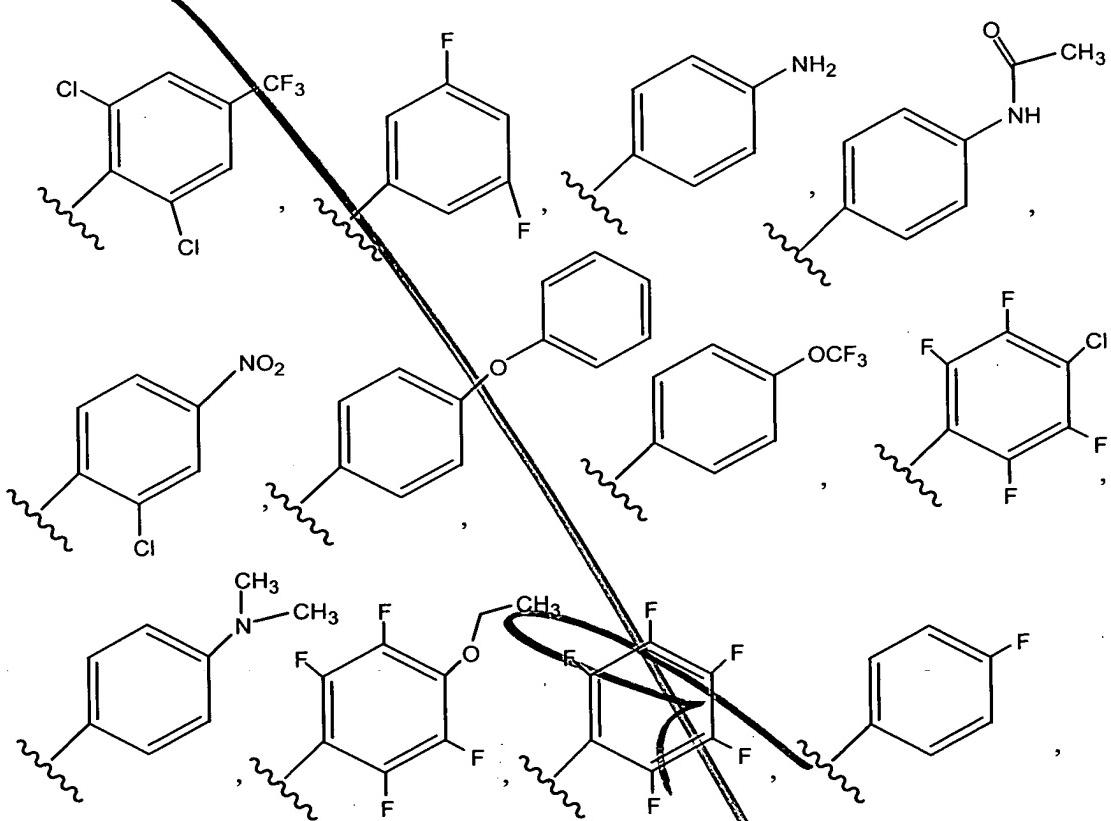
R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one –CH₂- may also

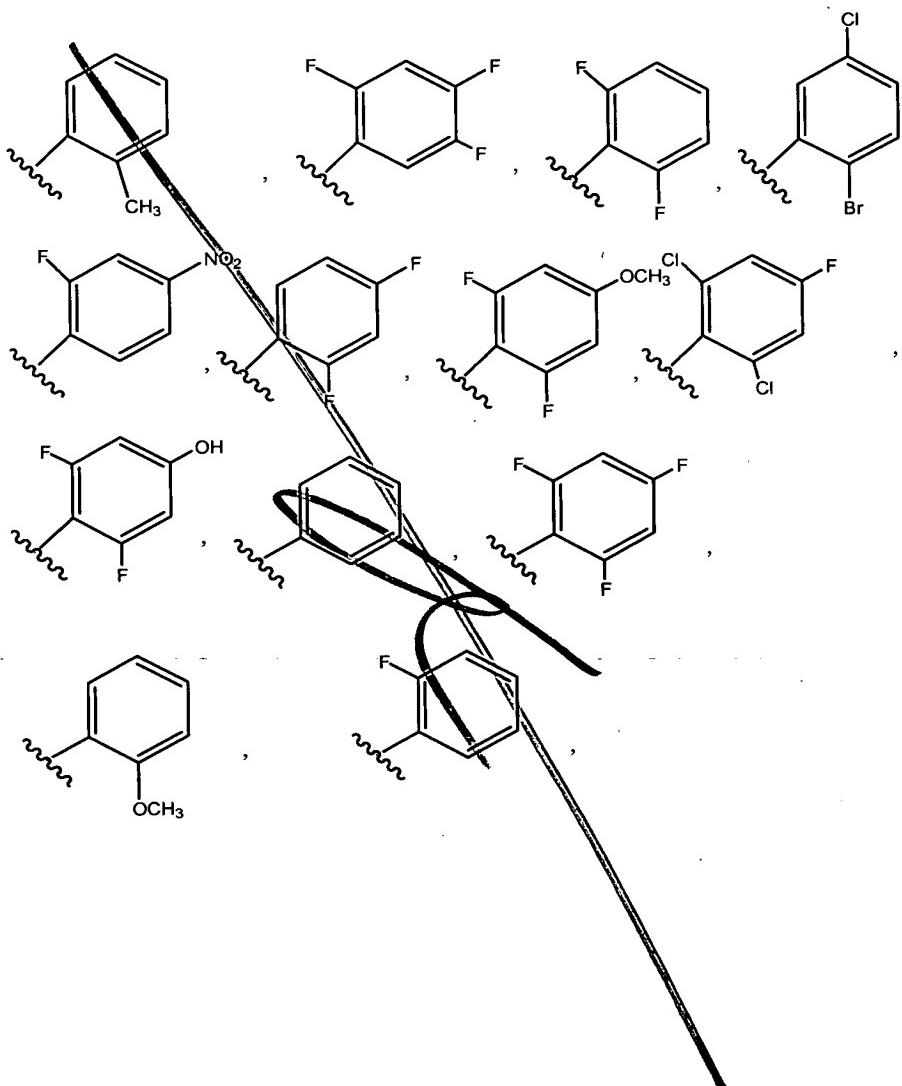
- X
- be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;
- 5 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;
- 10 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
- 15 25. 63. The method according to claim 46 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;
 R^2 is selected from

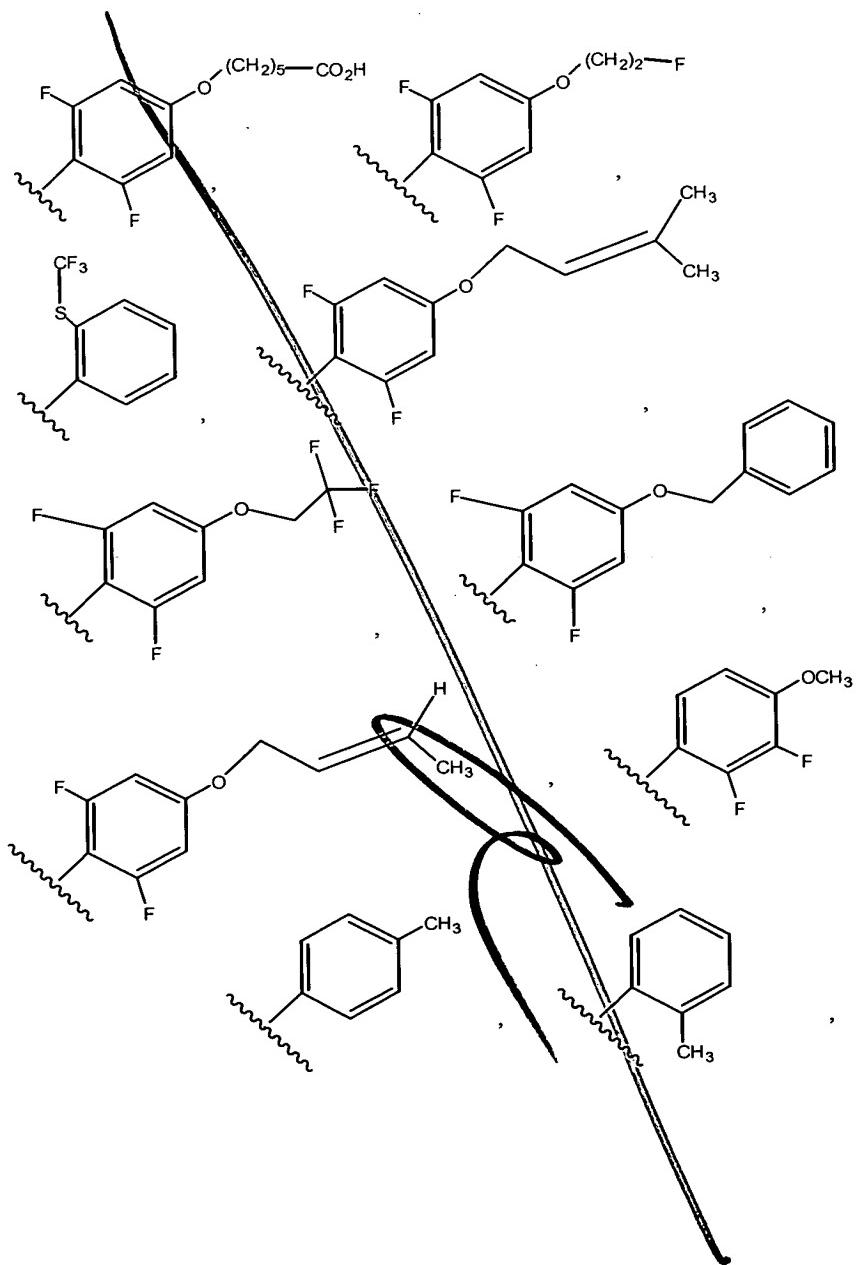


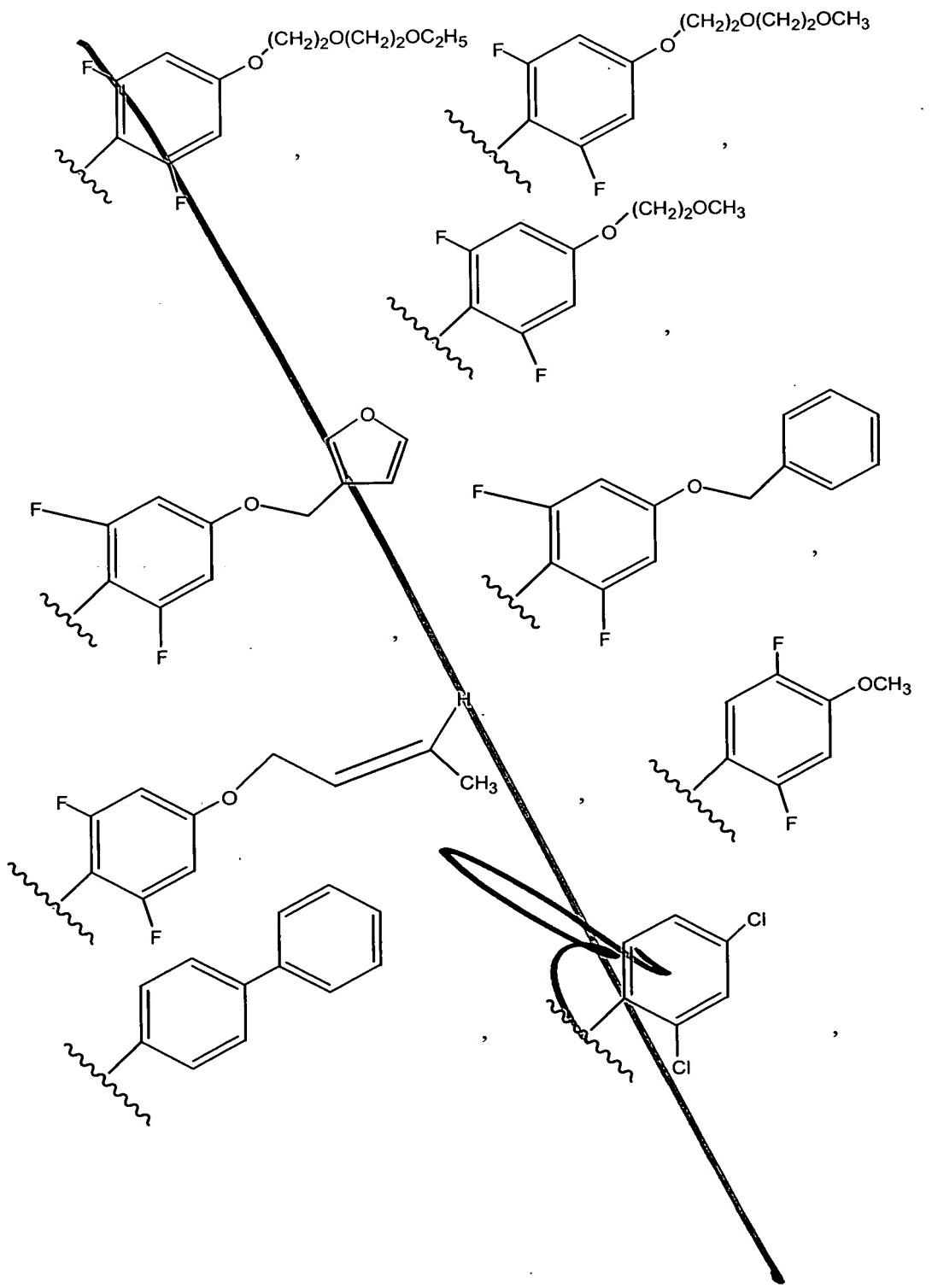
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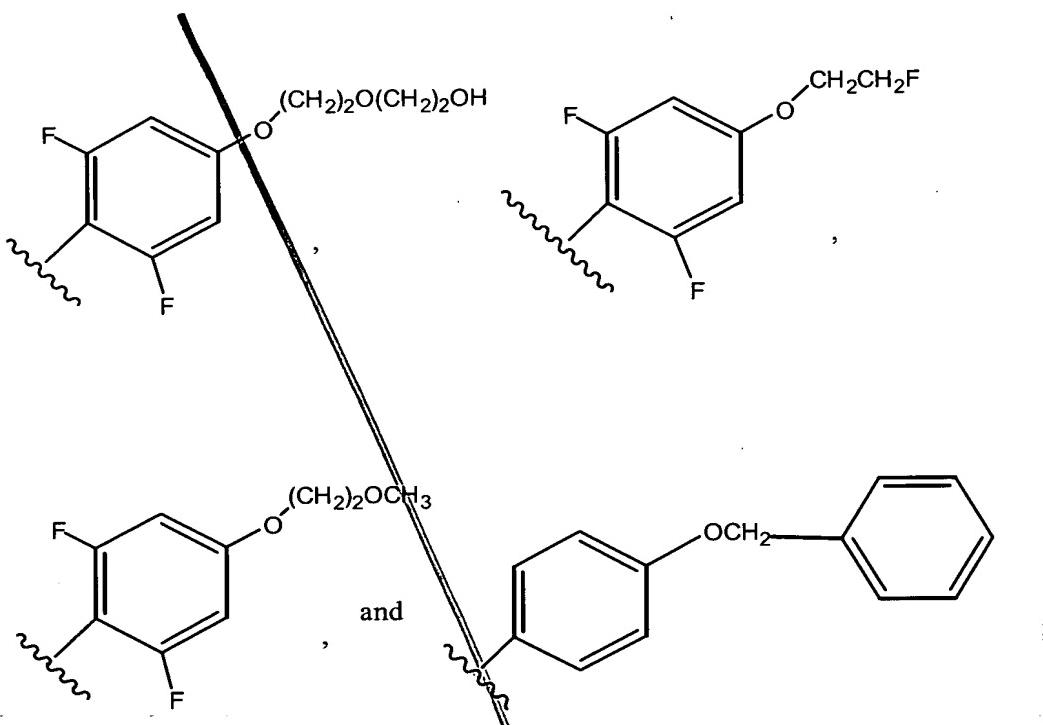




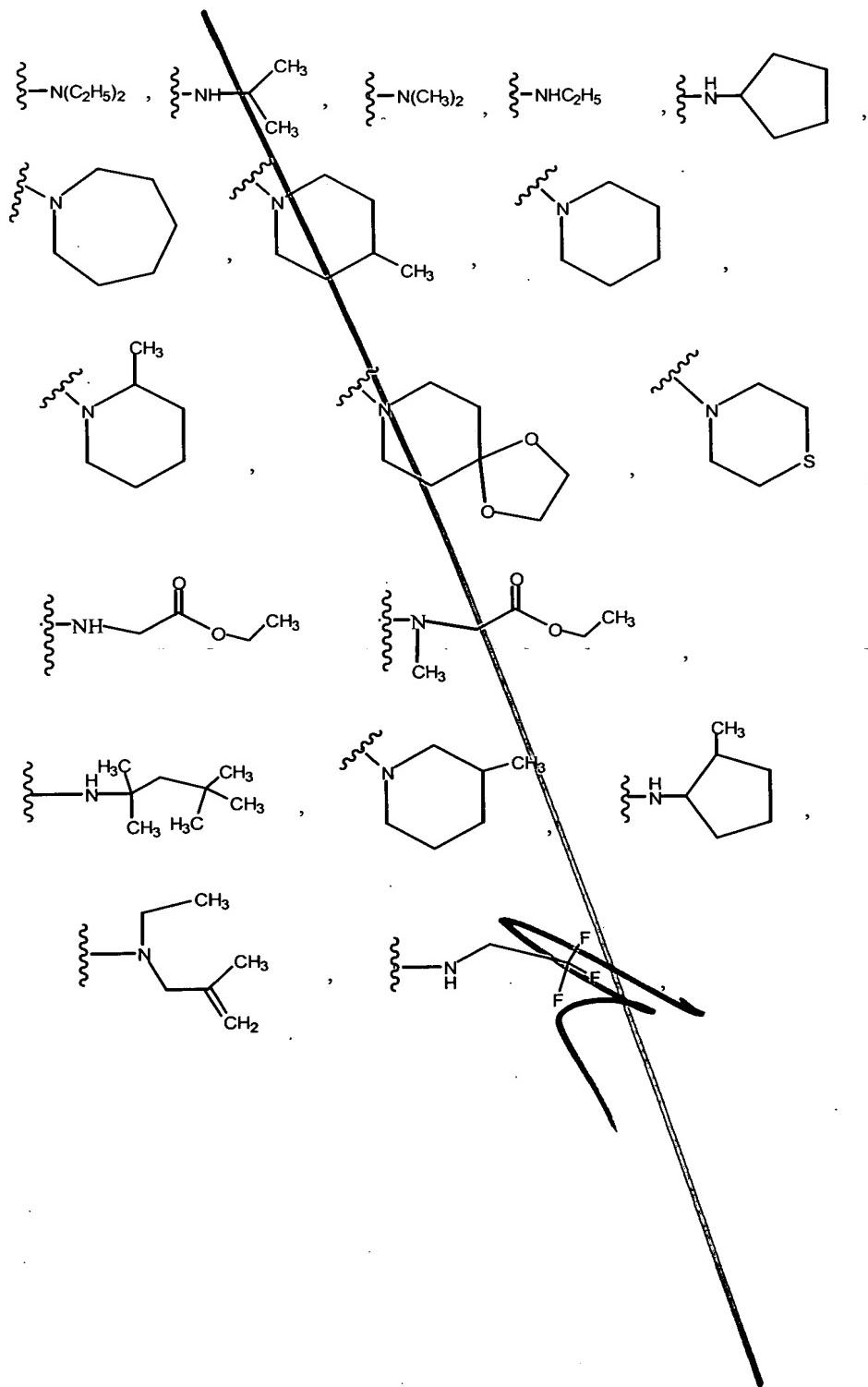


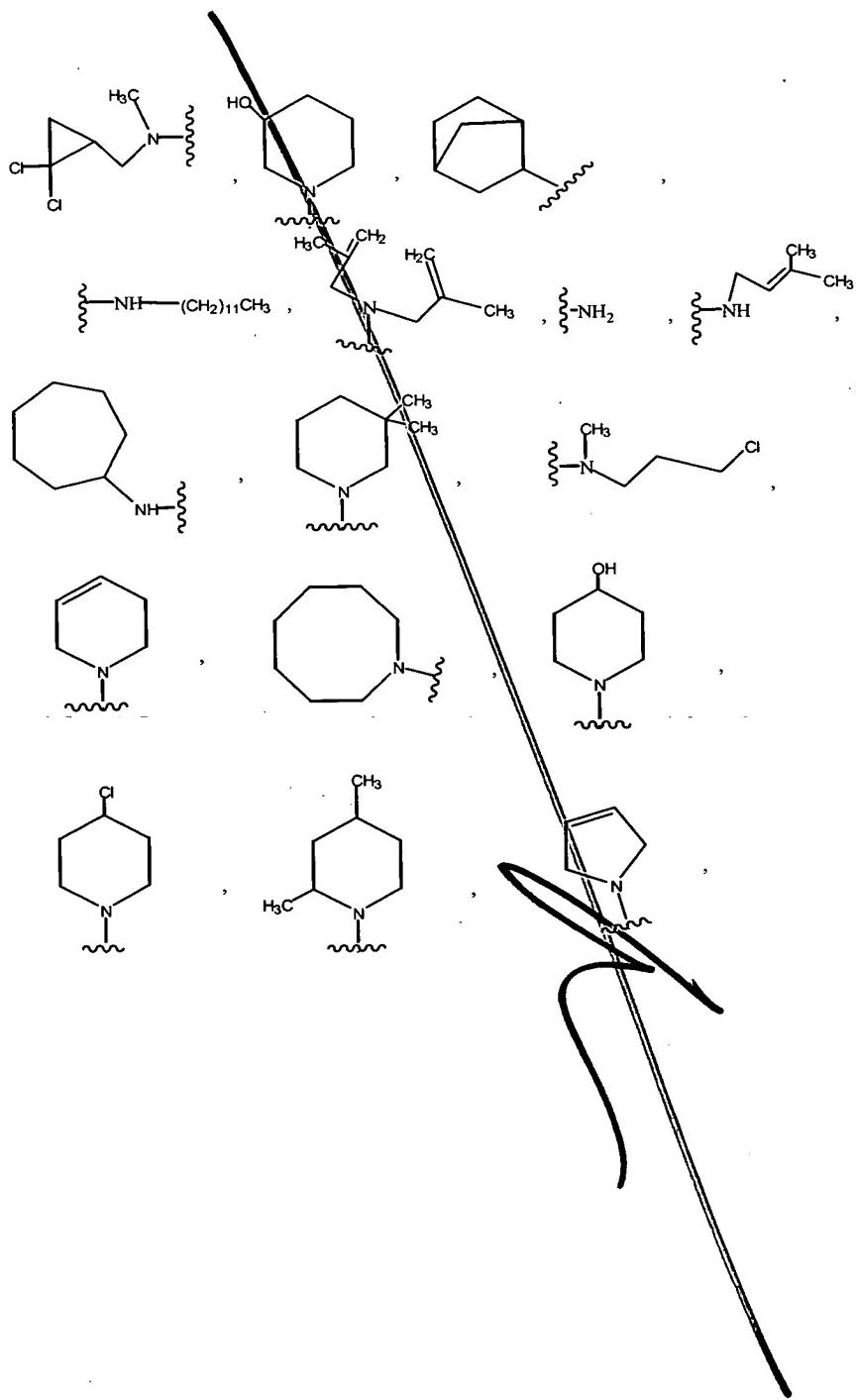


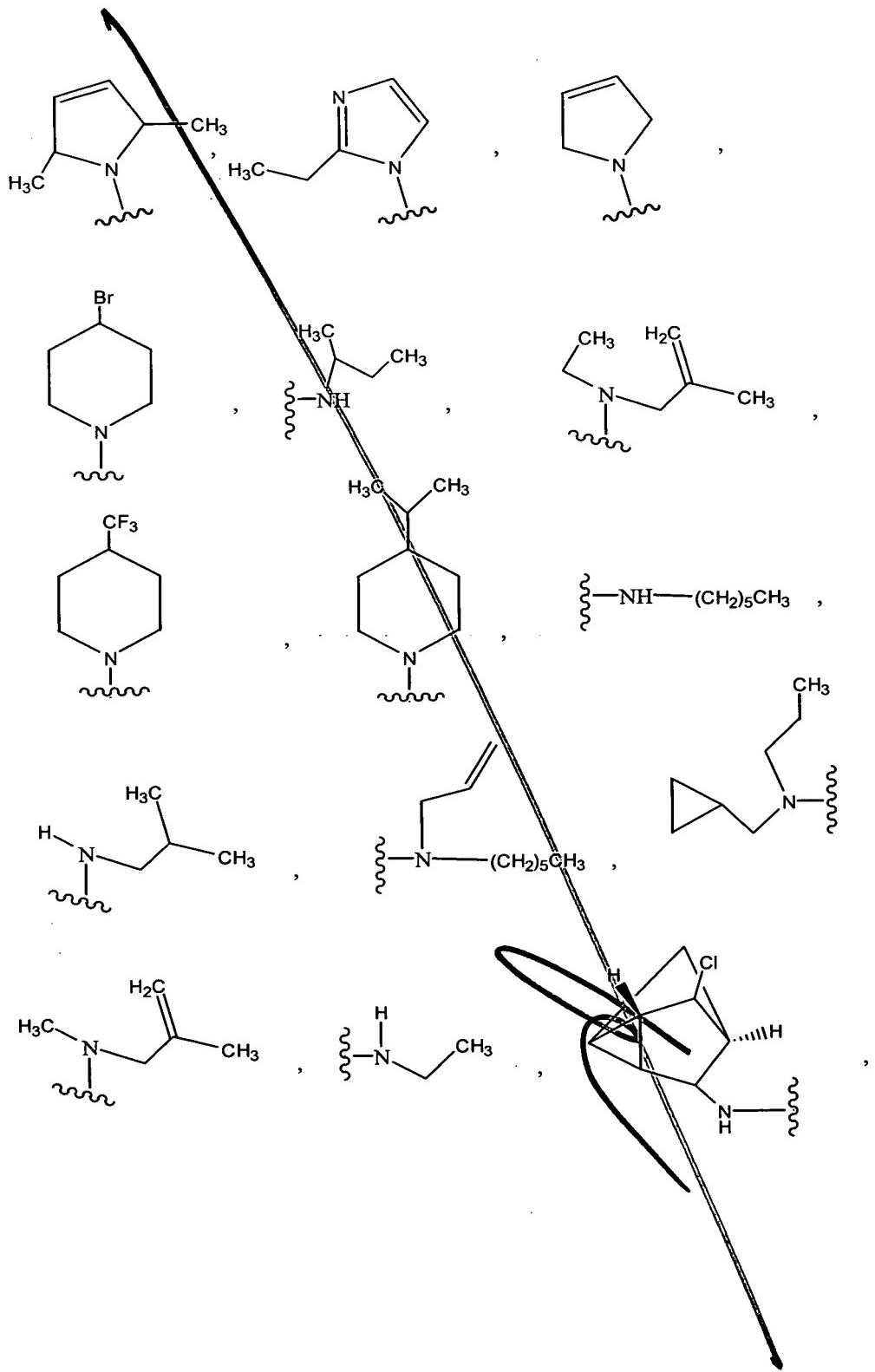


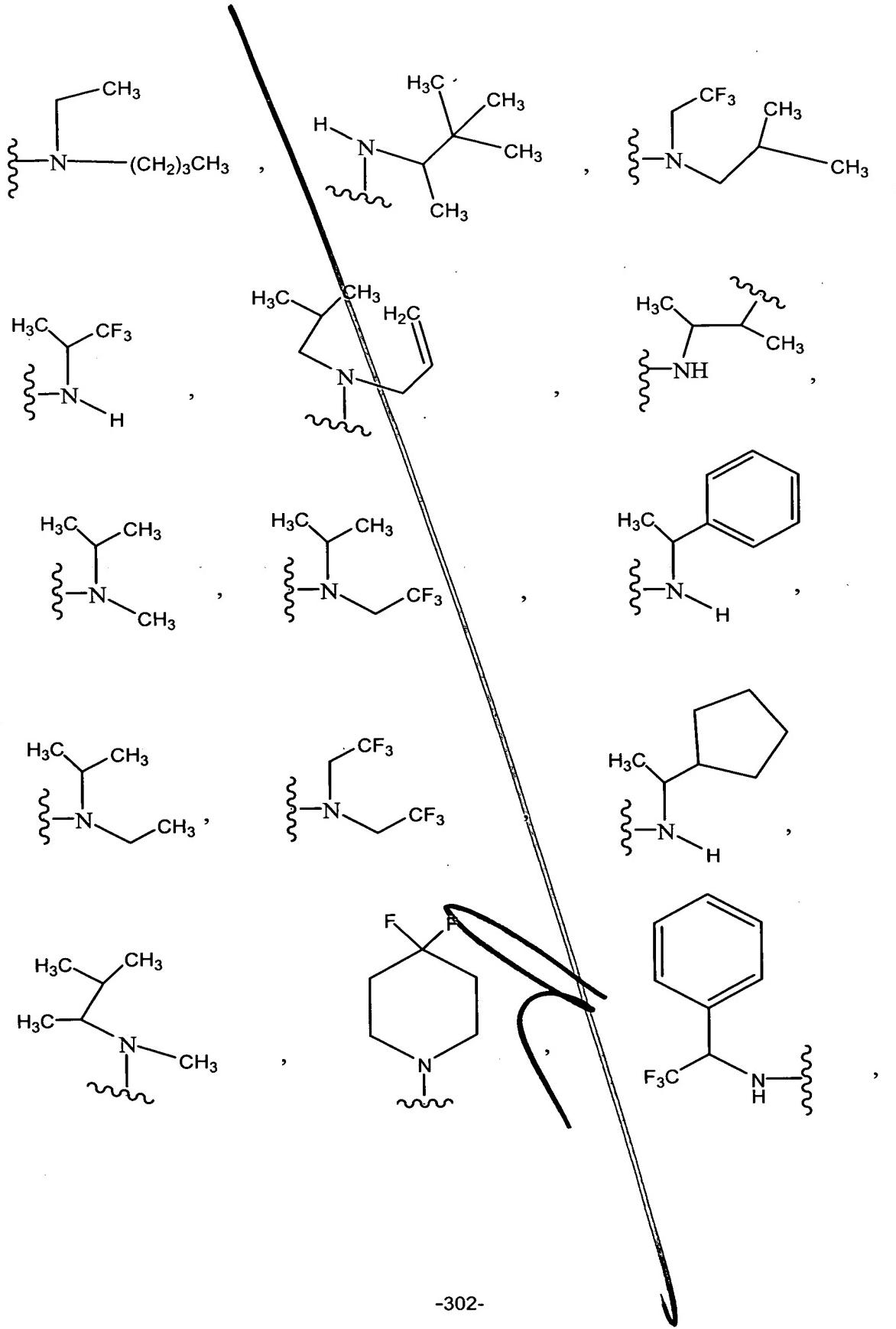


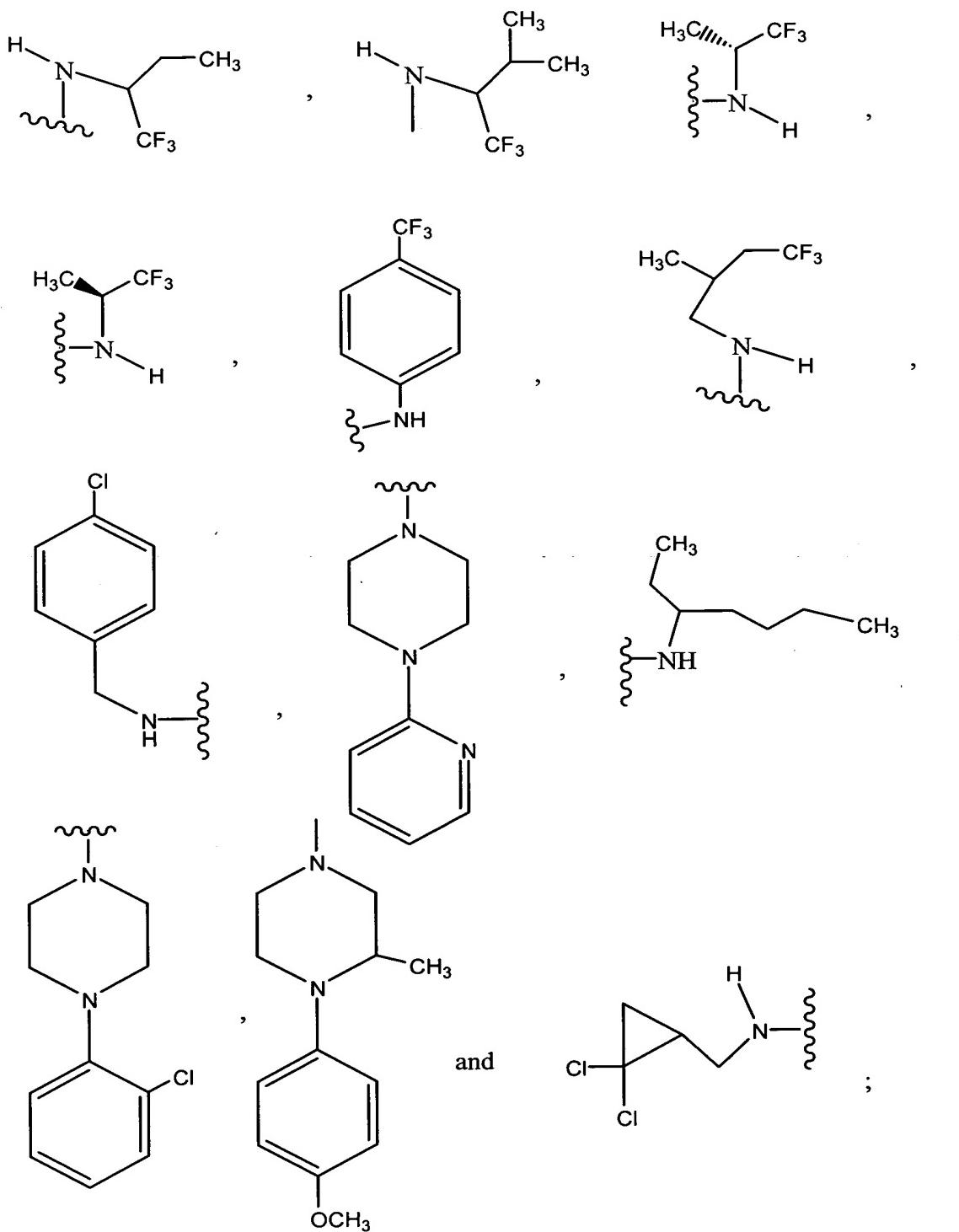
- R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;
- 5 R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
64. The method according to claim 46 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R¹ is selected from











R^2 is optionally substituted phenyl;

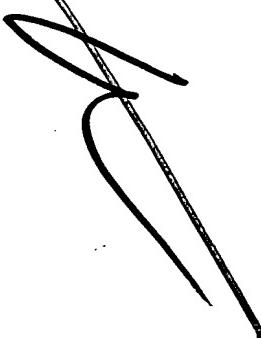
R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

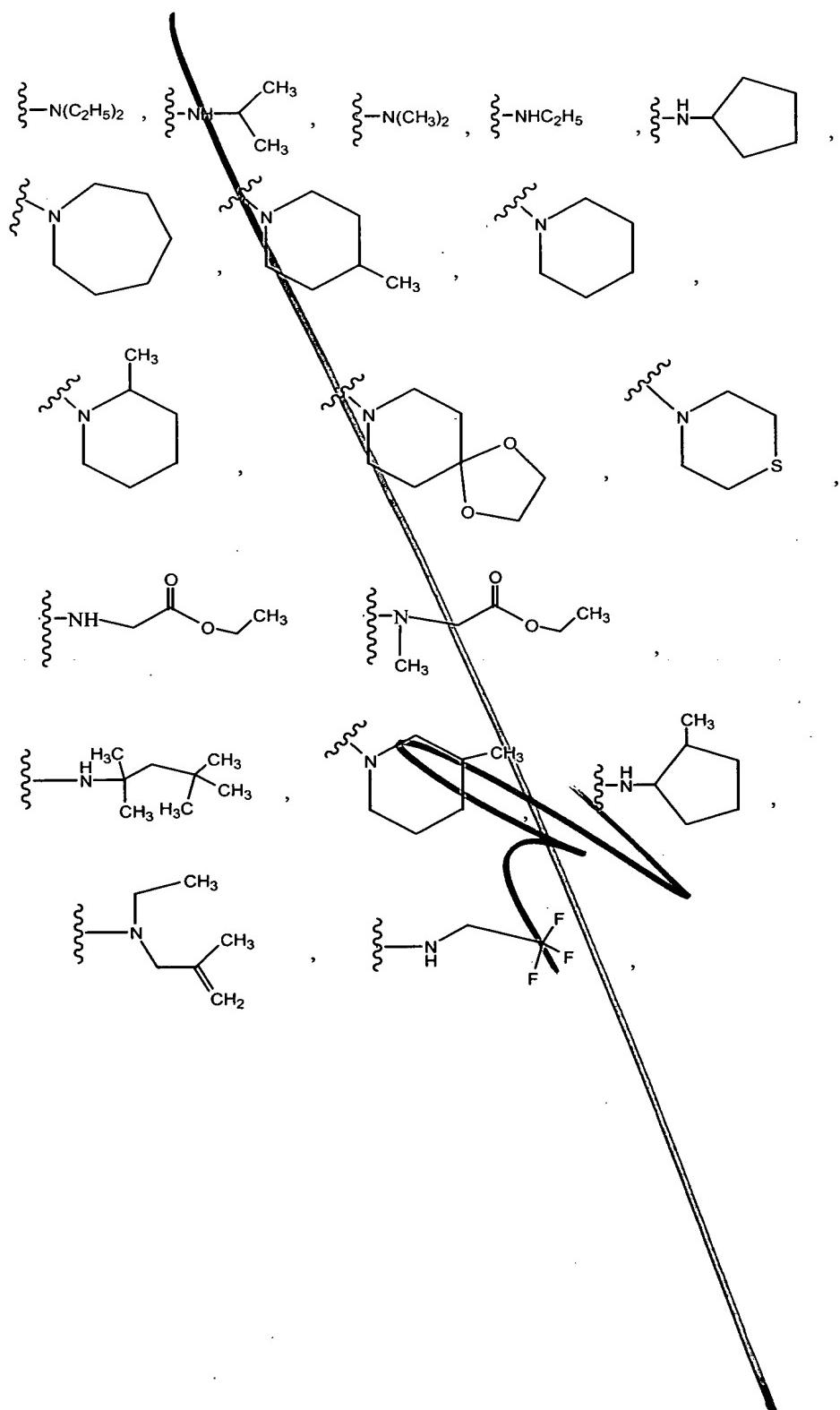
R^4 is H or a pharmaceutically acceptable salt thereof is administered.

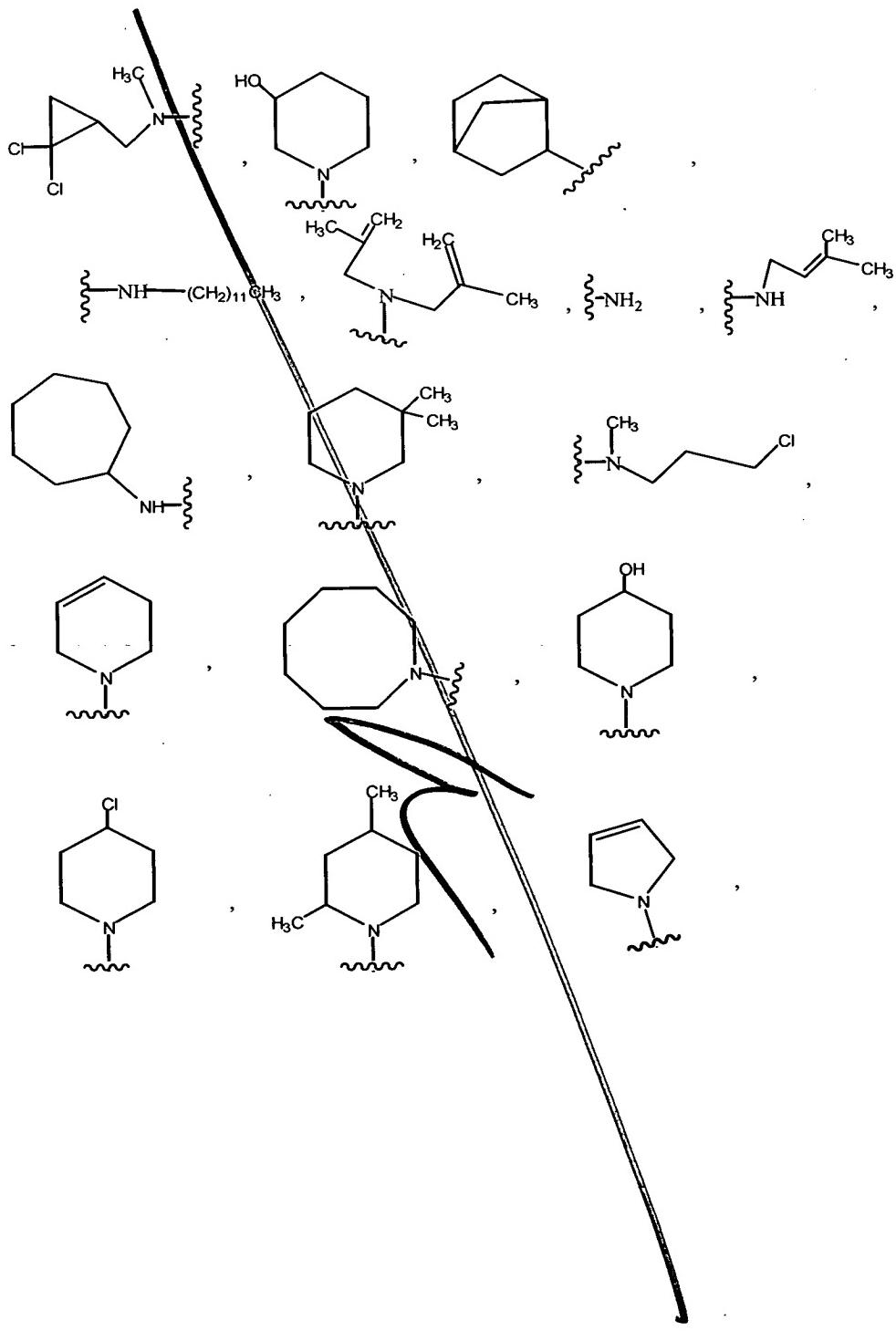
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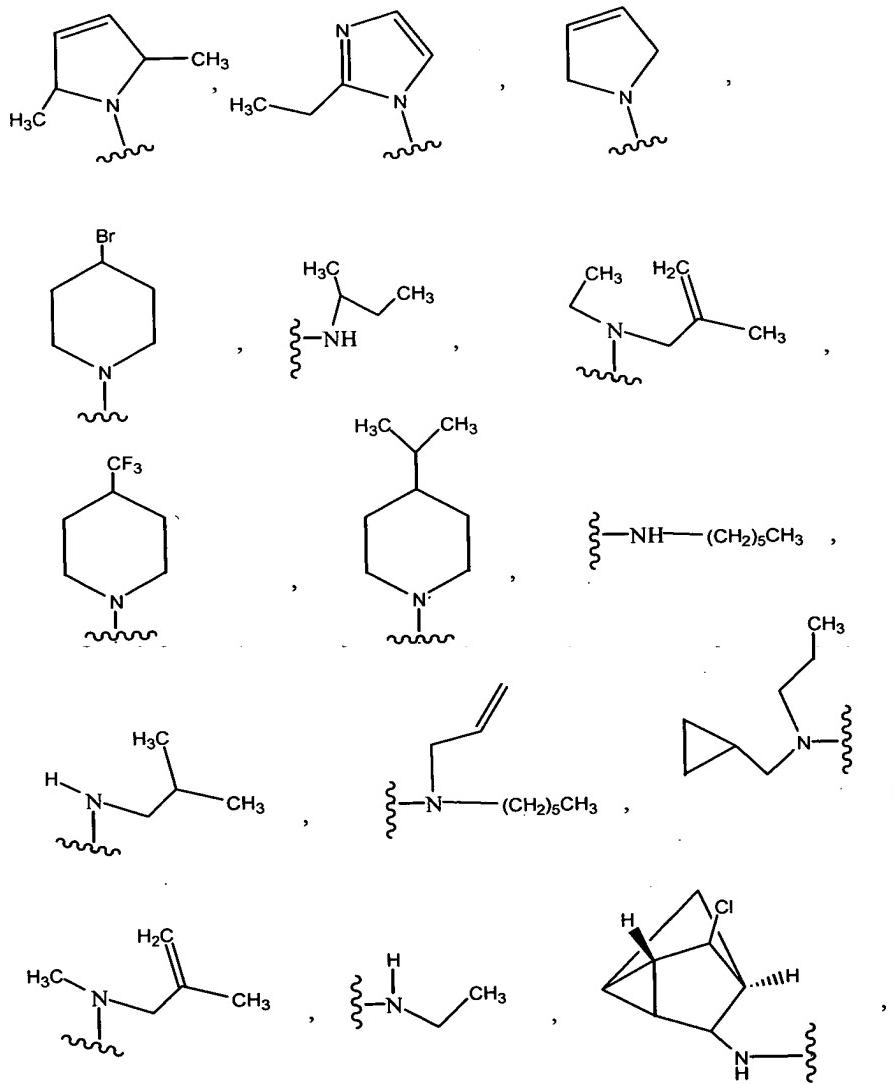
65. The method according to claim 46 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from

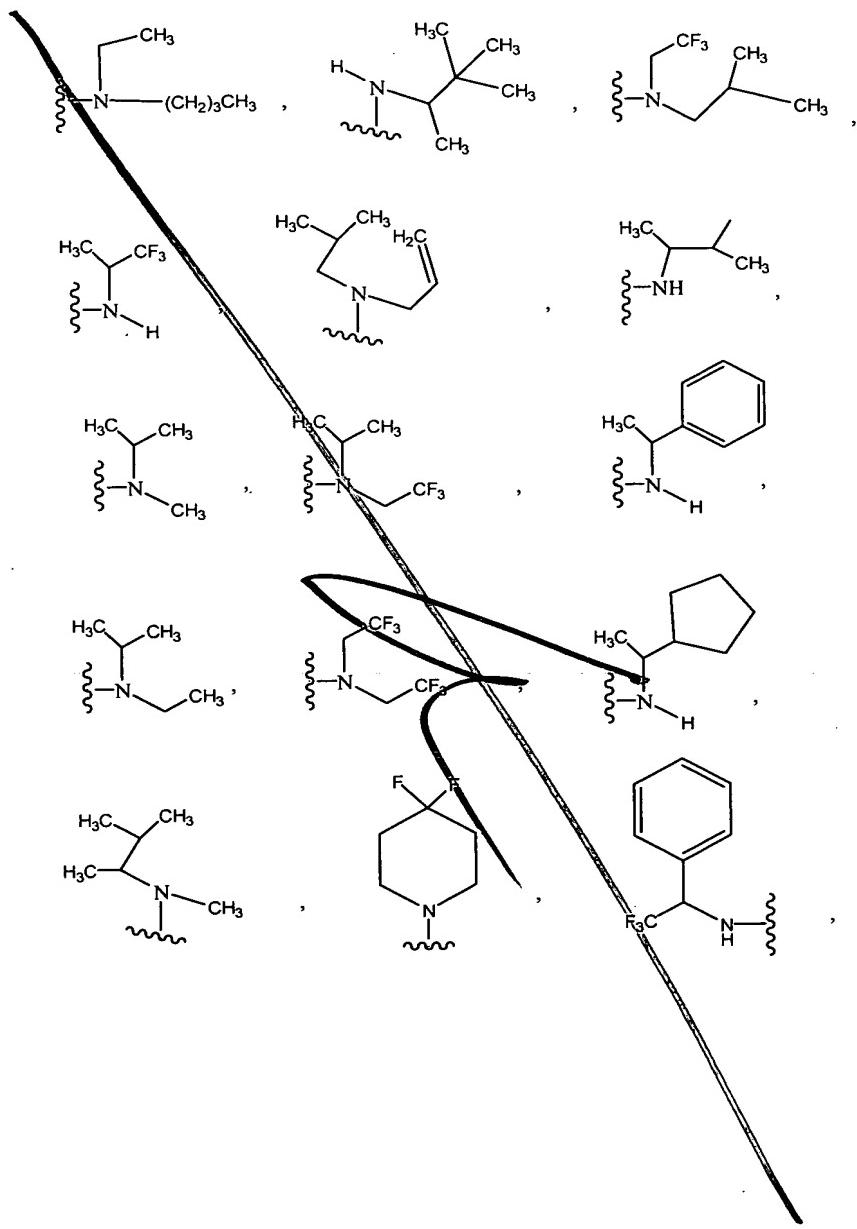
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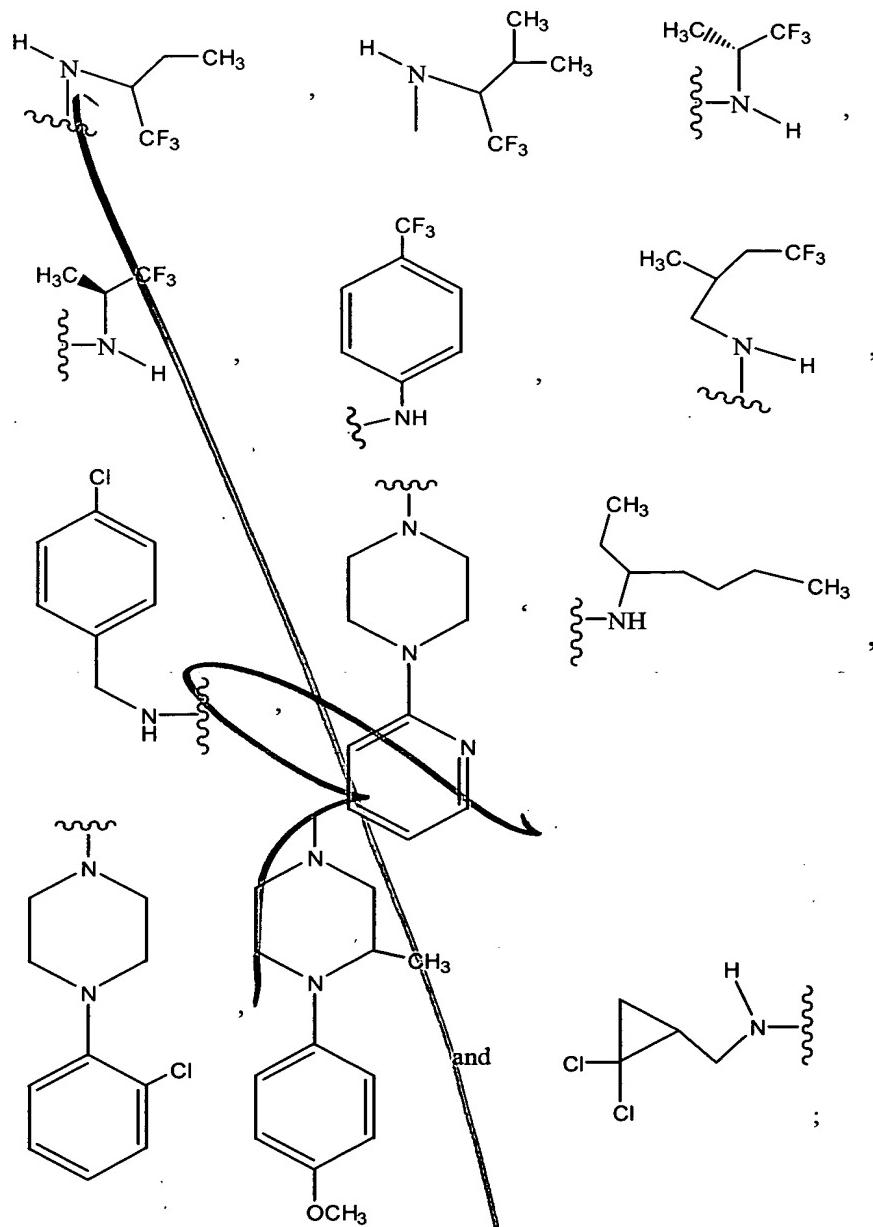












R^2 is optionally substituted thienyl;

R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12

5 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

R^4 is H or a pharmaceutically acceptable salt thereof is administered.

66. The method according to claim 46 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1;2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 10 Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 15 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;
- 30 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;

10 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;
- 10 1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;
- 15 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-chloro-1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-*a*]pyrimidin-7-amine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-
yli][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15
5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-
a]pyrimidine:

5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

25 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-
alpyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
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- 7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;
- N-{4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;
- [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;
- 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;
- 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,5-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;
- diethyl 2-allyl-2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;

6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-
'a]pyrimidin-7-amine;

25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 100-200-300-400-500-600-700
- 5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-
5 trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-penty[1,2,4]triazolo[1,5-a]pyrimidine;

5
5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

~~5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 [5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolyl-ethyl)-amine;

~~5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;~~

25 5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-
alpyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;
- 15 5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;
- [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;
- 30 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;
- 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 0 9 8 7 6 5 4 3 2 1 0 9 8 7 6 5 4 3 2 1 0
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;
- 2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;

5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;

5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 (5-chloro-6-{4-[2-(2-ethoxyethoxy]ethoxy]-2,6-difluoro-
phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-
methylethyl)amine;

(5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-
15 [1,2,4]triazolo[1,5-a]pyrimidin-7-yl-)-(2,2,2-trifluoro-1-methylethyl)amine;

5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;

20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;
- 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1,4-dioxa-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5,7-diphenoxo-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-
- 10 amine;
- 5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-
- 15 a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-
- a]pyrimidine;
- 20 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-
- amine;
- 7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-
- 25 yl]amino}acetate;
- diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-
- malonate;
- 30 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-
- a]pyrimidin-7-amine;

[5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)-[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

20 diethyl 2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}-2-isobutylmalonate;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-N,N-1-diethyl-1,4-pantanediamine;
- 25 5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

DEPARTMENT OF CHEMISTRY

- 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

~~2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.~~

- D 3*
- 5 67. The method according to claim 1 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

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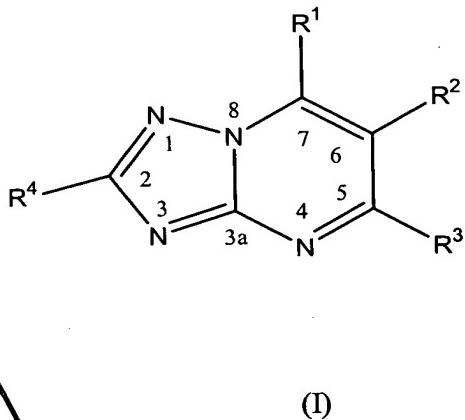
- 10 68 The method according to claim 23 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

- 15 69. The method according to claim 45 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

- a 3*
- 20 70. A pharmaceutical composition for treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof comprising an effective amount of a compound of Formula (I):
- cont*

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wherein:

- 5 R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,
- 10 halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10
- 15 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12
- 20 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of

(Q3 cont)

2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10

- 5 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an 10 optionally substituted phenyl ring ;

- R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, 15 optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally 20 substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, 25 cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

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cont*

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocycl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocycl ring may optionally be aryl or cycloalkyl fused;

10 R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocycl or halogen;

15 R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocycl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or 20 $-N_3$;

25 R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an 30 alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to

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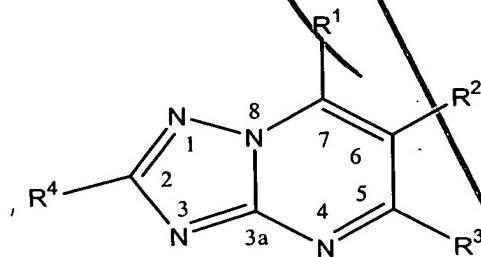
- 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;
- 5 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;
- 10 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or alkyl of 1 to 12 carbon atoms;
- 15 R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF₃;
- 20 provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is

*D3
cont*

5 cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R¹ is 2-amino-
bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or -SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable carrier.

15

71. A pharmaceutical composition for treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by interacting with tubulin and microtubules by promotion of microtubule polymerization which comprises an effective amount of a compound of Formula (I):



(I)

~~13 cont~~

wherein:

- R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocycl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;
- R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocycl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocycl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

- (Q3 cont)*
- 10 R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;
- 15 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;
- 20 R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;
- 25 R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms,
- 30 R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms,

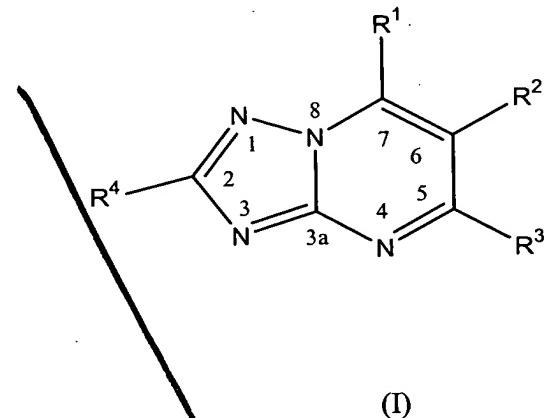
(c) cont

atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃;

- 5 R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;
- 10 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;
- 15 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms.
- 20 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms.
- 25 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms.
- 30 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms.

substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$
where R' is H or alkyl of 1 to 12 carbon atoms;

- R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally
5 substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12
carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12
carbon atoms, halogen, cyano, carboxy, alkoxy carbonyl of 2 to 12 carbon
atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or
14 carbon atoms, or $-\text{CF}_3$;
10 provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is
not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-
methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not
4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen,
R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is
15 cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-
trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R¹ is 2-amino-
bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-
trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not
20 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴
is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is $-\text{SO}_2\text{ethyl}$ or
 $-\text{SO}_2\text{cyclopentyl}$, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-
6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are
not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-
trifluorophenyl, and R³ is not $-\text{OCH}_2\text{O}_2\text{C}(\text{CH}_3)_3$; k) R¹ is 2-thienyl, R⁴ is ethyl,
25 R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-
trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-
dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof together
with a pharmaceutically acceptable carrier.
30 72. A pharmaceutical composition comprising a compound of Formula (I):



5 wherein:

- R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

- ~~R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;~~
- ~~15 R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;~~

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocycl ring from 3 to 12 ring atoms, in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocycl ring may optionally be aryl or cycloalkyl fused;

5 R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted 10 alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocycl or halogen;

15 R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocycl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or 20 $-N_3$;

25 R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an 30 alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to

10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;

R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;

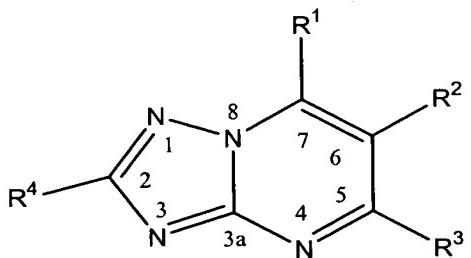
R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or alkyl of 1 to 12 carbon atoms;

R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF₃;

provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is

- A3
- cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or -SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier.
73. A method for the treatment or prevention of multiple drug resistance (MDR) in a mammal in need thereof which method comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.
74. The method of claim 73 wherein the multiple drug resistance (MDR) is mediated by p-glycoprotein or MXR.
75. The method according to Claim 73 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:

C³
cont



(I)

wherein:

- 5 R^1 is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms,
- 10 heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety $-NR^aR^b$;
- 15 R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon

*Q3
Cont*

- atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocycl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocycl ring, optionally ortho-fused with an 10 optionally substituted phenyl ring ;
- R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted 15 bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 20 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocycl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocycl ring, 25 optionally ortho-fused with an optionally substituted phenyl ring ;
- R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocycl ring from 3 to 12 ring atoms in which optionally, at least one –CH₂- may optionally be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocycl ring may optionally be aryl 30 or cycloalkyl fused;

O³
CyD

- R² is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocycl or halogen;
- R³ is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocycl, aryl, hydroxy, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃;
- R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocycl;
- R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted

*A3
cont*

- cycloalkyl of 3 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;
- R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or alkyl of 1 to 12 carbon atoms;
- R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-\text{CF}_3$;
- provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is cyclopentylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R^1 is 2-amino-bicyclo(2.2.1.)heptyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl and f) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl and g) R^1 is 1,1,1-trifluoroethoxy, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl h) R^1 is $-\text{SO}_2\text{ethyl}$ or $-\text{SO}_2\text{cyclopentyl}$, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-

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fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof.

76. The method according to claim 75 wherein
10 R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms,
15 optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,
20 -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b or a pharmaceutically acceptable salt thereof is administered.
25
77. The method according to claim 75 wherein R^a and R^b each independently represent the moiety -C*H(R^e)(R^f) where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof
30 is administered.

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78. The method according to claim 75 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocycl or halogen or a pharmaceutically acceptable salt thereof is administered.

5 79. The method according to claim 75 wherein R³ is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

10 80. The method according to claim 75 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.

15 81. The method according to claim 75 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b wherein

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R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

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82. The method according to claim 75 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocycl or a pharmaceutically acceptable salt thereof is administered.
83. The method according to claim 75 wherein R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-N_3$ or a pharmaceutically acceptable salt thereof is administered.
- 10 84. The method according to claim 75 wherein R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, $-CF_3$ or a pharmaceutically acceptable salt thereof is administered.
- 15 85. The method according to claim 75 wherein R^1 is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 5 to 10 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
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86. The method according to claim 75 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

5 87. The method according to claim 75 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

10 88. The method according to claim 75 wherein R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

15 89. The method according to claim 75 wherein R¹ is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b where R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

25 90. The method according to claim 75 wherein R¹ is the moiety -NR^aR^b where R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to

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12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

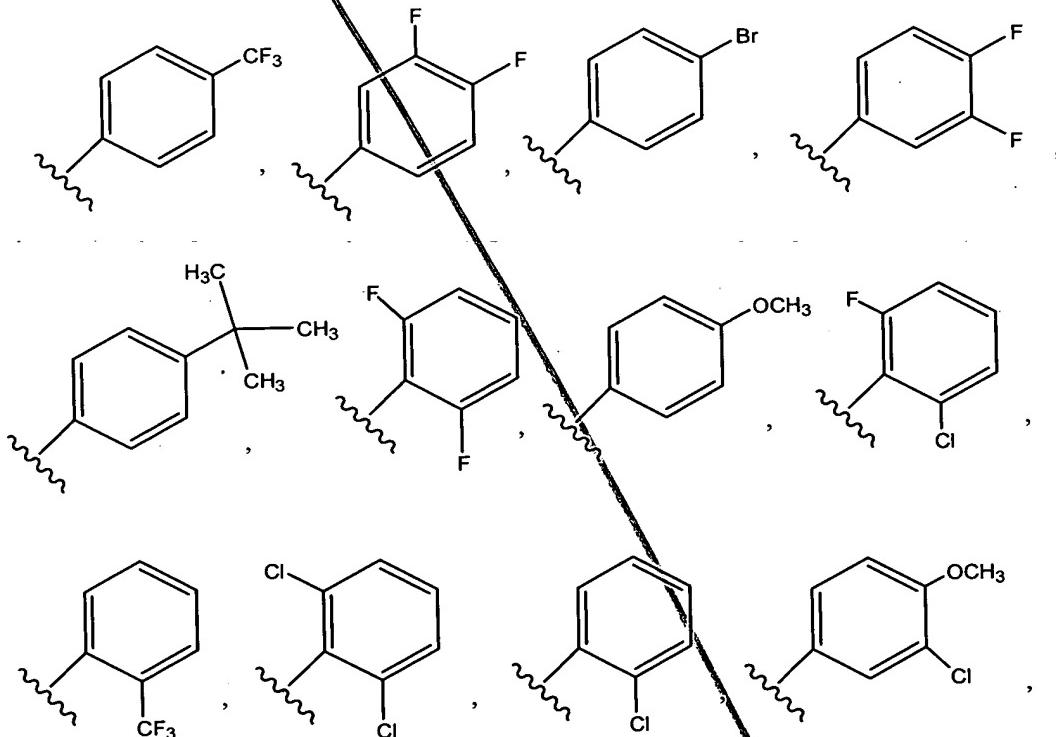
91. The method according to claim 75 wherein R^1 is the moiety $-NR^aR^b$
5 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;
 R^2 is optionally substituted phenyl;
 R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
10 R^4 is H;
 R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where
15 R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an
20 optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1
25 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms,
30 $-SO_2$ alkyl of 1 to 12 carbon atoms, $-O$ -aryl of 6, 10 or 14 carbon atoms;

- Q3 cut*
- 5 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 2 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;
- 10 R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;
- 15 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;
- 20 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$
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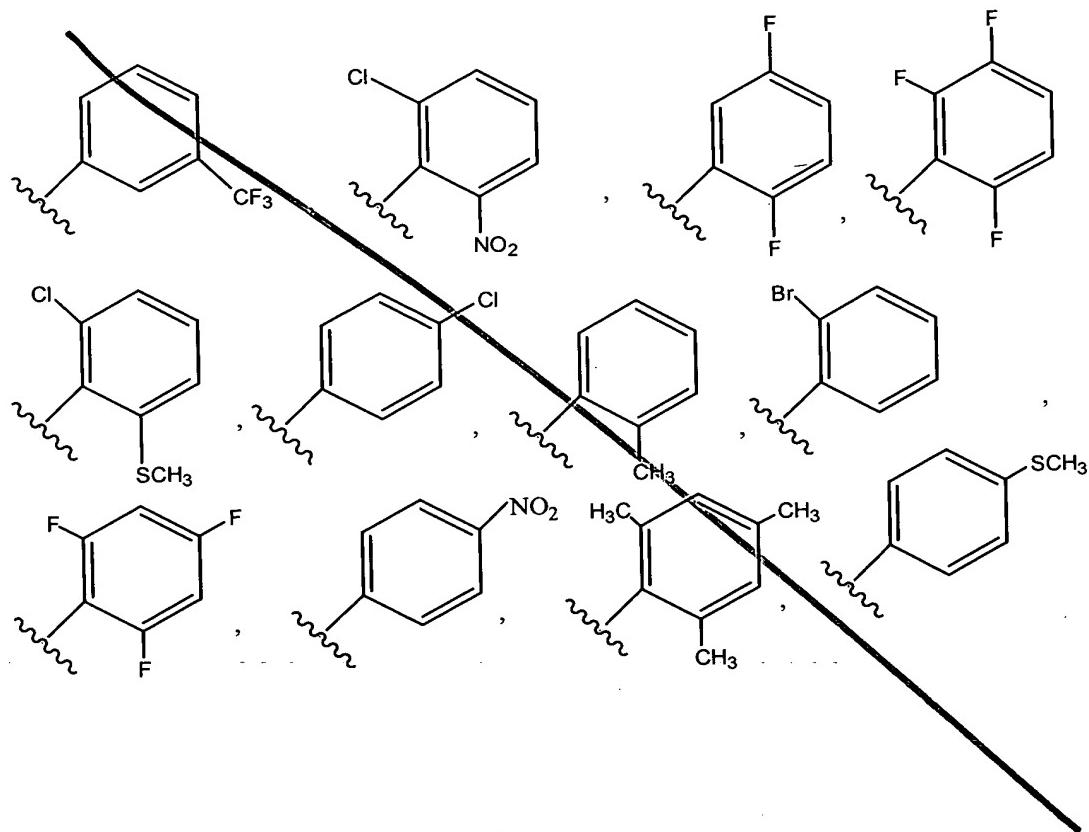
where R¹ is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

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5 92. The method according to claim 75 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

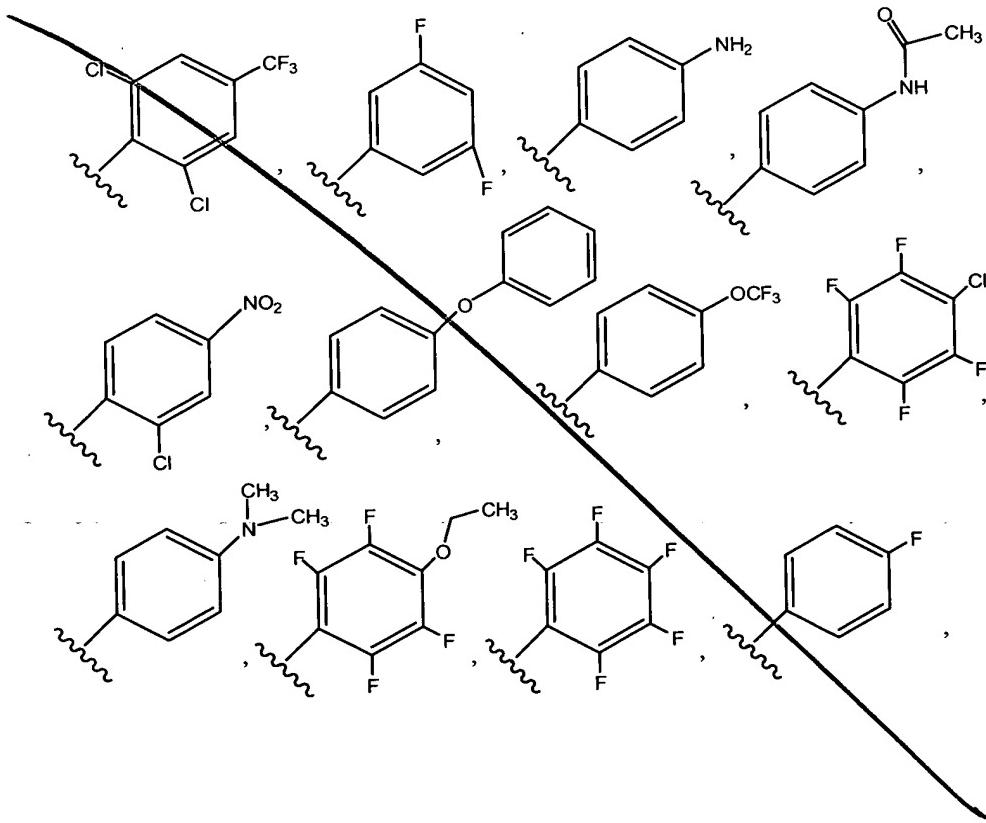
R² is selected from



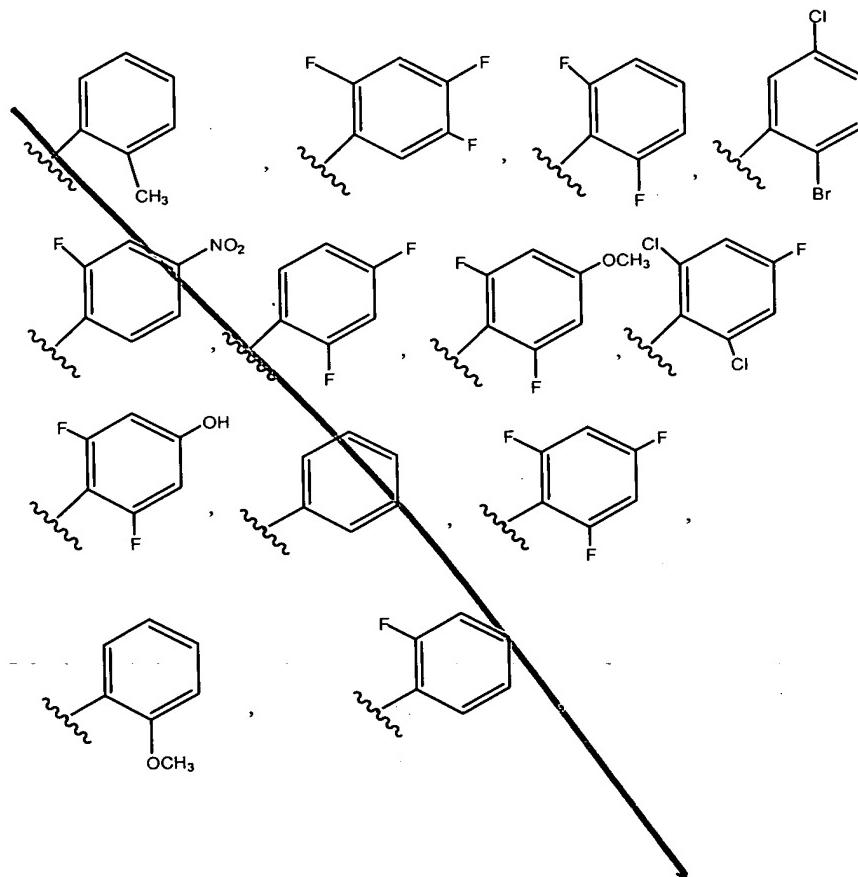
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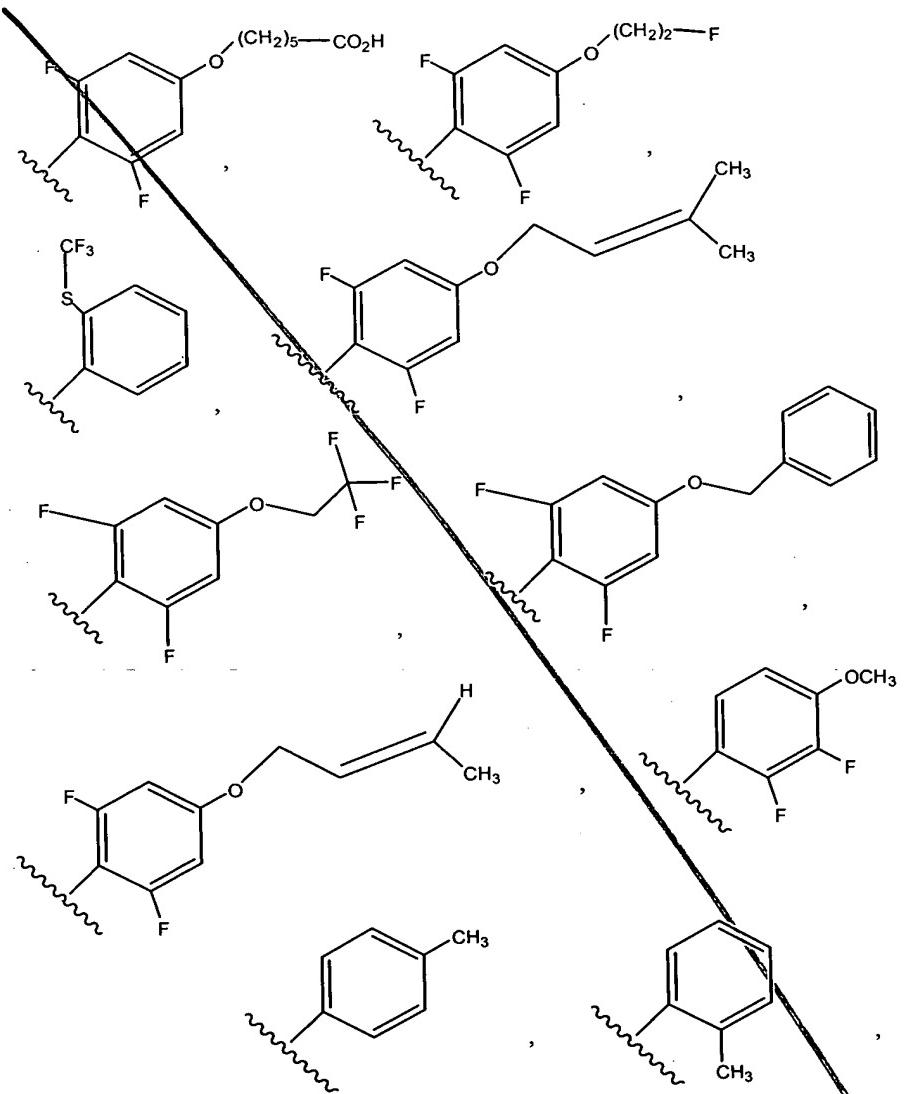
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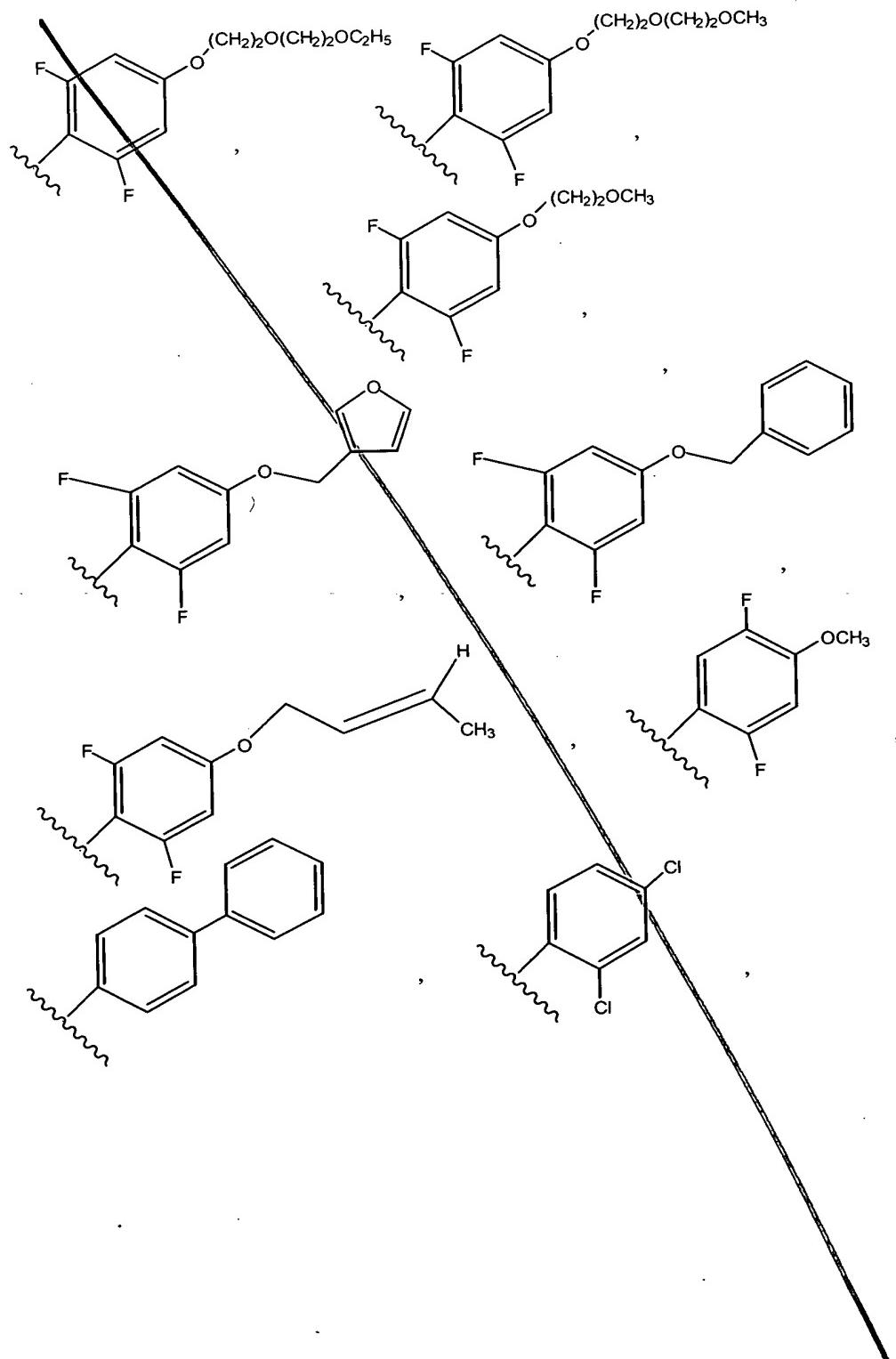


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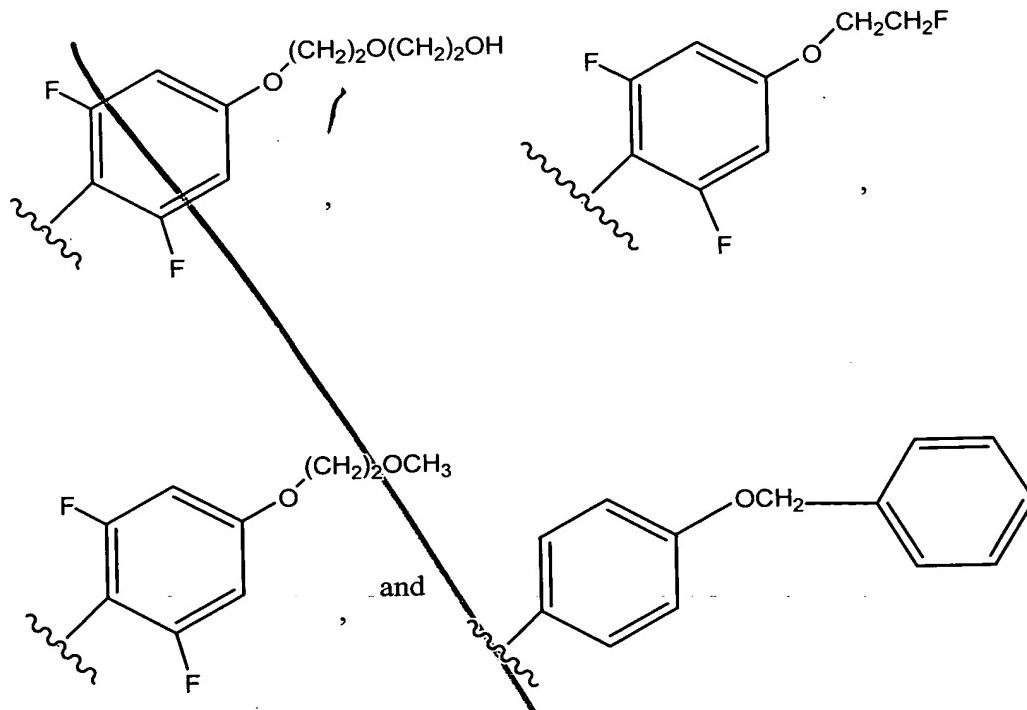


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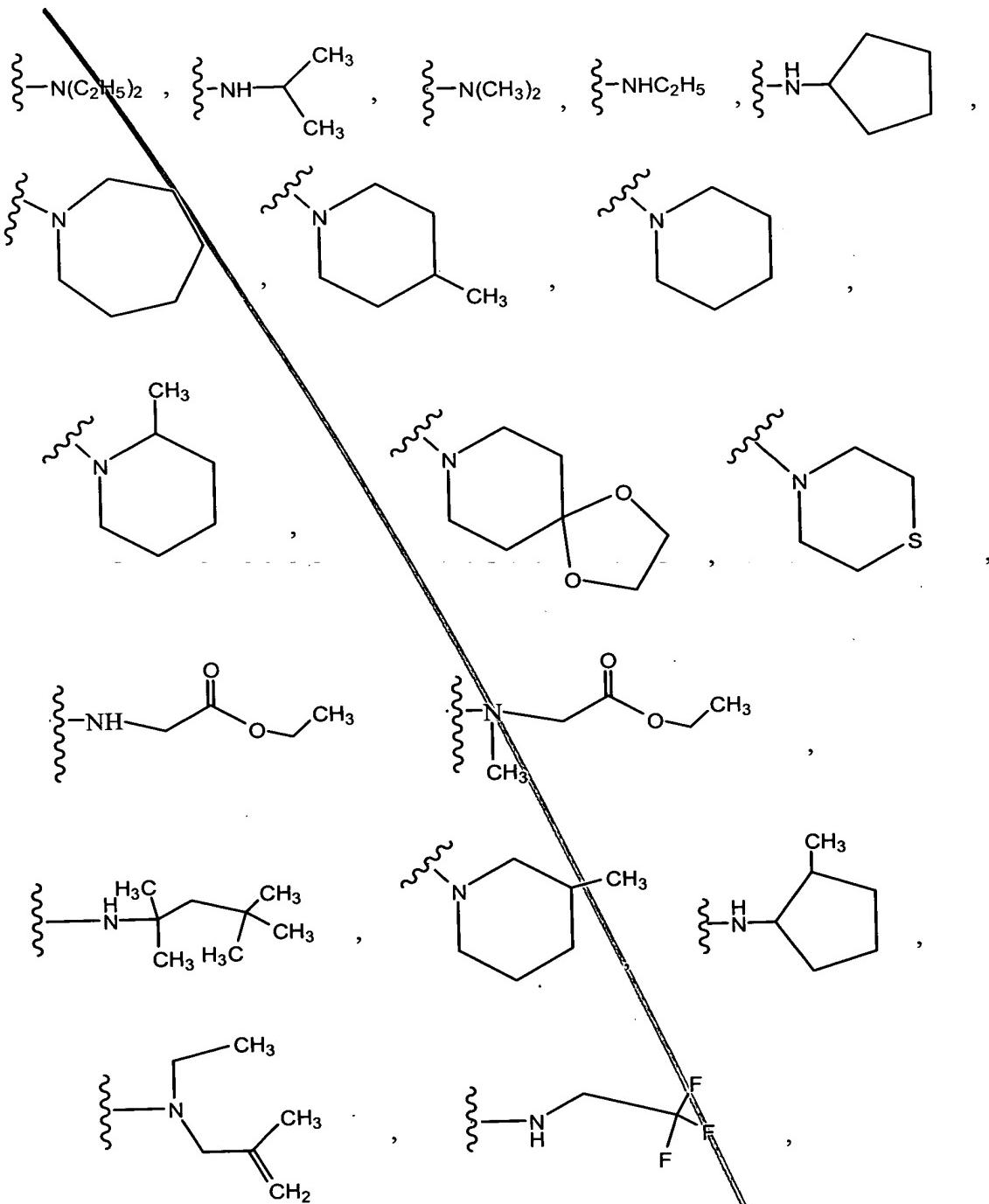
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- 5 R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;
 R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

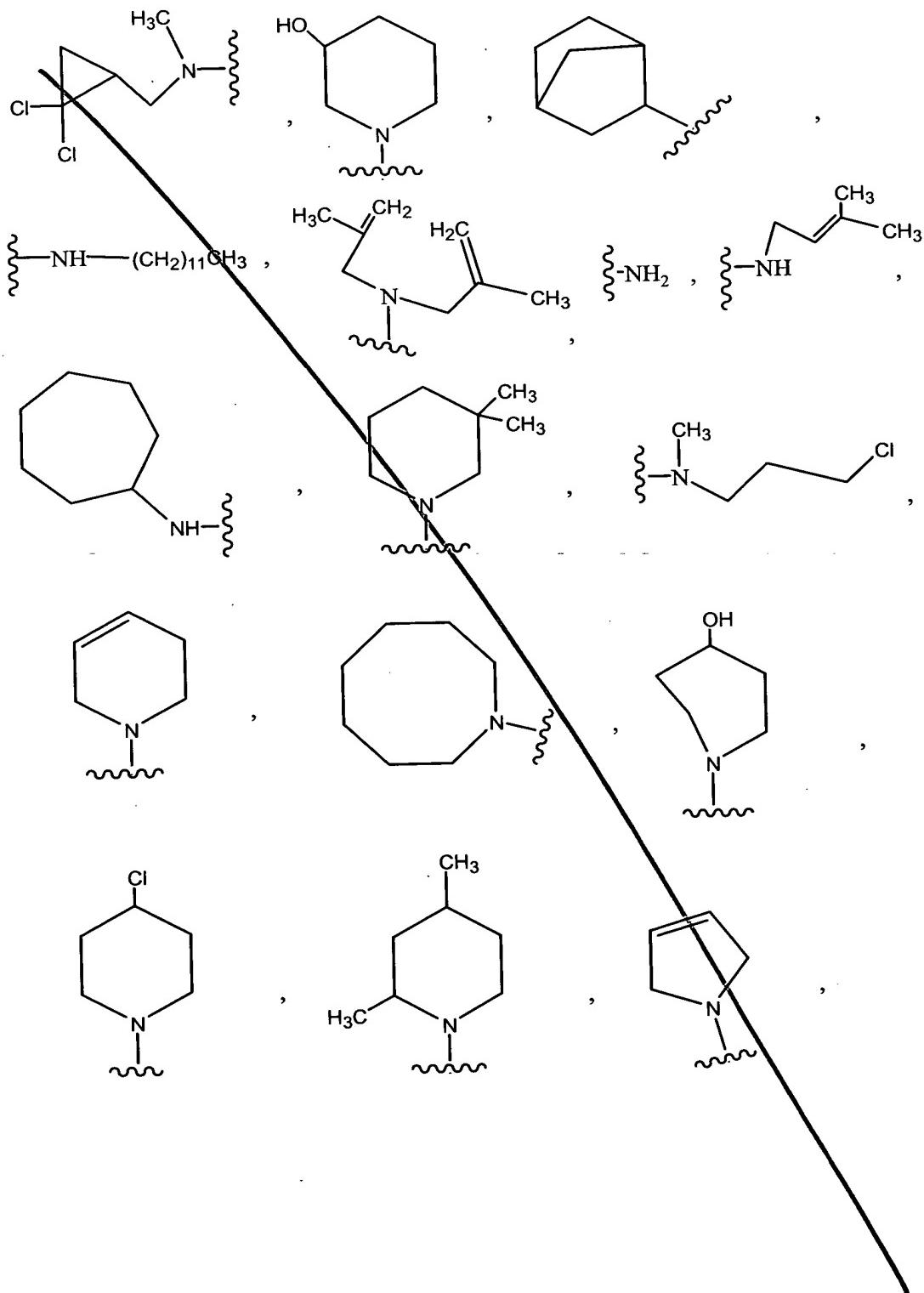
93. The method according to claim 75 wherein R¹ is the moiety -NR^aR^b
10 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R¹ is selected from

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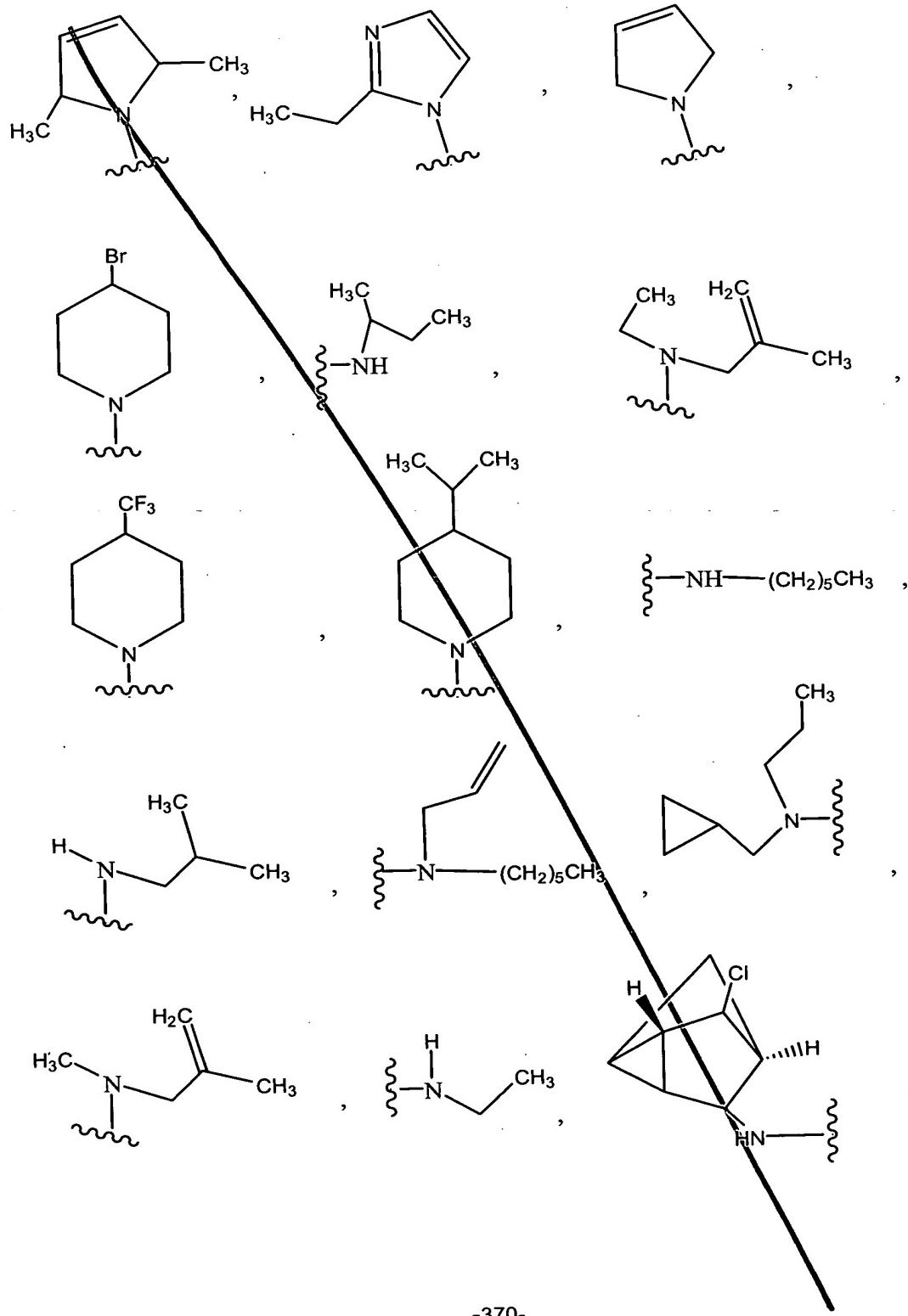
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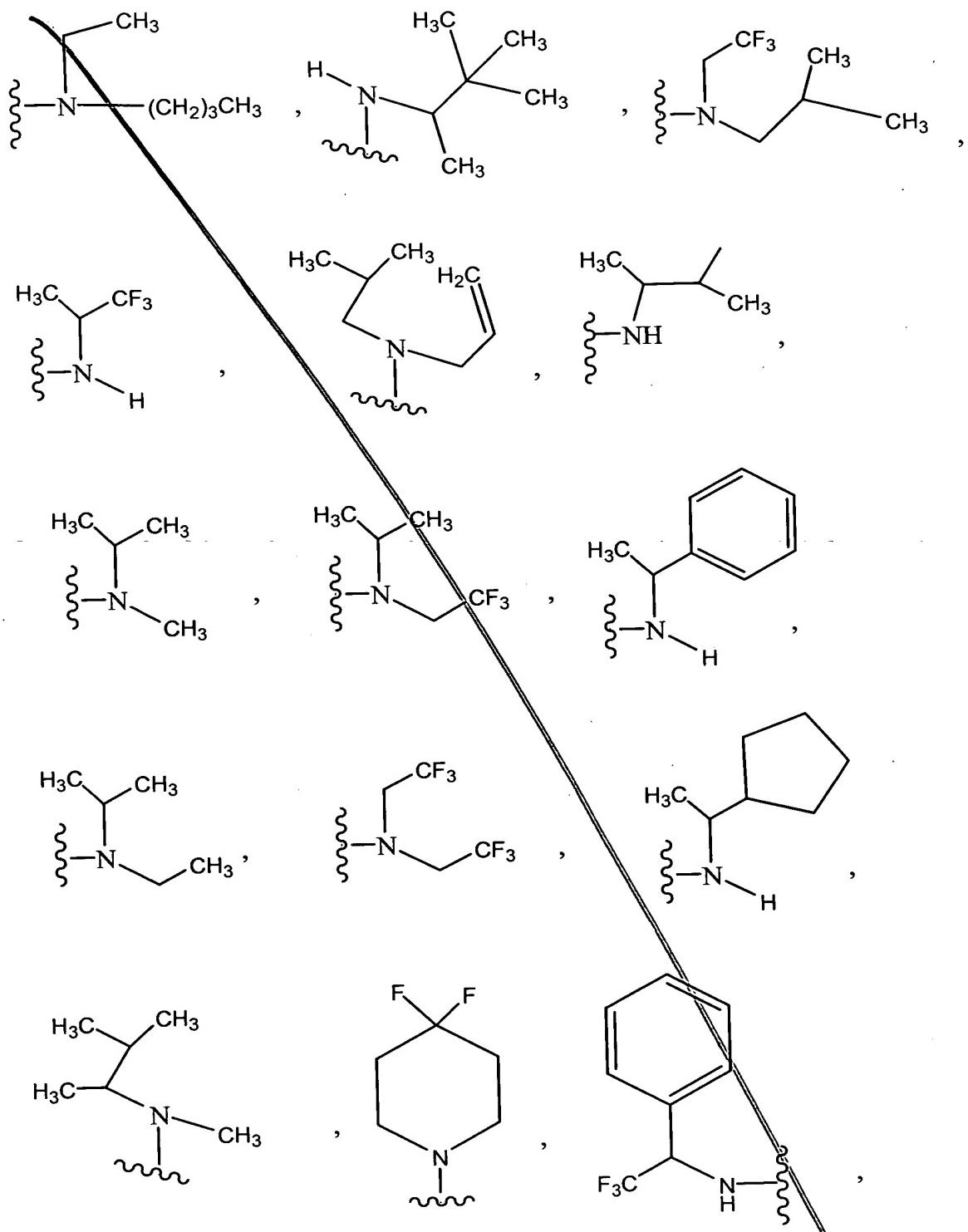


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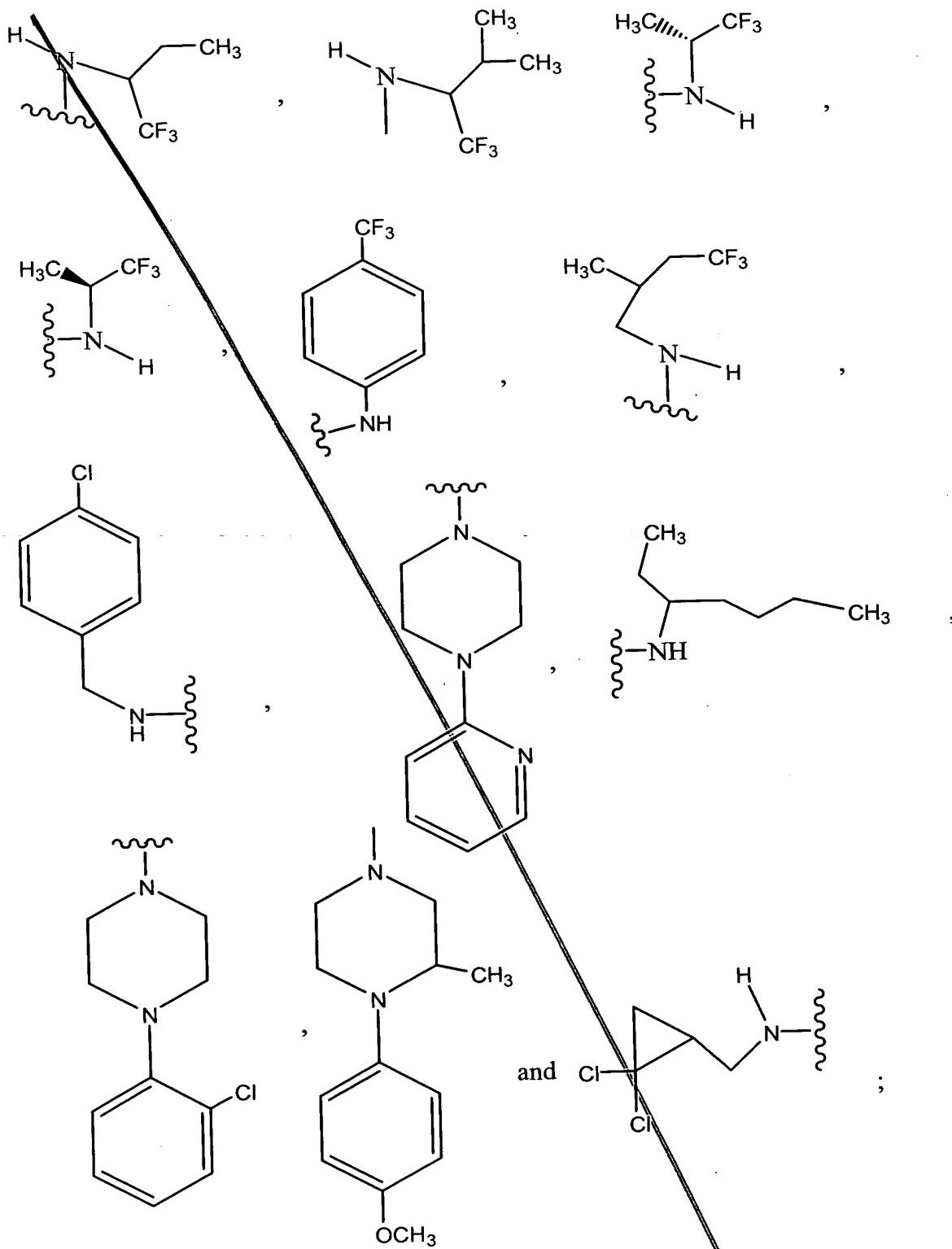


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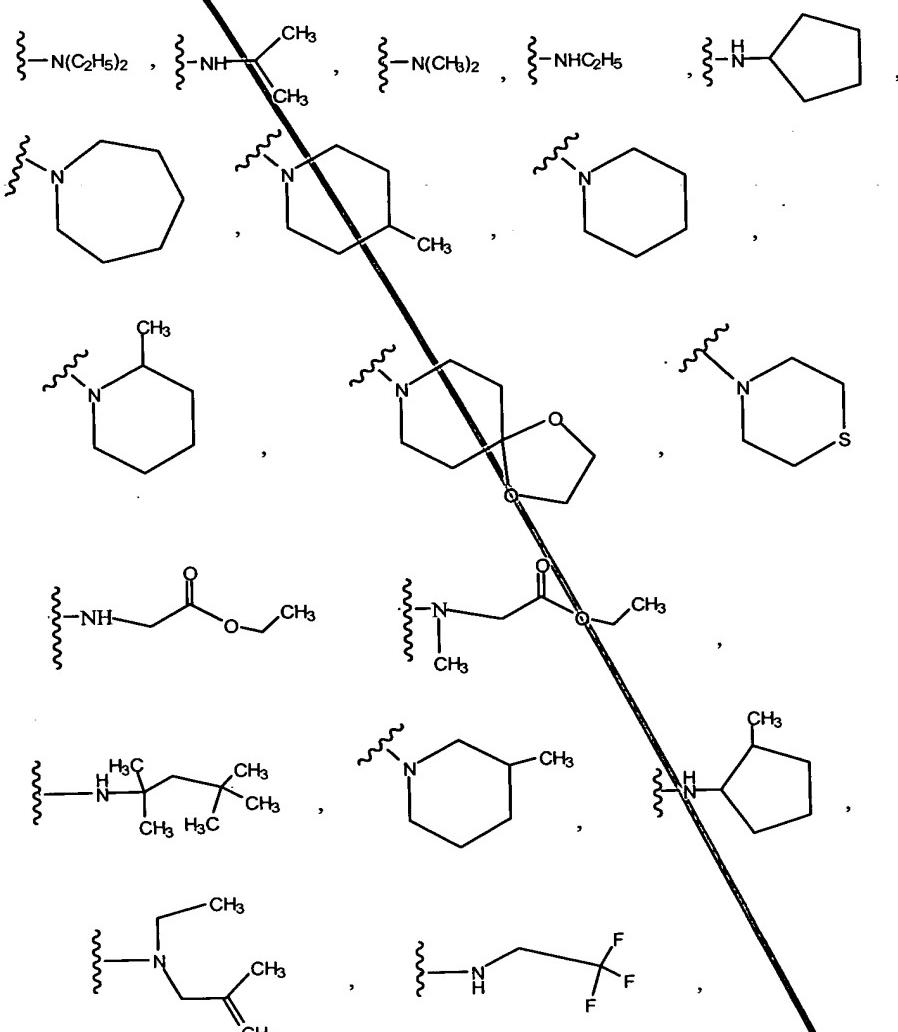
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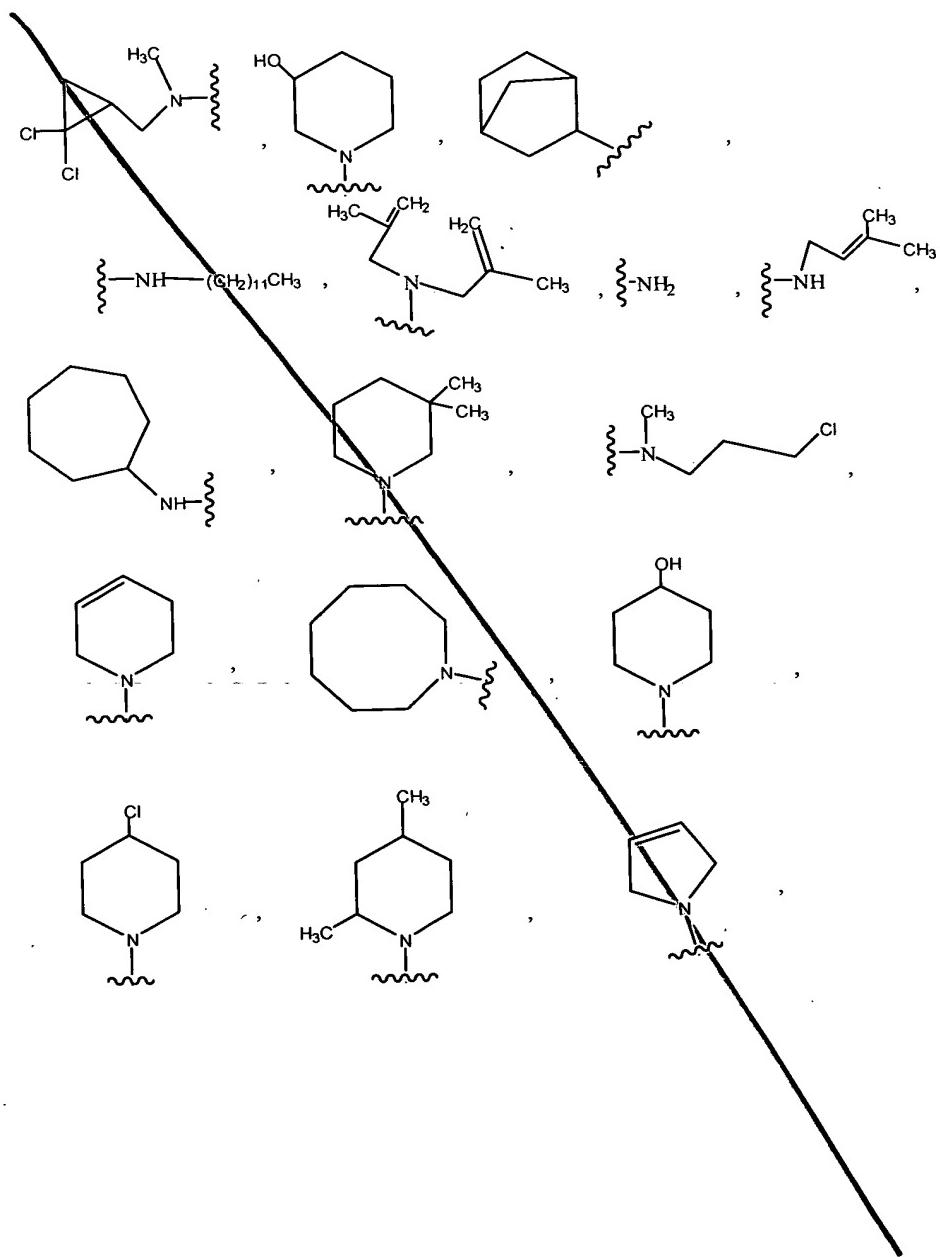
~~R² is optionally substituted phenyl;
R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;
R⁴ is H or a pharmaceutically acceptable salt thereof is administered.~~

94. The method according to claim 75 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from



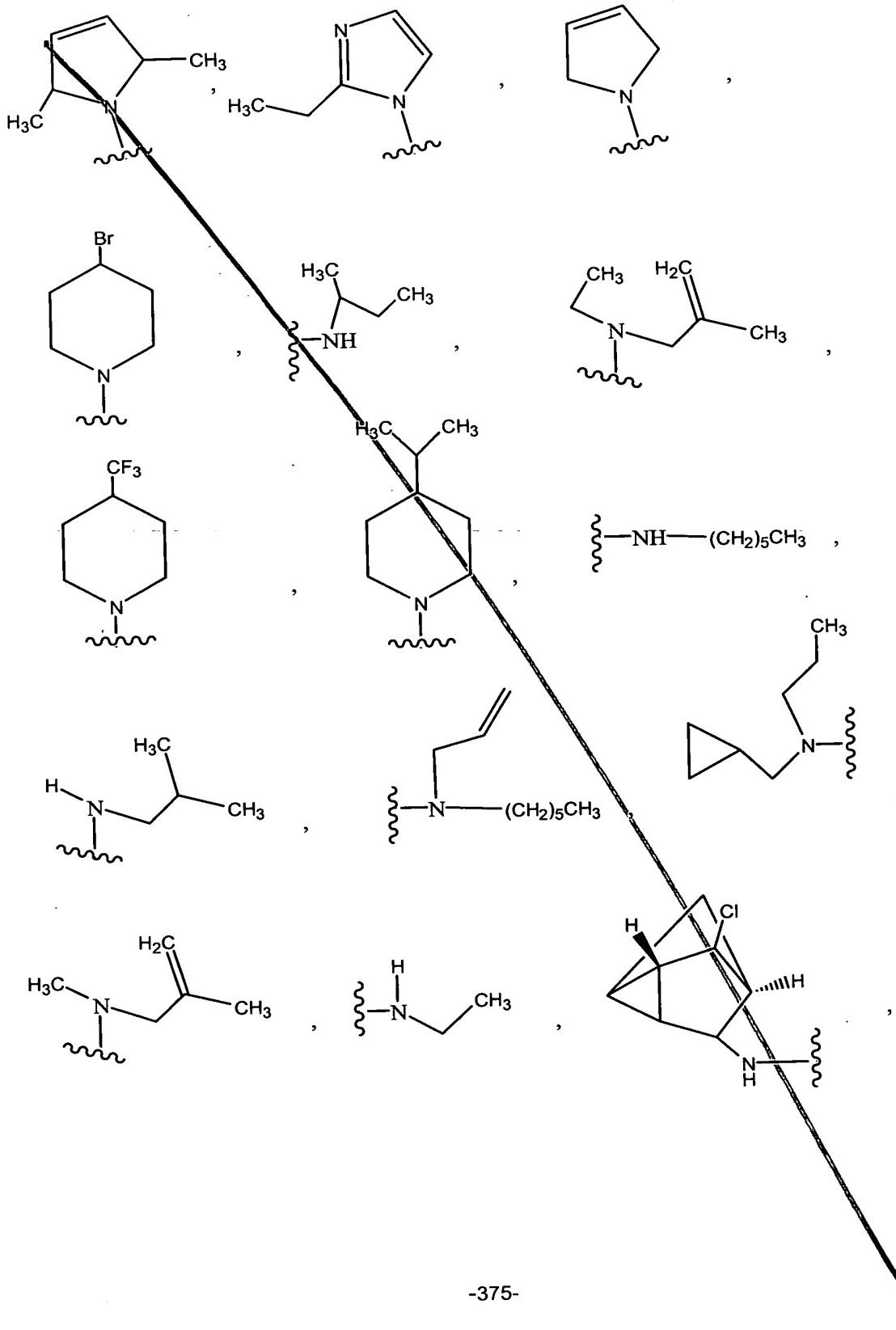
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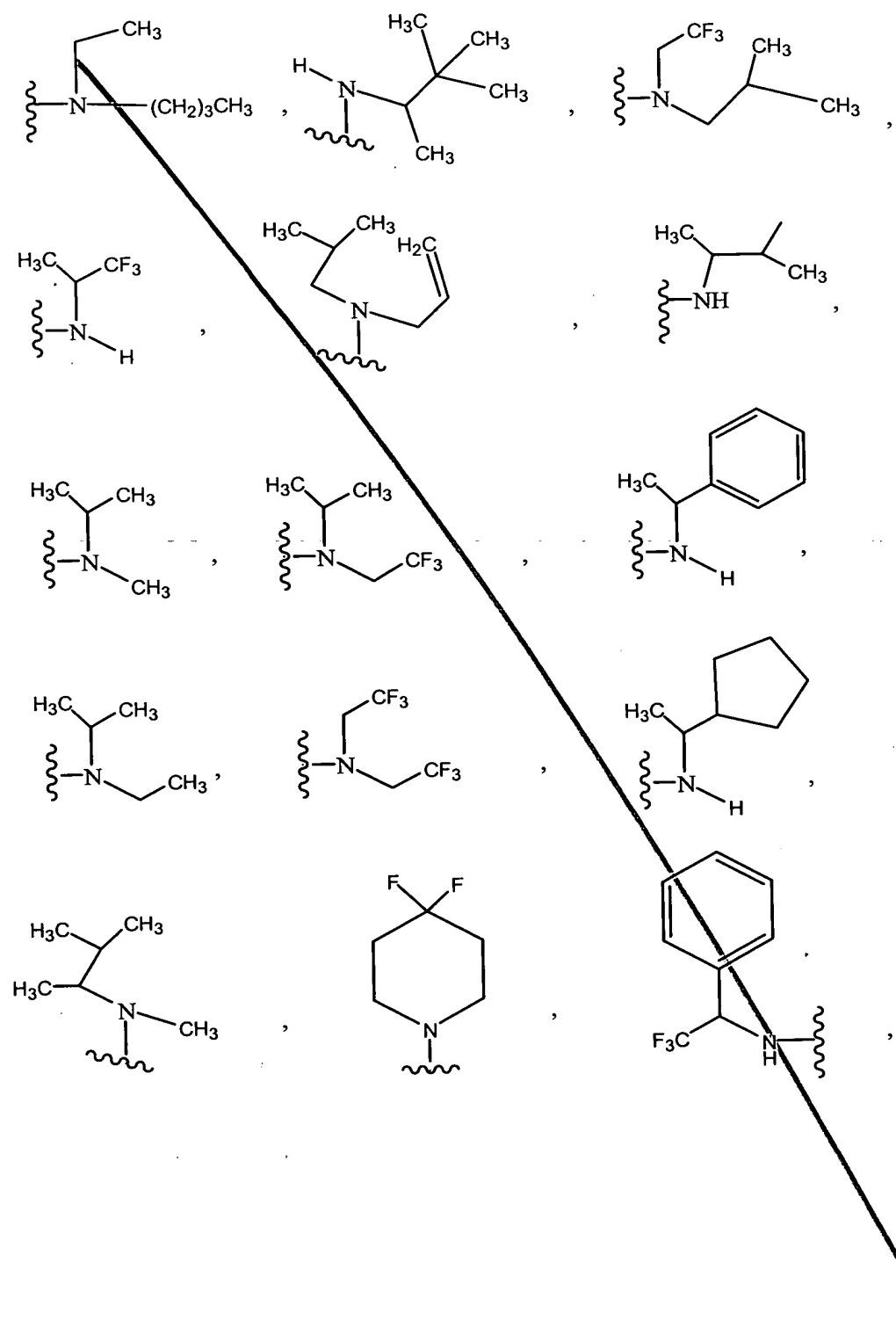


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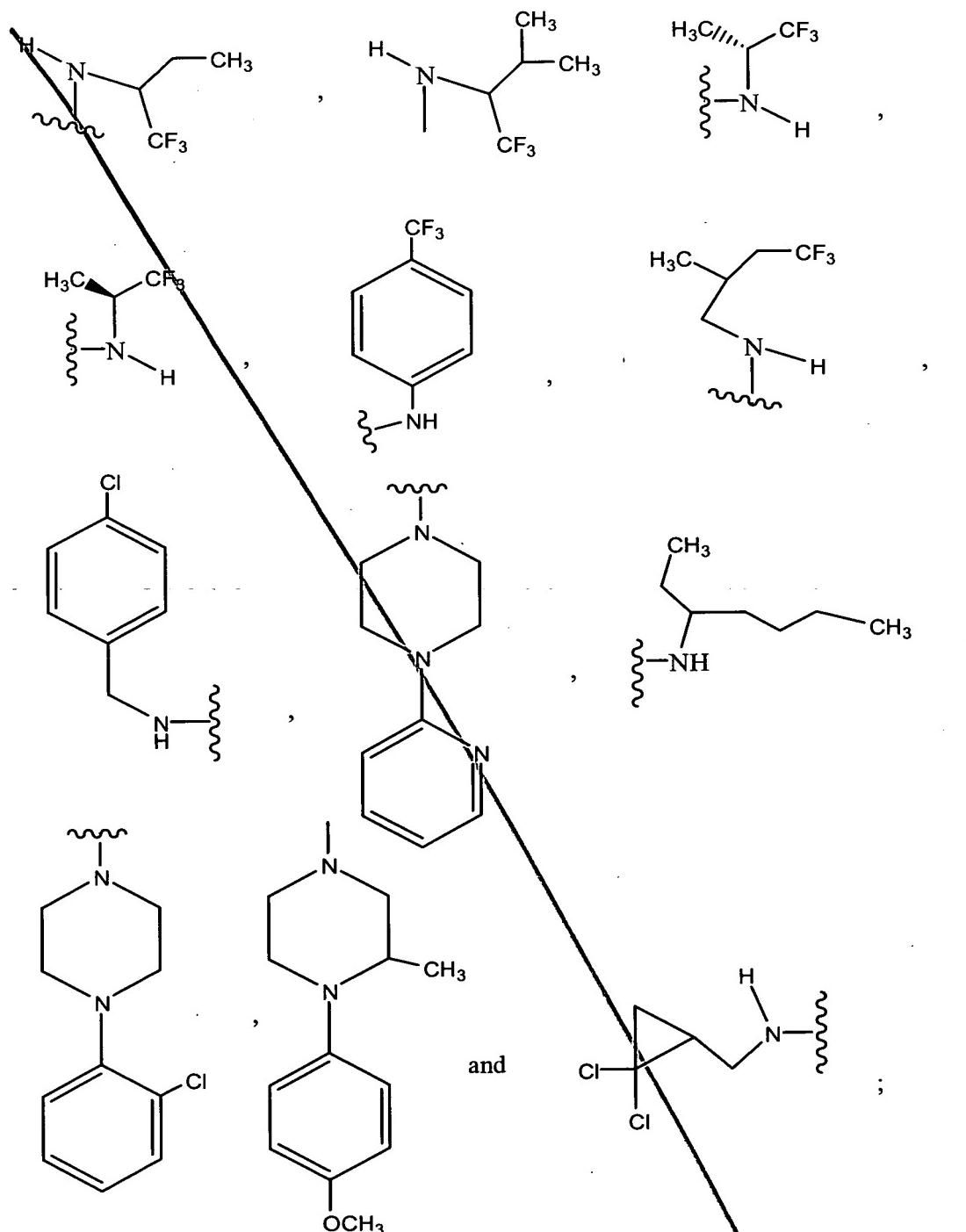


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R² is optionally substituted thienyl;
R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;
R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

95. The method according to claim 75 wherein said compound selected from:

- 7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

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5
5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-6-(4-bromophenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 35 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 40 5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 45 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 50 5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

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- 1 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 10 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;
- 20 15 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 25 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 30 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 35 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 40 45 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 45 50 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 50 55 55 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;
- 1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;
- 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5
5 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-chloro-1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 25 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
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- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- (13 cont)*
- 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-6-mesyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;
N-{4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

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[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;

10 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;
- 5
6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;
- diethyl 2-allyl-2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;
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- 5
6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 35 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 40 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 45 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 50 5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

~~5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

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~~5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;~~

~~5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

~~5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;~~

~~5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

~~15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

~~5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

~~20 [5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolyl-ethyl)-amine;~~

~~5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;~~

~~25 5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

~~30 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;~~

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- 7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;
- 5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;
- 20 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;
- 25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
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- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;
- 2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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Cont

4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;

5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;

5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;

20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

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cont⁵

5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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cont

- 5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1,4-dioxa-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5,7-diphenoxo-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;
- diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;
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- 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 [5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;
- 5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)-[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;
- 20 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 25 diethyl 2-{[5-chloro-6-(2-chloro-6-fluorophenyl)][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}-2-isobutylmalonate;
- 2-[5-chloro-6-(2-chloro-6-fluorophenyl)][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;
- 2-[5-chloro-6-(2-chloro-6-fluorophenyl)][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;
- 30 5-chloro-7-(3-nitro-4-methylanilino)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-N,N-1-diethyl-1,4-pantanediamine;
- 20 5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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cont
5 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;

5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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~~2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.~~

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